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TO: Ben Sackey
Location: 5b31 / 5c18
Art Unit: 1626
Thursday, August 24, 2006

Case Serial Number: 10/767581

From: Noble Jarrell
Location: Biotech-Chem Library
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SEARCH REQUEST FORM

Requester's Full Name: BEN SACKEY Examiner #: 73489 Date: 8/21/06

Art Unit: 1626 Phone Number: 2-0704 Serial Number: 10/767,581 MG

Location (Bldg/Room#): REM5B31 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Prodrugs of non-steroidal anti-inflammatory carboxylic acid containing cmpds

Inventors (please provide full names): Jamal A. Tilani

Earliest Priority Date: 12/18/01

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Mable 10PR
SIF 8/24/06 27ONL
1 STR STN

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=> b reg
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DICTIONARY FILE UPDATES:  23 AUG 2006  HIGHEST RN 904004-64-4

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NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M1-X6 C  AT   1
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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
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L3         2805 SEA FILE=REGISTRY SUB=L2 SSS FUL L1

100.0% PROCESSED   49466 ITERATIONS                          2805 ANSWERS
SEARCH TIME: 00.00.01



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FILE COVERS 1907 - 24 Aug 2006 VOL 145 ISS 9
FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitind hitstr l28 tot

L28 ANSWER 1 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:150529 HCAPLUS
DN 138:205052
TI Preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents
IN Cirillo, Pier Francesco; Dinallo, Roger; Regan, John Robinson; Riska, Paul S.; Swinamer, Alan David; Tan, Zhulin; Walter, Brian Andrew
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 879,776, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---6525046	B1	20030225	2002US-0165372	20020607 <--
	US---6319921	B1	20011120	2000US-0484638	20000118 <--
	US---6333325	B1	20011225	2001US-0871559	20010531 <--
	US2002058678	A1	20020516	2001US-0879776	20010612 <--
	US---6329415	B1	20011211	2001US-0891579	20010626 <--
	US2002065285	A1	20020530	2001US-0891820	20010626 <--
	US---6506748	B2	20030114		
PRAI	2000US-0484638	A3	20000118	<--	
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OS MARPAT 138:205052
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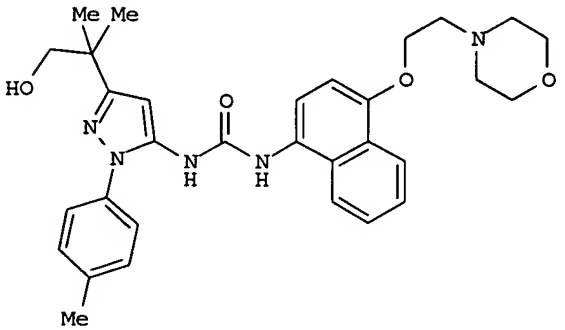


I

AB The title compds. Ar1NHC(:X)NHAr2LQ [Ar1 = pyrazolyl, pyrrolyl, imidazolyl, etc.; Ar2 = Ph, naphthyl, quinolyl, etc.; L = alkylene wherein one or more methylene groups are optionally replaced by O, N or S; Q = Ph, naphthyl, pyridyl, etc.; X = O, S], useful for treating diseases involving inflammation such as chronic inflammatory diseases, were prepared E.g., a

multi-step synthesis of I, starting from Me 2,2-dimethyl-3-hydroxypropionate, was given. Representative title ureas showed IC50 of < 10 μM against TNF production in THP cells.

IC ICM A61K-0031/5377
ICS A61K-0031/541; A61P-0019/02; C07D-0413/12; C07D-0417/12
INCL 514227800; 514230800; 514236500; 544058200; 544131000; 544140000; 546275400; 546256000
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Antiarteriosclerotics**
(antiatherosclerotics; preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents)

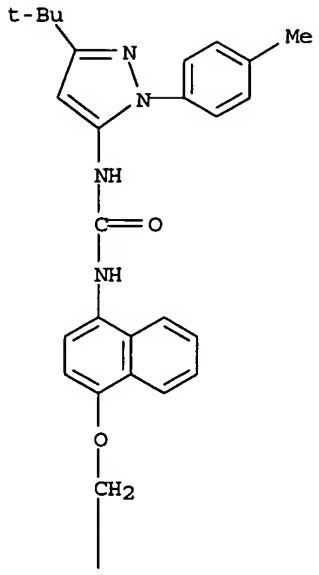
IT Anti-Alzheimer's agents
Anti-inflammatory agents
Antiasthmatics
Antidiabetic agents
Antirheumatic agents
Antiulcer agents
Bone resorption inhibitors
Cardiovascular agents
Human
Immunosuppressants
(preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents)

IT 285983-41-7P 285983-42-8P 285983-43-9P 285983-44-0P 285983-45-1P
285983-46-2P 285983-47-3P 285983-48-4P 285983-49-5P 285983-50-8P
285983-51-9P 285983-52-0P 285983-53-1P 285983-54-2P 285983-55-3P
285983-56-4P 285983-57-5P 285983-58-6P 285983-59-7P 285983-60-0P
285983-61-1P 285983-62-2P **285983-63-3P** 285983-64-4P
285983-66-6P 285983-68-8P 285983-70-2P 285983-75-7P 285983-76-8P
285983-77-9P 285983-78-0P 285983-79-1P 285983-80-4P 285983-81-5P
285983-82-6P 285983-83-7P 285983-84-8P 285983-85-9P 285983-86-0P
285983-87-1P 285983-88-2P 285983-89-3P 285983-90-6P 285983-91-7P
285984-07-8P 285984-08-9P 285984-10-3P 285984-11-4P 285984-12-5P
285984-13-6P 285984-14-7P 285984-15-8P 285984-17-0P 285984-18-1P
285984-20-5P 285984-21-6P 476010-09-0P 477844-70-5P 477844-71-6P
489432-45-3P 489432-48-6P 489432-49-7P 499971-96-9P 499971-97-0P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents)

IT **285983-63-3P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents)

RN 285983-63-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[[[[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]amino]carbonyl]amino]-1-naphthalenyl]oxy]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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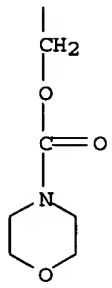

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:696457 HCAPLUS
DN 137:237728
TI Peptide conjugates for enhancing drug delivery across and into epithelial tissues
IN Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.
PA Cellgate, Inc., USA
SO U.S. Pat. Appl. Publ., 80 pp., Cont.-in-part of U.S. Ser. No. 648,400.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US2002127198	A1	20020912	2001US-0792480	20010223	<--
	US---6669951	B2	20031230			
	US---6593292	B1	20030715	2000US-0648400	20000824	<--
	CA---2438784	AA	20020906	2002CA-2438784	20020225	

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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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     WO2002069930          A1    20020912    2002WO-US05829          20020225
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     US2003022831          A1    20030130    2002US-0083960          20020225 <--
     EP---1367995          A1    20031210    2002EP-0731103          20020225
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     JP2004530657          T2    20041007    2002JP-0569108          20020225
     JP2004533414          T2    20041104    2002JP-0567285          20020225
     US2003083256          A1    20030501    2002US-0209421          20020730 <--
     US---6759387          B2    20040706
     US2004186045          A1    20040923    2003US-0740365          20031217 <--
PRAI 1999US-150510P        P     19990824  <--
     2000US-0648400        A2    20000824  <--
     2001US-0792480        A     20010223
     2002WO-US05804        W     20020225
     2002WO-US05829        W     20020225
OS   MARPAT 137:237728
AB   This invention provides compns. and methods for enhancing delivery of
     drugs and other agents across epithelial tissues, including the skin,
     gastrointestinal tract, pulmonary epithelium, ocular tissues and the like.
     The compns. and methods are also useful for delivery across endothelial
     tissues, including the blood brain barrier.  The compns. and methods
     employ a delivery enhancing transporter that has sufficient guanidino or
     amidino side-chain moieties to enhance delivery of a compound conjugated to
     the reagent across one or more layers of the tissue, compared to the
     non-conjugated compound  The delivery-enhancing polymers include, for
     example, poly-arginine mols. that are preferably between about 6 and 25
     residues in length.  E.g., biotinylated polymers of D-arginine were prepared
     and their penetration into the skin of nude mice studied.
IC   ICM  A61K-0038/16
     ICS  A61K-0031/765
INCL 424078370
CC   63-6 (Pharmaceuticals)
     Section cross-reference(s): 1, 34
IT   50-23-7, Hydrocortisone   60-32-2, 6-Aminohexanoic acid   67-43-6
     108-31-6, Maleic anhydride, reactions   541-88-8, Chloroacetic anhydride
     33069-62-4, Taxol 56074-21-6   59277-89-3, Acyclovir
     59865-13-3, Cyclosporin a   84625-61-6, Itraconazole   104987-11-3, FK 506
     165893-48-1   216584-13-3   324077-01-2   324077-02-3   374568-19-1
     457906-31-9
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (peptide conjugates for enhancing drug delivery across and into
        epithelial tissues)
IT   79217-60-0, Cyclosporin   104987-12-4, Ascomycin
```

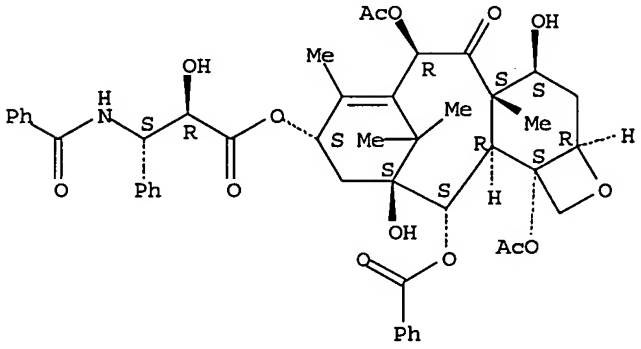
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide conjugates for enhancing drug delivery across and into epithelial tissues)

IT 33069-62-4, Taxol 56074-21-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide conjugates for enhancing drug delivery across and into epithelial tissues)

RN 33069-62-4 HCAPLUS

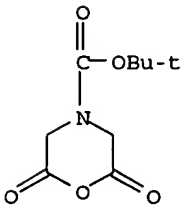
CN Benzenepropanoic acid, β-(benzoylamino)-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 56074-21-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,6-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 79217-60-0, Cyclosporin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide conjugates for enhancing drug delivery across and into epithelial tissues)

RN 79217-60-0 HCAPLUS

CN Cyclosporin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L28 ANSWER 3 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:610348 HCAPLUS

DN 137:169530

TI Preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compounds

IN Jilani, Jamal A.

PA Specialized Pharmaceutical Research Ltd. Co., Jordan

SO Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW

DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP---1231209	A1	20020814	2001EP-0130083	20011218 <--
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	US2005004118	A1	20050106	2004US-0767581	20040129 <--
PRAI	2000US-256634P	P	20001219 <--		
	2001US-0059959	B1	20011218		

OS MARPAT 137:169530

AB Claimed are compds. of the formula RC(O)O-spacer-OC(O)R', wherein (i) RC(O)- is the acyl residue of an NSAID or other pharmaceutically active agent bearing a carboxylic acid function, (ii) spacer is Cn alkyl, (iii) n is from 1 to 6, and (iv) R' is substituted or unsubstituted heteroaryl or heterocycle. The compds. are prodrugs of NSAIDS and can be used to treat inflammation. For example, α-methyl-4-(2-methylpropyl)benzeneacetic acid morpholinocarbonyloxyethyl ester (a prodrug of ibuprofen) was prepared

IC ICM C07D-0295/20
ICS C07D-0209/26; C07D-0487/04; A61K-0031/535; A61P-0029/00

CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **Anti-inflammatory agents**
(nonsteroidal; preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)

IT **Antibiotics**
Anticonvulsants
Cardiovascular agents
Cytotoxic agents
Diuretics
Drug delivery systems
Muscle relaxants
(prodrugs; preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)

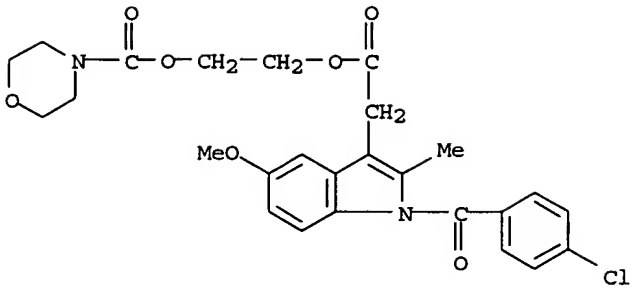
IT **446311-17-7P 446311-18-8P 446311-19-9P 446311-20-2P 446311-21-3P 446311-22-4P 446311-23-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)

IT **446311-24-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)

IT **446311-17-7P 446311-18-8P 446311-19-9P 446311-20-2P 446311-21-3P 446311-22-4P 446311-23-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)

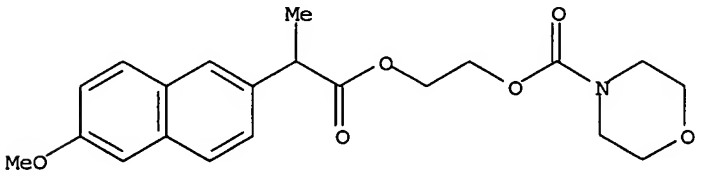
RN 446311-17-7 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 2-[(4-morpholinylcarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



RN 446311-18-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[2-(6-methoxy-2-naphthalenyl)-1-oxopropoxy]ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



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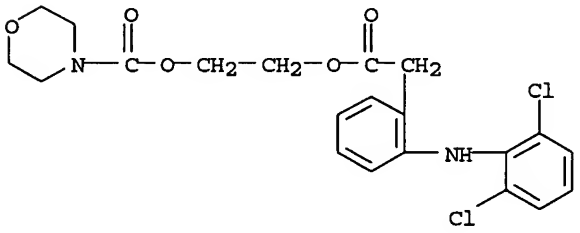

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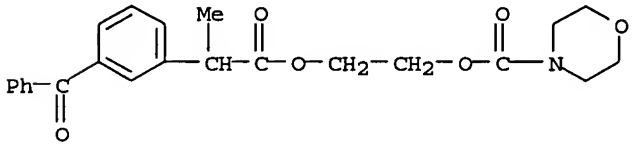

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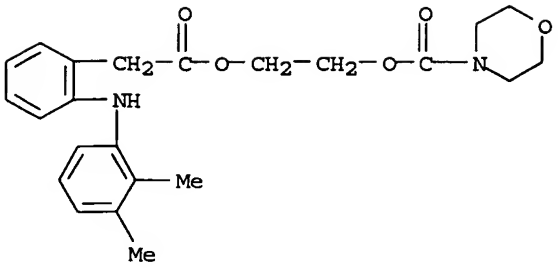
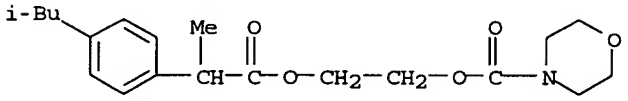
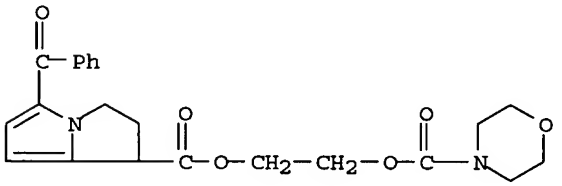


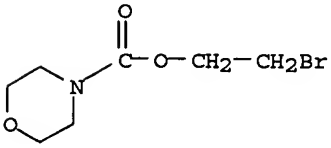
RN 446311-22-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[2-[4-(2-methylpropyl)phenyl]-1-oxopropoxy]ethyl ester (9CI) (CA INDEX NAME)



RN 446311-23-5 HCAPLUS
CN 1H-Pyrrolizine-1-carboxylic acid, 5-benzoyl-2,3-dihydro-, 2-[(4-morpholinylcarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



IT **446311-24-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)
RN 446311-24-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-bromoethyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:368496 HCAPLUS
DN 136:374803
TI FAP-activated anti-tumor compounds
IN Peters, Stefan; Leipert, Dietmar; Park, John-Edward; Lenter, Martin; Garin-Chesa, Pilar
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2002038591	A1	20020516	2001WO-EP12815	20011106 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU2002016012	A5	20020521	2002AU-0016012	20011106 <--
	US2003055052	A1	20030320	2001US-0036111	20011109 <--
PRAI	2000GB-0027551	A	20001110	<--	
	2001US-262281P	P	20010117		
	2001WO-EP12815	W	20011106		

OS MARPAT 136:374803

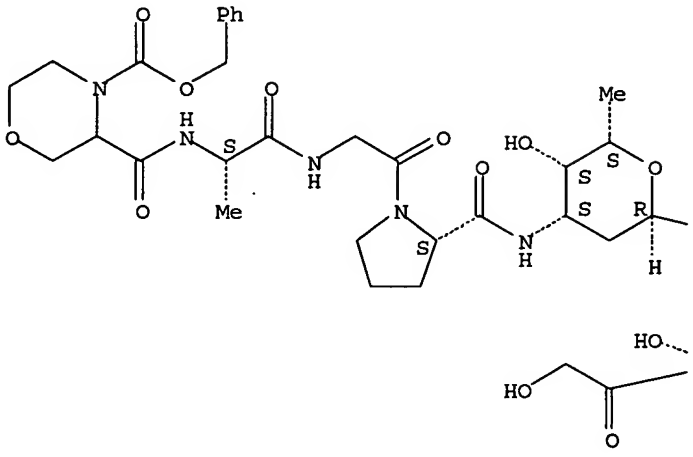
AB The invention relates to a prodrug that is capable of being converted into a drug by the catalytic action of human fibroblast activation protein (FAP-α), said prodrug having a cleavage site which is recognized by FAP-α, and said drug being cytotoxic or cytostatic under physiol. conditions.

IC ICM C07K-0005/08
ICS A61K-0047/48
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 34
IT **Antitumor agents**
Human
Human
Molecular cloning
Transformation, genetic
(human fibroblast activation protein (FAP)-activated antitumor prodrugs)
IT 423719-47-5P 423719-48-6P 423719-49-7P 423719-50-0P
423719-51-1P 423719-52-2P
RL: **PAC (Pharmacological activity)**; PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(human fibroblast activation protein (FAP)-activated antitumor prodrugs)
IT 121-44-8, Triethylamine, reactions 147-85-3D, L-Proline, chlorotritylchloride resin-bound, reactions **148-82-3**, Melphalan 501-53-1, Benzyl chloroformate 538-75-0, Dicyclohexylcarbodiimide 693-13-0 2592-95-2, 1-Hydroxybenzotriazole 6066-82-6, N-Hydroxysuccinimide 7087-68-5, Diea 7693-46-1, 4-Nitrophenyl chloroformate 25316-40-9, Doxorubicin hydrochloride 29022-11-5 35661-39-3 39968-33-7, Hoat 106562-32-7, Amca 148893-10-1, Hatu 423719-54-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(human fibroblast activation protein (FAP)-activated antitumor prodrugs)
IT **423719-51-1P**
RL: **PAC (Pharmacological activity)**; PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(human fibroblast activation protein (FAP)-activated antitumor prodrugs)
RN 423719-51-1 HCAPLUS
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[[4-[(phenylmethoxy)carbonyl]-3-morpholinyl]carbonyl]-L-alanylglycyl-L-

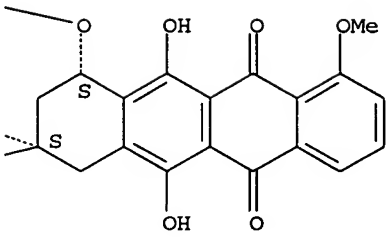
prolyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

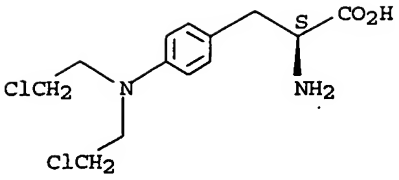


PAGE 1-B



IT **148-82-3**, Melphalan
RL: RCT (Reactant); RACT (Reactant or reagent)
(human fibroblast activation protein (FAP)-activated antitumor prodrugs)
RN 148-82-3 HCAPLUS
CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:220554 HCAPLUS
DN 136:262995
TI Preparation of hydroxamic acids as deacetylase inhibitors
IN Bair, Kenneth Walter; Green, Michael A.; Perez, Lawrence B.; Remiszewski, Stacy W.; Sambucetti, Lidia; Versace, Richard William; Sharma, Sushil Kumar
PA Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO2002022577	A2	20020321	2001WO-EP10037	20010830	<--
	WO2002022577	A3	20020906			
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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	AU2001082129	A5	20020326	2001AU-0082129	20010830	<--
	BR2001013669	A	20030603	2001BR-0013669	20010830	<--
	EP---1318980	A2	20030618	2001EP-0960717	20010830	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
	JP2004509105	T2	20040325	2002JP-0526830	20010830	<--
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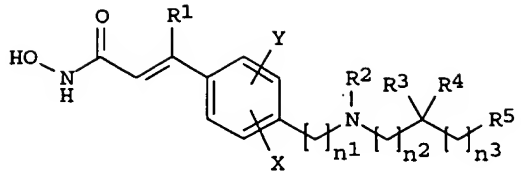
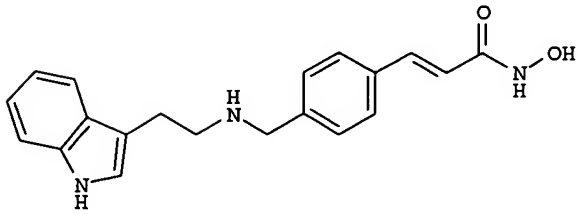
	US2003018062	A1	20030123	2001US-0944275	20010831	<--
	US---6552065	B2	20030422			
	US2004024067	A1	20040205	2002US-0299518	20021116	<--
	ZA2003001423	A	20040421	2003ZA-0001423	20030221	<--
	NO2003000867	A	20030225	2003NO-0000867	20030225	<--
	US2005085507	A1	20050421	2004US-0984501	20041109	<--
	US---7067551	B2	20060627			
PRAI	2000US-229943P	P	20000901	<--		
	2001US-292232P	P	20010518			
	2001US-307490P	P	20010724			
	2001WO-EP10037	W	20010830			
	2001US-0944275	A1	20010831			
	2002US-0299518	A1	20021116			

OS MARPAT 136:262995
GI



I



II

AB The title compds. [I; R1 = H, halo, alkyl; R2 = H, alkyl, cycloalkyl, etc.; R3, R4 = H, alkyl, acyl, acylamino; or R3 and R4 together with the carbon atom to which they are bound = CO, CS, C:NR8; or R2 together with the N atom to which is bound and R3 together with the C atom to which it is bound form heterocycloalkyl, heteroaryl, etc.; R5 = H, alkyl, aryl, etc.; n1-n3 = 0-6; X, Y = H, halo, alkyl, etc.; R8 = H, alkyl, aryl, etc.] which are deacetylase inhibitors and therefore suitable for pharmaceutical compns. having anti-proliferative properties, were prepared E.g., a 3-step synthesis of II, starting with 4-formylcinnamic acid, was given. The exemplified compds. I showed IC50 of 0.005-0.5 μM against HDA.

IC ICM C07D-0209/16
ICS C07C-0259/06; C07D-0417/12; C07D-0403/12; C07D-0471/04; C07D-0519/00; C07D-0295/02; A61K-0031/4045; A61K-0031/16; A61P-0035/00

CC 25-22 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT **Antitumor agents**
(preparation of hydroxamic acids as deacetylase inhibitors)

IT 404948-38-5P 404948-39-6P 404948-40-9P 404948-41-0P 404948-42-1P
404948-43-2P 404948-44-3P 404948-45-4P 404948-46-5P 404948-47-6P
404948-48-7P 404948-49-8P 404948-50-1P 404948-51-2P 404948-52-3P
404948-54-5P 404948-55-6P 404948-56-7P 404948-57-8P 404948-58-9P
404948-59-0P 404948-61-4P 404948-62-5P 404948-63-6P 404948-64-7P
404948-65-8P 404948-66-9P 404948-67-0P 404948-68-1P 404948-69-2P
404948-70-5P 404948-71-6P 404948-72-7P **404948-73-8P**
404948-74-9P 404948-75-0P 404948-76-1P 404948-77-2P 404948-78-3P
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404951-02-6P 404951-04-8P 404951-05-9P 404951-06-0P 404951-07-1P
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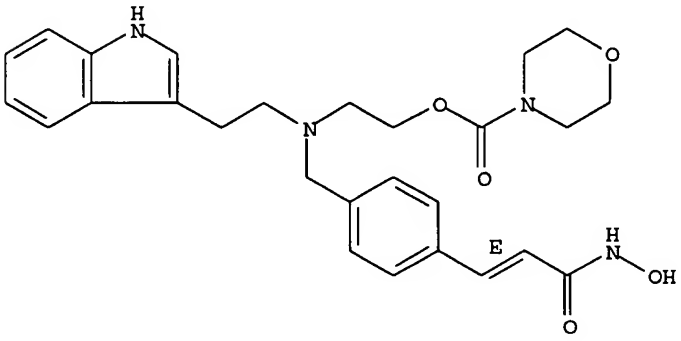
404951-13-9P 404951-14-0P 404951-16-2P 404951-17-3P 404951-18-4P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as deacetylase inhibitors)

IT **404948-73-8P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as deacetylase inhibitors)

RN 404948-73-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propenyl]phenyl]methyl][2-(1H-indol-3-yl)ethyl]amino]ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L28 ANSWER 6 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:220550 HCAPLUS
DN 136:263097
TI Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters.
IN Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike; Wang, Fengjian; Shao, Liming
PA Sepracor, Inc., USA
SO PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2002022572	A2	20020321	2001WO-US28654	20010912 <--
	WO2002022572	A3	20020801		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU2001090873	A5	20020326	2001AU-0090873	20010912 <--
	EP---1318988	A2	20030618	2001EP-0970926	20010912 <--
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	JP2004509103	T2	20040325	2002JP-0526825	20010912 <--
PRAI	2000US-231667P	P	20000911	<--	
	2001US-273530P	P	20010305		
	2001US-298057P	P	20010613		
	2000US-273530P	P	20010305		
	2000US-298057P	P	20010613		
	2001WO-US28654	W	20010912		
OS	MARPAT 136:263097				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

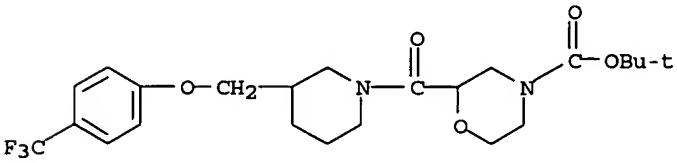
AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR,SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of depression, sexual dysfunction, Alzheimer's disease, anxiety, etc.

IC ICM C07D
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63, 75
IT Anti-Alzheimer's agents
Anti-inflammatory agents
Antidepressants
Antihypertensives
Antimigraine agents
Antiobesity agents
Anxiolytics
Biological transport
Combinatorial library
Drug dependence
Human
Lesch-Nyhan syndrome
Menstrual disorder
Sexual disorders
Vomiting
Wilson's disease
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)
IT 61472-23-9P 88466-74-4P 109887-33-4P 124391-76-0P 161285-05-8P
192214-05-4P 309746-98-3P 309747-00-0P 309748-10-5P 377780-25-1P
404589-54-4P 404886-44-8P 404886-47-1P 404886-67-5P 404886-75-5P
404886-79-9P 404887-03-2P 404887-33-8P 404893-17-0P 404893-18-1P
404893-32-9P 404914-02-9P 405065-11-4P 405065-18-1P 405066-12-8P
405066-49-1P 405086-71-7P 405086-73-9P 405086-75-1P 405086-86-4P
405086-93-3P 405086-95-5P 405086-98-8P 405087-03-8P 405087-05-0P
405087-13-0P 405087-16-3P 405087-18-5P 405087-19-6P 405087-21-0P
405087-30-1P 405087-32-3P 405087-41-4P 405087-42-5P 405087-44-7P
405087-46-9P 405087-47-0P 405087-50-5P 405087-52-7P 405087-53-8P
405087-54-9P 405087-56-1P 405087-58-3P 405087-59-4P 405087-62-9P
405087-63-0P 405087-70-9P 405087-71-0P 405087-72-1P 405087-74-3P
405087-75-4P 405087-76-5P 405087-79-8P 405087-80-1P 405087-81-2P
405087-83-4P 405087-84-5P 405087-85-6P 405087-86-7P 405087-89-0P
405087-90-3P 405087-91-4P 405087-95-8P 405087-96-9P 405087-98-1P
405088-96-2P 405088-98-4P 405088-99-5P 405089-04-5P 405089-05-6P
405089-07-8P 405089-09-0P 405089-10-3P 405089-16-9P 405089-18-1P
405089-24-9P 405089-25-0P 405089-26-1P 405089-28-3P 405089-30-7P
405089-32-9P 405089-34-1P 405089-37-4P 405089-53-4P 405089-55-6P
405089-56-7P 405089-59-0P 405089-61-4P 405089-64-7P 405089-66-9P
405089-67-0P 405089-72-7P 405089-75-0P 405089-76-1P 405089-78-3P
405089-80-7P 405089-81-8P 405089-83-0P 405089-86-3P 405089-87-4P
405089-88-5P 405089-90-9P 405089-94-3P 405090-09-7P 405090-10-0P
405090-11-1P 405090-12-2P 405090-13-3P 405090-14-4P
405090-20-2P 405090-21-3P **405090-22-4P** 405090-23-5P
405090-74-6P
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)
IT 62-53-3, Aniline, reactions 87-51-4, 1H-Indole-3-acetic acid, reactions
100-39-0, Benzyl bromide 100-52-7, Benzaldehyde, reactions 104-47-2, (4-Methoxyphenyl)acetonitrile 105-60-2, Azepan-2-one, reactions
106-39-8, 1-Bromo-4-chlorobenzene 106-89-8, Epichlorohydrin, reactions
108-95-2, Phenol, reactions 109-64-8, 1,3-Dibromopropane 140-53-4, (4-Chlorophenyl)acetonitrile 141-43-5, 2-Aminoethanol, reactions
150-76-5, 4-Methoxyphenol 156-87-6, 3-Amino-1-propanol 371-41-5, 4-Fluorophenol 402-44-8, 4-Trifluoromethylfluorobenzene 402-45-9, 4-Trifluoromethylphenol 402-49-3, 4-Trifluoromethylbenzylbromide
459-22-3, (4-Fluorophenyl)acetonitrile 533-31-3, Sesamol 536-38-9, 2-Bromo-4'-chloroacetophenone 825-83-2 828-27-3, 4-Trifluoromethoxyphenol 874-61-3, 4-Oxocyclohexanecarboxylic acid

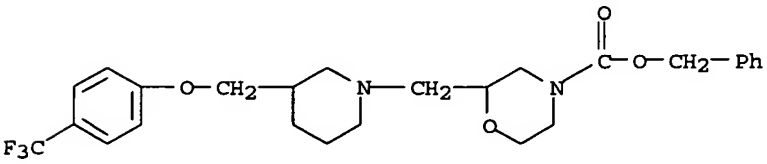
1529-41-5, (3-Chlorophenyl)acetonitrile 1878-66-6, 4-Chlorophenylacetic acid 2212-05-7 3471-31-6, (5-Methoxy-1H-indol-3-yl)acetic acid 4335-77-7 4439-02-5, (Benzo[1,3]dioxol-5-yl)acetonitrile 5728-52-9, [1,1'-Biphenyl]-4-acetic acid 6258-30-6 29786-44-5 32247-96-4, 1-Bromomethyl-3,5-bis(trifluoromethyl)benzene 33000-64-5, 2-Bromo-1-(4-chlorophenyl)-2-methylpropanone 38693-11-7, 2-Chloro-1-(5-chloro-1H-indol-3-yl)ethanone 39945-51-2, 3-Hydroxymethylpiperidine-1-carboxylic acid benzyl ester 40114-49-6, 1-Benzylpiperidin-3-one 49561-96-8, 4-(Trifluoromethoxy)phenylacetonitrile 50921-39-6 64051-79-2, 3-Hydroxypiperidine hydrochloride 70918-53-5, (R)-2,3-Dihydrobenzo[1,4]dioxine-2-carboxylic acid 70918-54-6, (S)-2,3-Dihydrobenzo[1,4]dioxine-2-carboxylic acid 74205-38-2 83602-37-3 84485-51-8, 1-(4-Chlorophenyl)-1-(carboxymethyl)cyclobutane 110013-18-8 110013-19-9 116574-71-1, 1-Boc-3-hydroxymethylpiperidine 118892-74-3 129383-92-2, 4-(Trifluoromethoxy)benzyltriphenylphosphonium bromide 142253-55-2 151157-53-8, 1-(4-(Trifluoromethoxy)phenyl)-1-(carboxy)cyclobutane 160706-62-7, (R)-1-Benzyloxycarbonylnipecotic acid 163343-71-3 183483-09-2 **189321-66-2** 201478-72-0 245057-78-7 404886-68-6 404886-96-0 405059-86-1, 3-(Phenoxymethyl)piperidine trifluoroacetate 405060-21-1, 3-(4-Methoxyphenoxymethyl)piperidine trifluoroacetate 405061-52-1 405063-39-0 405066-01-5, 1-(2-(Trifluoromethoxy)phenyl)-1-(bromoacetyl)cyclobutane 405090-67-7, 1-(4-Chlorophenyl)-1-(bromomethyl)cyclobutane 405090-72-4 405090-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

IT **405090-20-2P 405090-22-4P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

RN 405090-20-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[3-[[4-(trifluoromethyl)phenoxy]methyl]-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

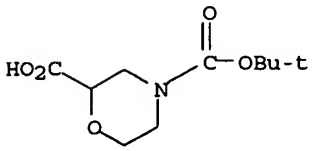


RN 405090-22-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[3-[[4-(trifluoromethyl)phenoxy]methyl]-1-piperidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **189321-66-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl

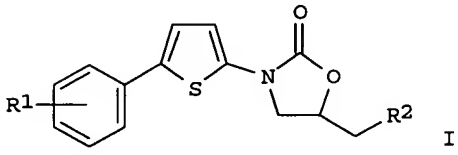
substituted analogs as ligands for monoamine receptors and transporters)
RN 189321-66-2 HCAPLUS
CN 2,4-Morpholinedicarboxylic acid, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L28 ANSWER 7 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:83983 HCAPLUS
DN 136:151156
TI Preparation of 3-(5-phenylthien-2-yl)oxazolidin-2-ones as TNF inhibitors
IN Mueller, Ulrich; Handke, Gabriele; Fischer, Ruediger; Petesch, Nicole; Schmeck, Carsten; Kretschmer, Axel; Nielsch, Ulrich; Bremm, Klaus-Dieter; Zaiss, Siegfried
PA Bayer A.-G., Germany
SO Ger. Offen., 54 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE--10034625	A1	20020131	2000DE-1034625	20000717 <--
PRAI 2000DE-1034625		20000717 <--		

OS MARPAT 136:151156
GI



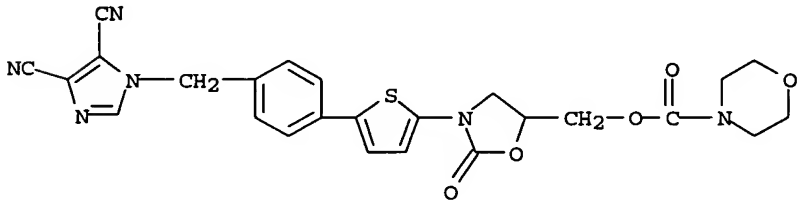
I

AB Title compds. [I; R1 = (substituted) (annelated) alkylheterocyclyl; R2 = amino (fused) OH], were prepared Thus, 1-(4-[5-(1-hydroxymethyl-2-oxooxazolidin-3-yl)thien-2-yl]benzyl)-1H-imidazole-4,5-dicarboxylic acid di-Me ester was obtained in an yield of 97% by Mitsunobu reaction of 3-[5-(4-formylphenyl)thien-2-yl]-5-[dimethyl-(1,1-dimethylethyl)silyloxymethyl]oxazolidin-2-one (preparation given) with 1H-imidazole-4,5-dicarboxylic acid di-Me ester. Several I tested by an enzyme-linked immuno sorbent assay (ELISA) gave 50% TNF-α biosynthesis inhibition with EC50 = 500-8,000 nM in human blood monocytes.
IC ICM C07D-0413/14
ICS A61K-0031/422
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT **Antiarteriosclerotics**
Antiarthritics
Human
(preparation of (phenylthienyl)oxazolidinones as TNF inhibitors)
IT 392681-83-3P 392681-85-5P **392681-87-7P** 392681-89-9P
392681-91-3P 392681-93-5P 392681-99-1P 392682-01-8P
392682-03-0P 392682-05-2P 392682-07-4P 392682-09-6P 392682-11-0P

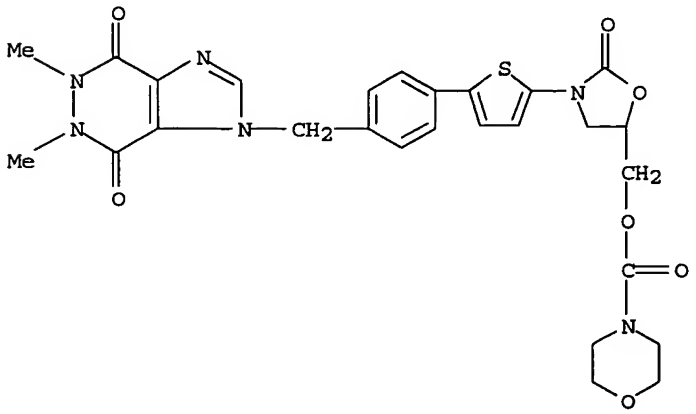
392682-13-2P 392682-15-4P **392682-17-6P** 392682-19-8P
392682-21-2P **392682-23-4P** 392682-25-6P 392682-27-8P
392682-29-0P 392682-31-4P 392682-33-6P 392682-35-8P 392682-37-0P
392682-39-2P 392682-41-6P **392682-43-8P** 392682-44-9P
392682-46-1P **392682-48-3P** 392682-50-7P 392682-53-0P
392682-55-2P 392682-57-4P 392682-59-6P 392682-61-0P 392682-63-2P
392682-64-3P 392682-66-5P 392682-68-7P 392682-70-1P 392682-72-3P
392682-74-5P 392682-76-7P 392682-78-9P 392682-80-3P 392682-82-5P
392682-84-7P 392682-86-9P 392682-88-1P 392682-90-5P 392682-92-7P
392682-94-9P 392682-96-1P 392682-98-3P 392683-00-0P 392683-02-2P
392683-04-4P 392683-06-6P 392683-08-8P 392683-10-2P 392683-12-4P
392683-14-6P 392683-16-8P 392683-18-0P 392683-20-4P 392683-22-6P
392683-24-8P 392683-26-0P 392683-28-2P 392683-30-6P 392683-32-8P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylthienyl)oxazolidinones as TNF inhibitors)

IT **392681-87-7P 392681-91-3P 392682-17-6P**
392682-23-4P 392682-43-8P 392682-48-3P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylthienyl)oxazolidinones as TNF inhibitors)

RN 392681-87-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, [3-[5-[4-[(4,5-dicyano-1H-imidazol-1-yl)methyl]phenyl]-2-thienyl]-2-oxo-5-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

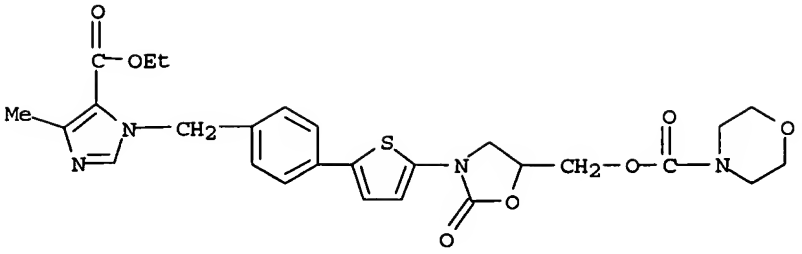


RN 392681-91-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, [2-oxo-3-[5-[4-[(4,5,6,7-tetrahydro-5,6-dimethyl-4,7-dioxo-1H-imidazo[4,5-d]pyridazin-1-yl)methyl]phenyl]-2-thienyl]-5-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

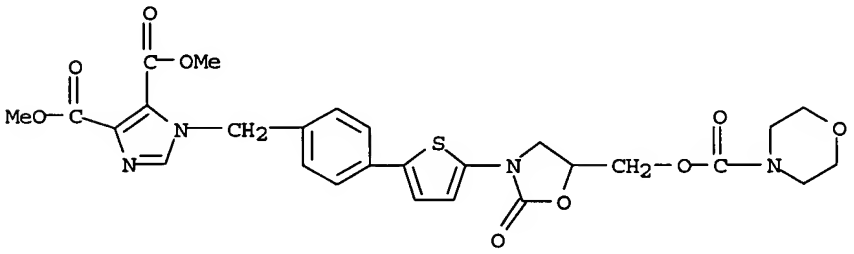


RN 392682-17-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, [3-[5-[4-[[5-(ethoxycarbonyl)-4-methyl-1H-imidazol-1-yl]methyl]phenyl]-2-thienyl]-2-oxo-5-oxazolidinyl]methyl ester

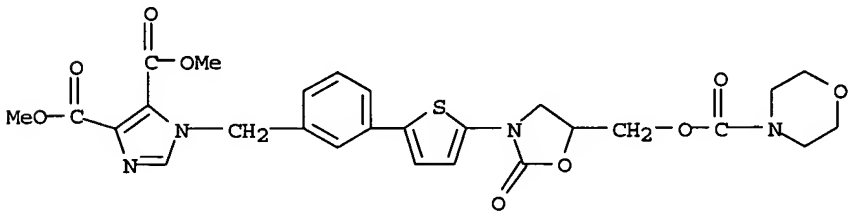
(9CI) (CA INDEX NAME)



RN 392682-23-4 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[5-[[(4-morpholinylcarbonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

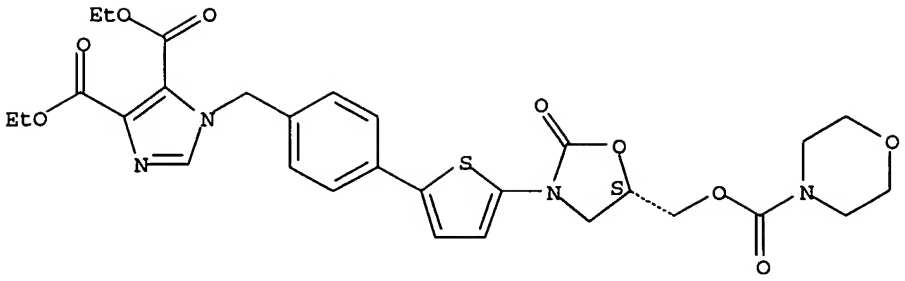


RN 392682-43-8 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[3-[5-[5-[[(4-morpholinylcarbonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 392682-48-3 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[(5S)-5-[[(4-morpholinylcarbonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]-2-thienyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

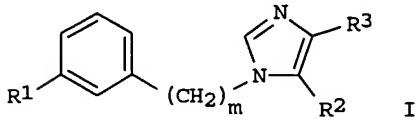
Absolute stereochemistry.



L28 ANSWER 8 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:83980 HCAPLUS
DN 136:134763
TI Preparation of 1-benzyl-1H-imidazoles as TNF inhibitors
IN Mueller, Ulrich; Handke, Gabriele; Fischer, Ruediger; Petesch, Nicole; Schmeck, Carsten; Kretschmer, Axel; Nielsch, Ulrich; Bremm, Klaus-Dieter
PA Bayer A.-G., Germany
SO Ger. Offen., 40 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE--10034622	A1	20020131	2000DE-1034622	20000717 <--
PRAI 2000DE-1034622		20000717 <--		

OS MARPAT 136:134763
GI



I

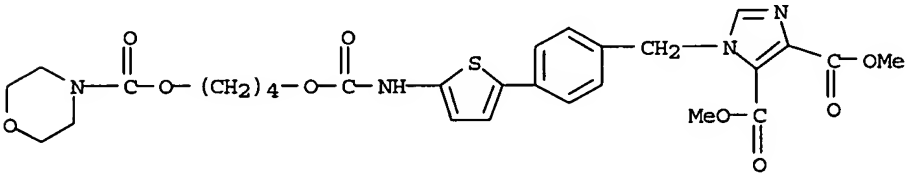
AB Title compds. [I; R2, R3 = (alkoxycarbonyl-substituted) hydrocarbon group; m = 1-6; R1 = (substituted) 5-6 membered heterocyclyl], were prepared Thus, a mixture of 2-thienylboronic acid, 1-[(4-phenyl)methyl]-1H-imidazole-4,5-dicarboxylic acid di-Me ester, and tetrakis(triphenylphosphine)-palladium(0) in THF was refluxed for 1 h followed by addition of Na2CO3 and reflux for 20 h to give 27% 1-[4-(2-thienyl)benzyl]-1H-imidazole-4,5-dicarboxylic acid di-Me ester. Several I tested by an enzyme-linked immuno sorbent assay (ELISA) gave 50% TNF-α biosynthesis inhibition with EC50 = 2.7-5.5 μM in human blood monocytes.

IC ICM C07D-0409/10
ICS C07D-0409/14; C07D-0413/12; A61K-0031/422; A61K-0031/4178
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT **Antiarteriosclerotics**
Antiarthritics
Human
(preparation of (benzyl)imidazoles as TNF inhibitors)
IT 392249-98-8P 392249-99-9P 392250-00-9P 392250-06-5P 392250-08-7P
392250-13-4P 392250-14-5P 392250-15-6P 392250-16-7P
392250-17-8P 392250-18-9P **392250-19-0P 392250-20-3P**
392250-21-4P 392250-23-6P **392250-24-7P** 392250-25-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

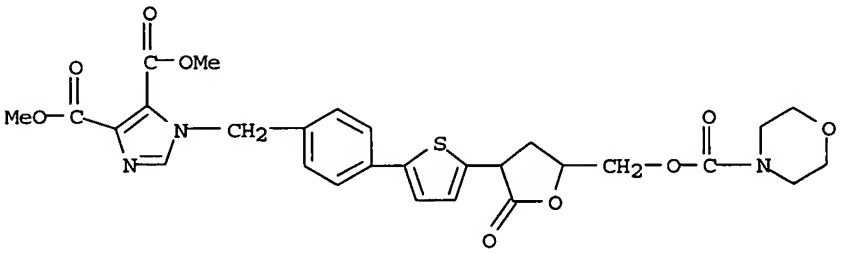
(Preparation); USES (Uses)
(preparation of (benzyl)imidazoles as TNF inhibitors)

IT **392250-13-4P 392250-14-5P 392250-19-0P**
392250-20-3P 392250-24-7P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(preparation of (benzyl)imidazoles as TNF inhibitors)

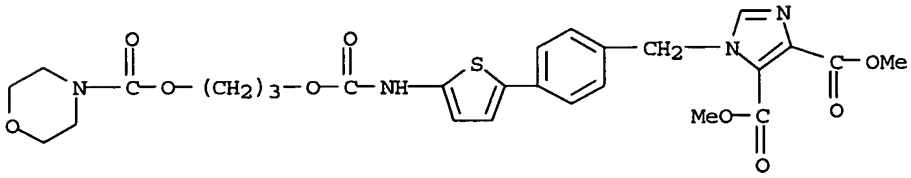
RN 392250-13-4 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[[[4-[(4-morpholinylcarbonyl)oxy]butoxy]carbonyl]amino]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



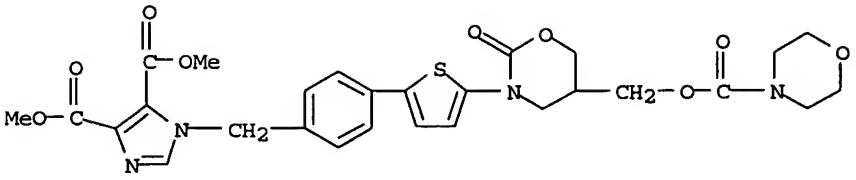
RN 392250-14-5 HCAPLUS
CN Pentonic acid, 2,3-dideoxy-2-[5-[4-[[4,5-bis(methoxycarbonyl)-1H-imidazol-1-yl]methyl]phenyl]-2-thienyl]-, γ-lactone, 5-(4-morpholinecarboxylate) (9CI) (CA INDEX NAME)



RN 392250-19-0 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[[[3-[(4-morpholinylcarbonyl)oxy]propoxy]carbonyl]amino]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

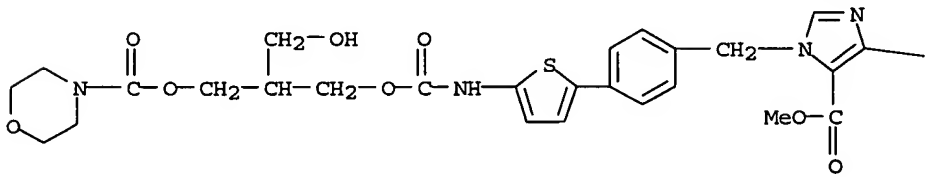


RN 392250-20-3 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[dihydro-5-[[(4-morpholinylcarbonyl)oxy]methyl]-2-oxo-2H-1,3-oxazin-3(4H)-yl]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 392250-24-7 HCAPLUS
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[[[2-(hydroxymethyl)-3-[(4-morpholinylcarbonyl)oxy]propoxy]carbonyl]amino]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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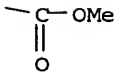
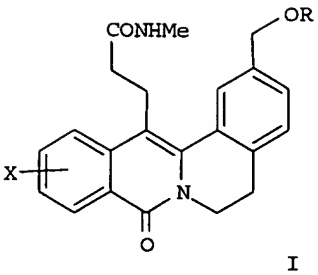


L28 ANSWER 9 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:713348 HCAPLUS
DN 135:273108
TI Preparation of 8-oxo-5,8-dihydro-6h-dibenzo[a,g]quinolizine-13-propanoic acid derivatives and the therapeutic use thereof
IN Dachary, Emmanuelle; Estenne-Bouhtou, Genevieve; George, Pascal; Gillet, Gerard; Granger, Patrick; Marabout, Benoit; Sevrin, Mireille
PA Sanofi-Synthelabo, Fr.
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001070739	A1	20010927	2001WO-FR00856	20010322 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR---2806723	A1	20010928	2000FR-0003723	20000323 <--
	FR---2806723	B1	20020510		
PRAI	2000FR-0003723	A	20000323 <--		

OS CASREACT 135:273108; MARPAT 135:273108
GI



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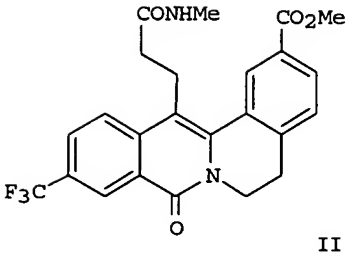


II

AB The invention concerns compds. I [X = H, halogen, C1-3-alkyl, C1-3-alkoxy, CF3; R = H, C1-4-alkyl, C3-5-cycloalkylmethyl, CH2Ph, CONR1R2; R1, R2 = H, C1-4-alkyl, or together, with the nitrogen atom bearing them, a morpholinyl or piperidinyl cycle, or still a group of general formula COR3; R3 = C1-4-alky] which have therapeutic application for disorders related to the transmission of GABA-ergics of GABAA receptors. Thus, I (X = CF3-10, R = CO-morpholino) was prepared from ester II via alc. I (X = X = CF3-10, R = H). GABAA receptor binding and the electrophysiol. of I were investigated (no data).

IC ICM C07D-0455/03
ICS A61K-0031/4375; A61P-0025/00

CC 31-4 (Alkaloids)
Section cross-reference(s): 1, 63

IT Acylation
Amidation
Anticonvulsants
Anxiolytics
Etherification
Reduction
(preparation and therapeutic use of 8-oxo-5,8-dihydro-6h-dibenzo[a,g]quinolizine-13-propanoic acid derivs.)

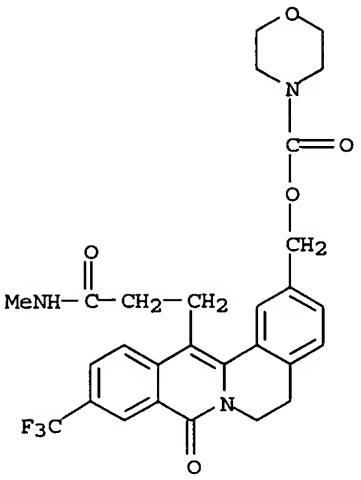
IT **Muscle relaxants**
(spasmolytics; preparation and therapeutic use of 8-oxo-5,8-dihydro-6h-dibenzo[a,g]quinolizine-13-propanoic acid derivs.)

IT 362509-47-5P 362509-51-1P 362509-55-5P 362509-59-9P 362509-63-5P
362509-67-9P 362509-71-5P 362509-75-9P 362509-79-3P 362509-83-9P
362509-87-3P 362509-91-9P 362509-95-3P 362509-99-7P 362510-03-0P
362510-06-3P 362510-09-6P 362510-11-0P 362510-14-3P
362510-17-6P 362510-19-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and therapeutic use of 8-oxo-5,8-dihydro-6h-dibenzo[a,g]quinolizine-13-propanoic acid derivs.)

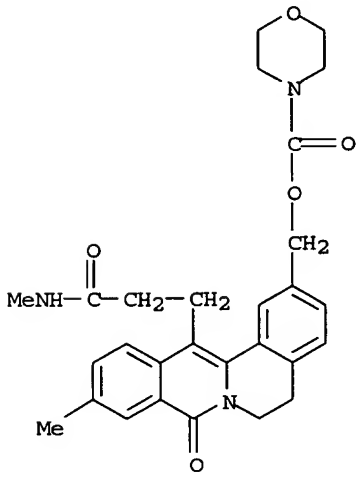
IT **362510-17-6P 362510-19-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and therapeutic use of 8-oxo-5,8-dihydro-6h-dibenzo[a,g]quinolizine-13-propanoic acid derivs.)

RN 362510-17-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, [5,8-dihydro-13-[3-(methylamino)-3-oxopropyl]-8-oxo-10-(trifluoromethyl)-6H-dibenzo[a,g]quinolizin-2-yl]methyl ester (9CI) (CA INDEX NAME)



RN 362510-19-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, [5,8-dihydro-10-methyl-13-[3-(methylamino)-3-oxopropyl]-8-oxo-6H-dibenzo[a,g]quinolizin-2-yl]methyl ester (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 10 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:472681 HCAPLUS
DN 135:76885
TI Preparation of 4-(4-pyrimidinyloxy)-2-butyn-1-ol derivatives as endothelin receptor antagonists
IN Bolli, Martin; Boss, Christoph; Clozel, Martine; Fischli, Walter
PA Actelion Pharmaceuticals Ltd., Switz.
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO2001046156 A1 20010628 2000WO-EP12743 20001214 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA---2389479 AA 20010628 2000CA-2389479 20001214 <--
AU2001035367 A5 20010703 2001AU-0035367 20001214 <--
EP---1244637 A1 20021002 2000EP-0991788 20001214 <--
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BR2000016241 A 20021112 2000BR-0016241 20001214 <--
JP2003518102 T2 20030603 2001JP-0547067 20001214 <--
ZA2002003796 A 20030813 2002ZA-0003796 20020513 <--
NO2002002971 A 20020620 2002NO-0002971 20020620 <--
US2003087920 A1 20030508 2002US-0168752 20020624 <--
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PRAI 1999WO-EP10276 W 19991222 <--
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OS MARPAT 135:76885
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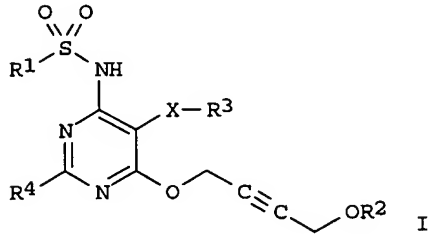

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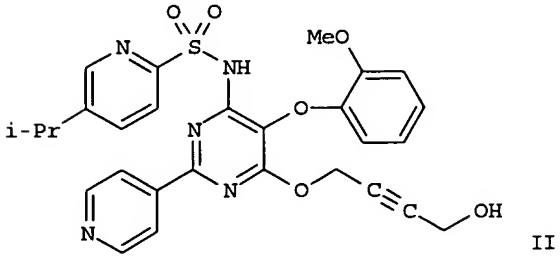

II

AB The title butynediol derivs. (I) [wherein R1 = (un)substituted Ph, heterocyclyl, 2-pyridyl, benzyl, or (hetero)aryl; R2 = H, alkyl, CF3 or (un)substituted Ph, heterocyclyl, heteroaryl, benzyl, 2-pyrimidyl, (hetero)aryl, (thio)carbamoyl, (thio)acyl, etc.; R3 = H, alkyl, or (un)substituted Ph, benzofuranyl, or heteroaryl; R4 = H, halo, CF3, alkyl, alkoxy(alkyl), alkylthio(alkyl), hydroxyalkyl, aminoalkyl(alkyl), aryl(alkyl), arylamino, arylthio, aryloxy, heteroaryl, heterocyclyl, or (un)substituted amino or Ph, etc.; X = O, S, NH, or a bond; or the enantiomers,diastereomers, and diastereomeric racemates thereof] were prepared as endothelin (ET) receptor antagonists. For example, cycloaddn. of 4-amidinopyridine•HCl to di-Me (o-methoxyphenoxy)malonate (preparation of starting materials given) to give the dihydroxypyrimidine, chlorination using PCl5, addition of 5-isopropylpyridine-2-sulfonamide•K, and reaction

with 2-butyne-1,4-diol afforded II. The latter inhibited the binding of [125I]endothelin-1 to microsomal membranes from recombinant CHO cells expressing recombinant ETA or ETB receptors with IC50 values of 26 nM and 77 nM, resp. I are useful for the treatment of endothelin-related disorders, such as circulatory disorders, proliferative disorders, migraine, asthma, and inflammatory disorders (no data).

IC ICM C07D-0239/52
ICS C07D-0239/34; C07D-0401/14; C07D-0401/04; C07D-0403/04; C07D-0413/14; C07D-0401/12; A61K-0031/505; C07D-0401/14; C07D-0239/00; C07D-0213/00; C07D-0213/00; C07D-0401/04; C07D-0239/00; C07D-0213/00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT Anti-inflammatory agents
Anti-ischemic agents
Antianginal agents
Antiasthmatics
Antihypertensives
Antimigraine agents
Antitumor agents
Cytotoxic agents
(preparation of 4-(4-pyrimidinyloxy)-2-butyn-1-ol endothelin receptor antagonists for treatment of circulatory disorders, proliferative disorders, migraine, asthma, and inflammatory disorders)

IT **Proliferation inhibition**
(**proliferation inhibitors**; preparation of 4-(4-pyrimidinyloxy)-2-butyn-1-ol endothelin receptor antagonists for treatment of circulatory disorders, proliferative disorders, migraine, asthma, and inflammatory disorders)

IT 346671-41-8P 346671-44-1P 346671-45-2P 346671-47-4P 346671-49-6P
346671-50-9P 346671-51-0P 346671-52-1P 346671-56-5P 346671-57-6P
346671-59-8P 346671-63-4P 346671-64-5P 346671-65-6P 346671-66-7P
346671-67-8P 346671-68-9P 346671-69-0P 346671-70-3P 346671-71-4P
346671-72-5P 346671-73-6P 346671-74-7P 346671-75-8P 346671-76-9P
346671-77-0P 346671-78-1P 346671-79-2P 346671-80-5P 346671-81-6P
346671-82-7P 346671-83-8P 346671-84-9P 346671-85-0P 346671-86-1P
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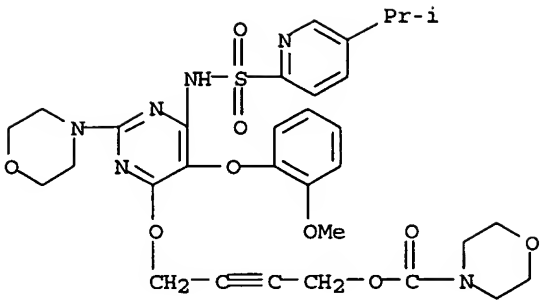
346672-12-6P 346672-13-7P 346672-14-8P 346672-15-9P 346672-16-0P
346672-17-1P 346672-18-2P 346672-19-3P **346672-20-6P**
346672-21-7P 346672-22-8P 346672-23-9P 346672-24-0P 346672-25-1P
346672-26-2P 346672-27-3P 346672-28-4P 346672-29-5P 346672-30-8P
346672-31-9P 346672-32-0P 346672-33-1P, N-Methyl-N-phenylcarbamic acid 4-[6-(5-isopropylpyridine-2-sulfonylamino)-5-(p-tolyl)pyrimidin-4-yloxy]-but-2-ynyl ester 346672-34-2P 346672-35-3P 346672-36-4P
346672-37-5P 346672-38-6P 346672-39-7P 346672-40-0P 346672-42-2P
346672-43-3P 346672-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(4-pyrimidinyloxy)-2-butyn-1-ol endothelin receptor antagonists by reaction of chloropyrimidines with 2-butyne-1,4-diols or hydroxy-protected 2-butyne-1,4-diols)

IT **346672-20-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(4-pyrimidinyloxy)-2-butyn-1-ol endothelin receptor antagonists by reaction of chloropyrimidines with 2-butyne-1,4-diols or hydroxy-protected 2-butyne-1,4-diols)

RN 346672-20-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 4-[[5-(2-methoxyphenoxy)-6-[[[5-(1-methylethyl)-2-pyridinyl]sulfonyl]amino]-2-(4-morpholinyl)-4-pyrimidinyl]oxy]-2-butynyl ester (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:380438 HCAPLUS
DN 135:24657
TI Selective cellular targeting: multifunctional delivery vehicles
IN Glazier, Arnold
PA Drug Innovation & Design, Inc., USA
SO PCT Int. Appl., 981 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001036003	A2	20010525	2000WO-US31262	20001114 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU2001016075	A5	20010530	2001AU-0016075	20001114 <--
	EP---1255567	A1	20021113	2000EP-0978631	20001114 <--
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PRAI	1999US-165485P	P	19991115 <--		
	2000US-239478P	P	20001011 <--		
	2000US-241937P	P	20001020 <--		
	2000WO-US31262	W	20001114 <--		
	2000US-0712465	B1	20001115 <--		

AB The present invention relates to the compns., methods, and applications of a novel approach to selective cellular targeting. The purpose of this invention is to enable the selective delivery and/or selective activation of effector mols. to target cells for diagnostic or therapeutic purposes. The present invention relates to multi-functional prodrugs or targeting vehicles wherein each functionality is capable of enhancing targeting selectivity, affinity, intracellular transport, activation or detoxification. The present invention also relates to ultralow dose, multiple target, multiple drug chemotherapy and targeted immunotherapy for cancer treatment.
IC ICM A61K-0047/48
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 2, 8, 15, 25, 28

IT **Antitumor agents**
Cell division
Chelating agents
Cytotoxic agents
Drug targeting
Imaging agents
Immunization
Immunostimulants
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT **Proliferation inhibition**
(**proliferation inhibitors**; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT **Antitumor agents**
(vaccines; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT **23214-92-8DP**, immucillin G derivs. 209799-75-7DP, doxorubicin derivs. 341549-52-8P 341549-53-9P 341549-71-1P 341549-87-9P
341552-14-5P 341552-35-0P 341552-87-2P 341553-15-9P 341553-47-7P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 50-07-7, Mitomycin c 57-22-7, Vincristine 58-85-5D, Biotin, masked derivs. 59-30-3D, Folic acid, masked derivs. 518-28-5D, Podophyllotoxin, derivs. 519-23-3D, Ellipticine, derivs. **865-21-4**, Vinblastine 7689-03-4, Camptothecin 10159-53-2D, Phosphoramide mustard, analogs 11116-31-7D, Bleomycin A2, derivs. 24280-93-1, Mycophenolic acid **33069-62-4D**, Taxol, derivs. 52128-35-5, Trimetrexate 65271-80-9D, Mitoxantrone, derivs. 77327-05-0, Didemnin B 112953-11-4 114899-77-3D, Ecteinascidin 743, derivs. 124689-65-2D, analogs 139987-54-5, BW 1843U89 175795-76-3 236743-94-5, Phthalascidin 265646-19-3, Indanocine
RL: PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

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341553-02-4P 341553-03-5P 341553-04-6P 341553-05-7P 341553-06-8P
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341553-12-6P 341553-13-7P 341553-14-8P 341553-16-0P 341553-17-1P
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341553-33-1P 341553-34-2P 341553-35-3P 341553-36-4P 341553-37-5P
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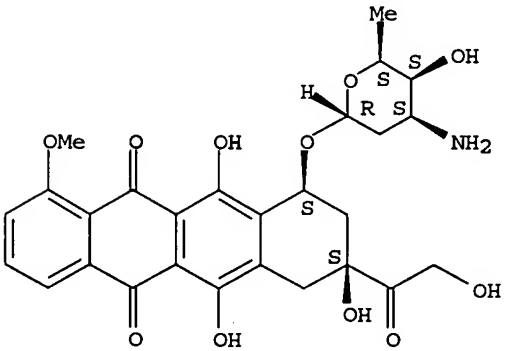
342393-40-2P 342395-76-0P 342395-83-9P 342395-94-2P 342398-29-2P
RL: PNU (Preparation, unclassified); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT **23214-92-8DP**, immucillin G derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

RN 23214-92-8 HCAPLUS
CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

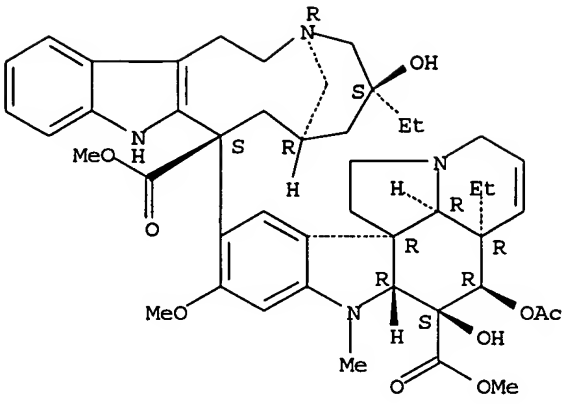
Absolute stereochemistry.



IT **865-21-4**, Vinblastine **33069-62-4D**, Taxol, derivs.
RL: PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)

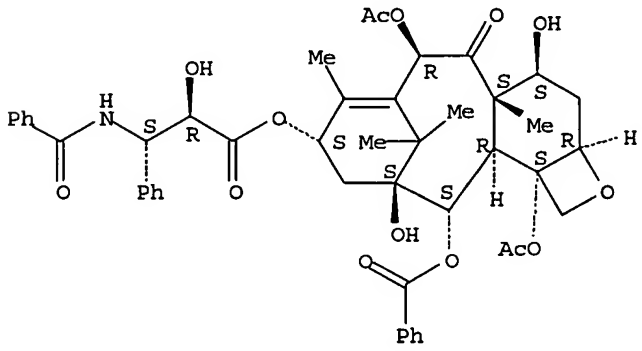
RN 865-21-4 HCAPLUS
CN Vincaleukoblastine (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



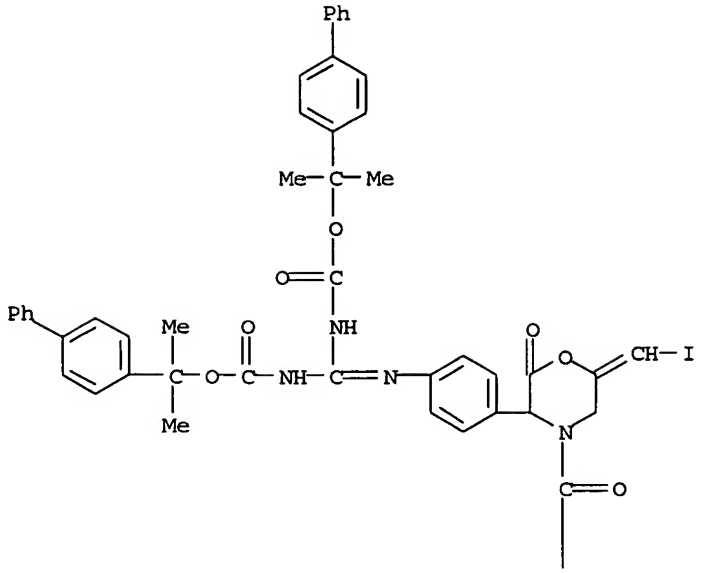
RN 33069-62-4 HCAPLUS
CN Benzenepropanoic acid, β-(benzoylamino)-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

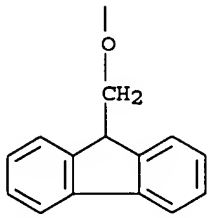


IT 341552-46-3P
RL: PNU (Preparation, unclassified); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of drugs)
RN 341552-46-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[4-[[[[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]amino][[(1-[1,1'-biphenyl]-4-yl-1-methylethoxy)carbonyl]imino]methyl]amino]phenyl]-6-(iodomethylene)-2-oxo-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L28 ANSWER 12 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:359799 HCAPLUS
DN 134:366803
TI Synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivatives as antiprotozoal agents
IN Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai; Ponpipom, Mitree M.; Qian, Xiaoxia; Girotra, Narindar; Fisher, Michael H.; Wyvratt, Matthew J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2001034150	A1	20010517	2000WO-US30948	20001110 <--

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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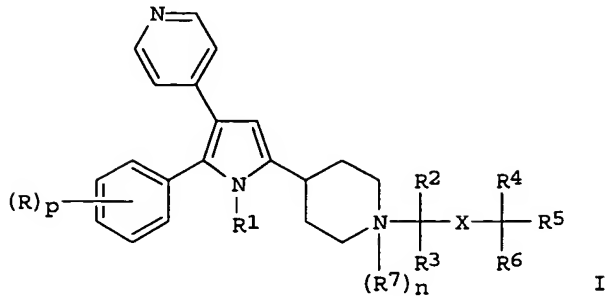
PRAI 1999US-165143P P 19991112 <--
OS MARPAT 134:366803
GI



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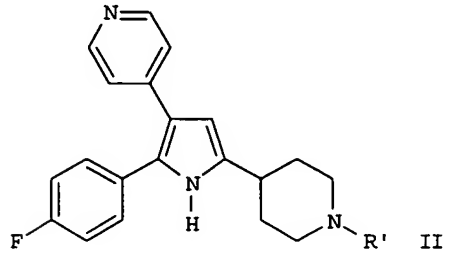

II

AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: n = 0-1; p = 1-3; X = bond, (CRaRa)p, cycloalkylene or cycloalkylidene; R = halo; R1 = H or alkyl; R2, R3 = H, (un)substituted alk(en/yn)yl, (un)substituted phenyl/benzyl, ester, or taken together are oxo; R4 = NH2 or CONH2 or their derivs.; R5, R6 = H, alk(en/yn)yl, cycloalkyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), or together represent oxo; or R4, R5 and the carbon to which they are attached form a 3-7 membered non-aromatic (substituted) ring containing a substituted nitrogen and (substituted) with an addnl. heteroatom chosen from O, S(O)0-2 and N; R7 = O or Me; Ra = H, alkyl or ether]. Approx. 170 compds. were prepared For instance, 4-picoline was lithiated and condensed with 4-FC6H4CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [R' = H], which was reductively N-alkylated by N-methyl-4-piperidone and NaBH(OAc)3 to give title compound II [R' = 1-methylpiperidin-4-yl].

IC ICM A61K-0031/4545
ICS C07D-0401/14
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 5, 18
IT 57-62-5, Chlortetracycline 59-06-3, Ethopabate 79-57-2, Oxytetracycline 121-25-5, Amprolium 148-01-6, Dinitolmide 330-95-0, Nicarbazin 2971-90-6, Clopidol 11054-70-9, Lasalocid 17090-79-8, Monensin 18507-89-6, Decoquinate 25875-51-8, Robenidine 53003-10-4, Salinomycin 55134-13-9, Narasin 55837-20-2, Halofuginone 101831-37-2, Diclazuril 113378-31-7, Semduramicin 119758-39-3, Maduramicin
RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); FFD (Food or feed use); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(combination anticoccidial agent containing; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

IT 340185-28-6P 340185-34-4P 340185-35-5P 340185-36-6P 340185-41-3P
340185-43-5P 340185-48-0P 340185-49-1P 340185-50-4P 340185-51-5P
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340185-68-4P 340185-69-5P 340185-75-3P 340185-80-0P 340185-81-1P
340185-84-4P 340186-07-4P 340186-16-5P 340186-32-5P 340186-35-8P
340186-38-1P 340186-39-2P 340186-40-5P 340186-41-6P 340186-42-7P
340186-43-8P 340186-44-9P 340186-53-0P **340186-64-3P**
340186-76-7P 340186-77-8P 340186-78-9P 340186-79-0P 340186-82-5P
340186-83-6P 340186-87-0P 340186-88-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

IT 340185-26-4P 340185-27-5P 340185-29-7P 340185-30-0P 340185-31-1P
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340187-07-7P 340187-08-8P 340187-09-9P 340187-10-2P 340187-40-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

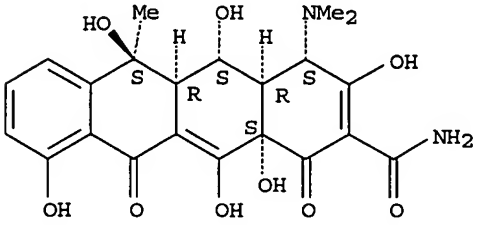
IT 79-10-7, Acrylic acid, reactions 96-34-4, Methyl chloroacetate
108-89-4, 4-Picoline 503-29-7, Azetidine 693-11-8 775-16-6,
N-Benzyl-3-pyrrolidinone 867-44-7 1069-72-3 1445-73-4,
N-Methyl-4-piperidinone 1956-21-4 2044-64-6 2235-46-3 2488-15-5
2592-18-9 2680-03-7, N,N-Dimethylacrylamide 2917-91-1 3033-77-0
3303-84-2 3601-66-9 3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride 3978-80-1 5241-64-5 5241-66-7 5455-98-1 5734-12-3,
2-Methylthio-2-imidazoline hydrochloride 5875-25-2, 2-Bromopropionamide
6972-41-4 7250-67-1, 1-(2-Chloroethyl)pyrrolidine hydrochloride
7764-95-6 13139-14-5 13726-69-7 14676-01-8 15030-72-5 15761-38-3
16948-16-6 17201-66-0 18942-49-9 19146-51-1 22818-40-2
26371-07-3, 1-Piperidinepropanoic acid 33996-33-7 34306-42-8
37784-17-1 40371-51-5 51077-14-6 55533-24-9 69610-41-9

70642-86-3 72155-45-4 79069-50-4 87184-23-4 88950-64-5
89943-03-3 95715-87-0 102308-32-7 116332-54-8 129101-25-3
142121-93-5 186431-96-9 **312965-04-1** 339989-63-8
340187-11-3 340187-12-4 340187-13-5 340187-14-6 340187-15-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

IT **79-57-2**, Oxytetracycline **11054-70-9**, Lasalocid
53003-10-4, Salinomycin
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(combination anticoccidial agent containing; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

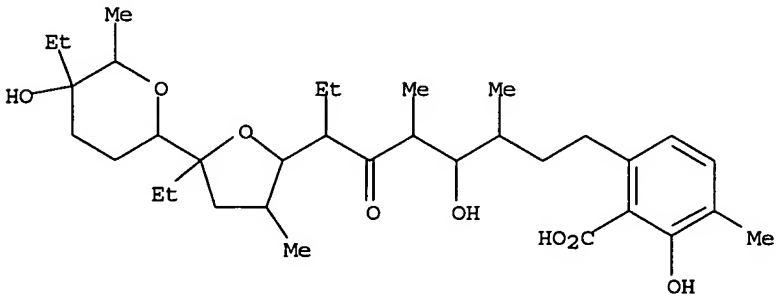
RN 79-57-2 HCAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,6,10,12,12a-hexahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6S,12aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



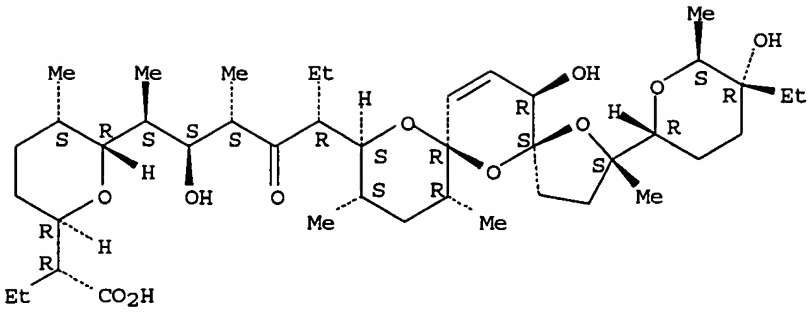
RN 11054-70-9 HCAPLUS
CN Benzoic acid, 6-[7-[5-ethyl-5-(5-ethyltetrahydro-5-hydroxy-6-methyl-2H-pyran-2-yl)tetrahydro-3-methyl-2-furanyl]-4-hydroxy-3,5-dimethyl-6-oxononyl]-2-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

Currently available stereo shown.

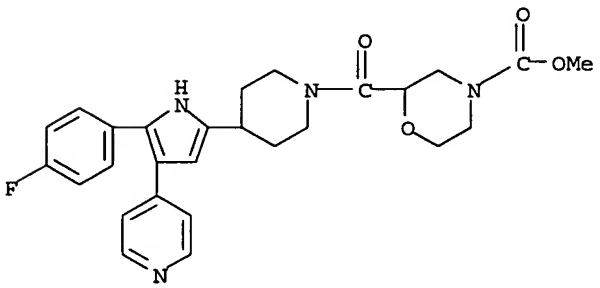


RN 53003-10-4 HCAPLUS
CN Salinomycin (9CI) (CA INDEX NAME)

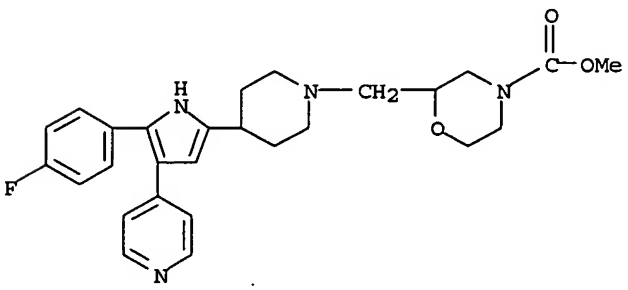
Absolute stereochemistry.



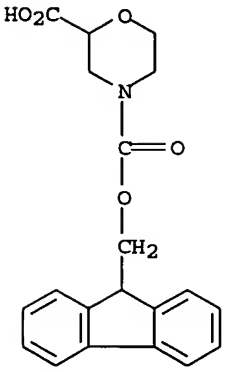
IT **340186-64-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)** ; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)
RN 340186-64-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **340186-65-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)
RN 340186-65-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 312965-04-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)
RN 312965-04-1 HCAPLUS
CN 2,4-Morpholinedicarboxylic acid, 4-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:12274 HCAPLUS
DN 134:86272
TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
IN Hunt, Julianne A.; Mills, Sander G.; Sinclair, Peter J.; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2001000214	A1	20010104	2000WO-US17472	20000626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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US---6316444	B1	20011113	2000US-0603699	20000626 <--
EP---1194152	A1	20020410	2000EP-0944858	20000626 <--
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JP2003503354	T2	20030128	2001JP-0505923	20000626 <--
PRAI 1999US-141597P	P	19990630 <--		
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OS MARPAT 134:86272
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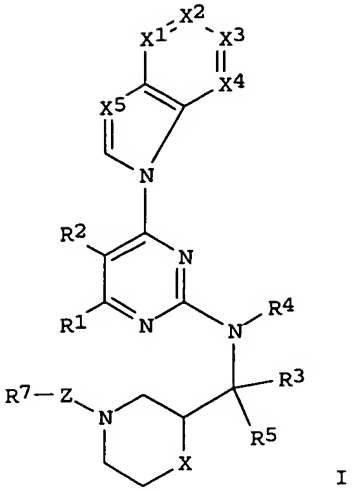


I

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, alkoxycarbonylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl (Ph or naphthyl unsubstituted or substituted with 1-3 substituents), or R3 and R5 taken together can represent :O. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl, or R4 and X can join together to form a 5- or 6-membered ring with substituted methylene or ethylene. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5 = N, CH. R7 = H, alkyl, alkoxy, amino. X = O, S, SO, SO2, imino. Z = C:O, SO2, substituted P(:O)(OH) or a single bond. 44 Example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

IC ICM A61K-0031/506
ICS C07D-0403/04; C07D-0403/14; C07D-0413/14; C07D-0417/14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7, 63

IT **Antiarteriosclerotics**
(antiatherosclerotics; preparation of pyrimidine derivs. useful as)

IT Anti-inflammatory agents
Chemotherapy
(in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)

IT **Anti-inflammatory agents**
(**nonsteroidal**; in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

IT **Angiogenesis inhibitors**
Antirheumatic agents
Antitumor agents
(preparation of pyrimidine derivs. useful as)

IT **Proliferation inhibition**

(proliferation inhibitors; in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)

IT 51-17-2, Benzimidazole 66-25-1, Hexanal 78-84-2, Isobutyraldehyde 86-84-0, 1-Naphthyl isocyanate 94-52-0, 5-Nitrobenzimidazole 98-09-9, Benzenesulfonyl chloride 100-39-0, Benzyl bromide 103-71-9, Phenyl isocyanate, reactions 105-36-2, Ethyl bromoacetate 110-73-6, 2-(Ethylamino)ethanol 120-72-9, Indole, reactions 141-90-2, Thiouracil 500-22-1, 3-Pyridinecarboxaldehyde 501-53-1, Benzyl chloroformate 661-69-8, Hexamethylditin 872-85-5, 4-Pyridinecarboxaldehyde 1120-87-2, 4-Bromopyridine 1121-60-4, 2-Pyridinecarboxaldehyde 2762-32-5, Piperazine-2-carboxylic acid 3934-20-1, 2,4-Dichloropyrimidine 25495-92-5, Iodohexane 36082-50-5, 2,4-Dichloro-5-bromopyrimidine 49844-90-8, 4-Chloro-2-methylthiopyrimidine 58632-95-4, 2-(tert-Butoxycarbonyloxyimino)-2-phenylacetonitrile 73183-34-3 146548-59-6, 2,4,6-Trimethoxybenzylamine hydrochloride 147650-70-2, (S)-Piperazine-2-carboxylic acid 317365-90-5, N-Benzyloxycarbonyloxy-5-norbornene-2,3-dicarboxamide **317365-91-6**, (R*,S*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine 317365-92-7, 2-Methylthio-4-[5-iodobenzimidazol-1-yl]pyrimidine 317830-67-4, 4-(Fluorenyloxycarbonyl)morpholine-2-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

IT **53123-88-9**, Rapamycin 104987-11-3, FK506
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

IT 934-22-5P, 5-Aminobenzimidazole **135782-20-6P**, 2-Hydroxymethyl-4-benzyloxycarbonylmorpholine 152192-95-5P, 2-(N-Tert-Butyloxycarbonyl-N-ethyl)aminoethanol 293292-31-6P, 2-Chloro-4-(benzimidazol-1-yl)-5-bromopyrimidine 315716-98-4P, 2-Hexylthio-4-[benzimidazol-1-yl]pyrimidine 315716-99-5P, 2-Methylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine 315717-00-1P, 2-Hexylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine 315717-71-6P, 2-Methylthio-4-[benzimidazol-1-yl]pyrimidine 315717-72-7P, 2-Hexylthio-4-hydroxypyrimidine 315717-73-8P, 4-Chloro-2-hexylthiopyrimidine 315718-04-8P, 2-Methylthio-4-[6-aminobenzimidazol-1-yl]pyrimidine 315718-06-0P, 2-(N-Tert-Butyloxycarbonyl-N-ethyl)aminoacetaldehyde 317364-83-3P, 2-Methylsulfonyl-4-[benzimidazol-1-yl]pyrimidine 317365-07-4P, (S,S)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-09-6P, 2-Methylsulfonyl-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]-pyrimidine 317365-12-1P 317365-14-3P, 2-Methylthio-4-[5-(2-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-27-8P **317365-31-4P**, 2-Aminomethyl-4-benzyloxycarbonylmorpholine 317365-32-5P, 2-[(Morpholin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-33-6P, 1-(Benzyloxycarbonyl)-2-hydroxymethyl-4-(tert-butyloxycarbonyl)piperazine 317365-34-7P, 1-(Benzyloxycarbonyl)-2-aminomethyl-4-(tert-butyloxycarbonyl)piperazine 317365-35-8P, 2-[(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-36-9P, 4-Fluorenyloxycarbonylmorpholin-2-(N-methyl-N-methoxy)carboxamide **317365-37-0P**, 4-Benzyloxycarbonylmorpholin-2-(N-methyl-N-methoxy)carboxamide **317365-38-1P**, 2-Acetyl-4-benzyloxycarbonylmorpholine **317365-39-2P**, (R*,R*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine **317365-40-5P**, (R*,R*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine **317365-41-6P**, (R*,R*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine **317365-42-7P**, (R*,S*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine **317365-43-8P**, (R*,S*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-44-9P, 1-Benzyloxycarbonyl-4-tert-butyloxycarbonylpiperazin-2-(N-methyl-N-methoxy)carboxamide 317365-45-0P, 1-Benzyloxycarbonyl-2-acetyl-4-tert-

butyloxycarbonylpiperazine 317365-46-1P, (R*,R*)-1-Benzyloxycarbonyl-2-(1-hydroxyethyl)-4-tert-butyloxycarbonylpiperazine 317365-47-2P, (R*,R*)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-48-3P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-49-4P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-50-7P, (R*,S*)-1-Benzyloxycarbonyl-2-(1-hydroxyethyl)-4-tert-butyloxycarbonylpiperazine 317365-51-8P, (R*,S*)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-52-9P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-53-0P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-54-1P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-55-2P, 2-[1-(4-(Tert-Butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)pyrimidine 317365-56-3P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-57-4P, 2-[7-Methyl-4-(N-tert-butyloxycarbonyl)-1,4,8-triazabicyclo[4.3.0]nonan-8-yl]-4-[benzimidazol-1-yl]pyrimidine 317365-58-5P, 2-[1-(1-Methyl-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-59-6P, 2-[Benzimidazol-1-yl]-4-methylthiopyrimidine 317365-60-9P, 2-[Benzimidazol-1-yl]-4-methylsulfonylpyrimidine 317365-61-0P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]pyrimidine 317365-62-1P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]pyrimidine 317365-63-2P, (S)-1-Benzyloxycarbonyl-4-tert-butyloxycarbonylpiperazin-2-(N-methyl-N-methoxy)carboxamide 317365-64-3P, (S)-1-Benzyloxycarbonyl-2-acetyl-4-tert-butyloxycarbonylpiperazine 317365-65-4P 317365-66-5P 317365-67-6P, 2-Methylsulfonyl-4-[indol-1-yl]pyrimidine 317365-68-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-69-8P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-70-1P 317365-72-3P 317365-74-5P, 2-Methylthio-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-75-6P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-76-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-77-8P, 2-Methylthio-4-[5-trimethylstannylbenzimidazol-1-yl]pyrimidine 317365-78-9P, 2-Methylthio-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-79-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-80-3P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-81-4P, 2-Methylthio-4-[5-(pinacolatoboronyl)benzimidazol-1-yl]pyrimidine 317365-82-5P, 2-Methylthio-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-83-6P, 2-Methylsulfonyl-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-84-7P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-85-8P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-86-9P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-87-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-88-1P, (S,S)-2-[1-(4-(N-Naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine

317829-95-1P, Methyl 4-(fluorenyloxycarbonyl)morpholine-2-carboxylate **317829-96-2P**, 2-Hydroxymethyl-4-(fluorenyloxycarbonyl)morpholine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

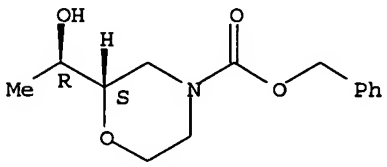
IT **317364-84-4P**, 2-[(4-(Benzyloxycarbonyl)morpholin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation as inhibitor of Src-family protein tyrosine kinases and deprotection of)

IT **317365-91-6**, (R*,S*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

RN 317365-91-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



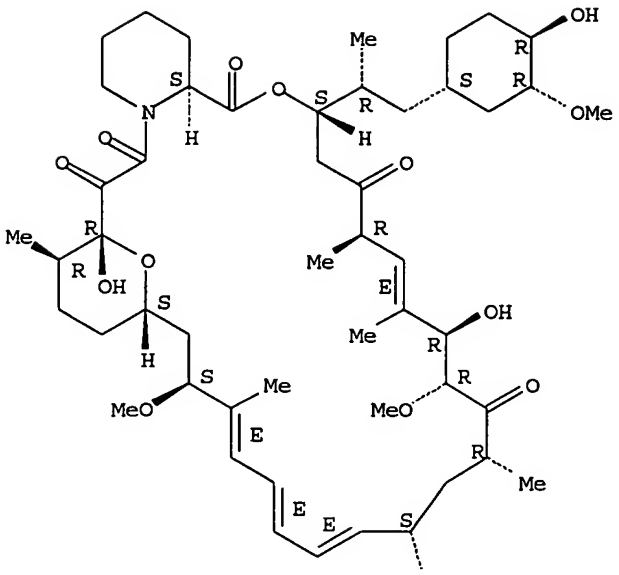
IT **53123-88-9**, Rapamycin
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

RN 53123-88-9 HCAPLUS

CN Rapamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

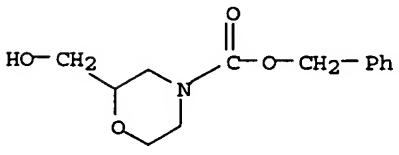


PAGE 2-A

IT 135782-20-6P, 2-Hydroxymethyl-4-benzyloxycarbonylmorpholine
317365-31-4P, 2-Aminomethyl-4-benzyloxycarbonylmorpholine
317365-37-0P, 4-Benzyloxycarbonylmorpholin-2-(N-methyl-N-methoxy)carboxamide 317365-38-1P, 2-Acetyl-4-benzyloxycarbonylmorpholine 317365-39-2P, (R*,R*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine 317365-40-5P, (R*,R*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine 317365-41-6P, (R*,R*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-42-7P, (R*,S*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine 317365-43-8P, (R*,S*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317829-95-1P, Methyl 4-(fluorenyloxycarbonyl)morpholine-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

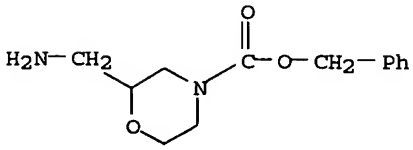
RN 135782-20-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(hydroxymethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

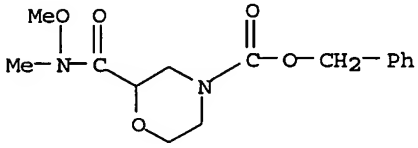


RN 317365-31-4 HCAPLUS

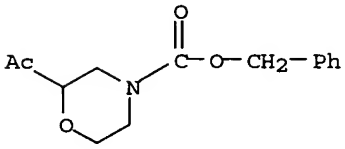
CN 4-Morpholinecarboxylic acid, 2-(aminomethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 317365-37-0 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(methoxymethylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

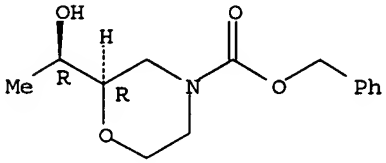


RN 317365-38-1 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-acetyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



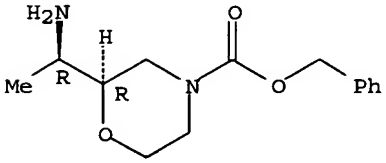
RN 317365-39-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



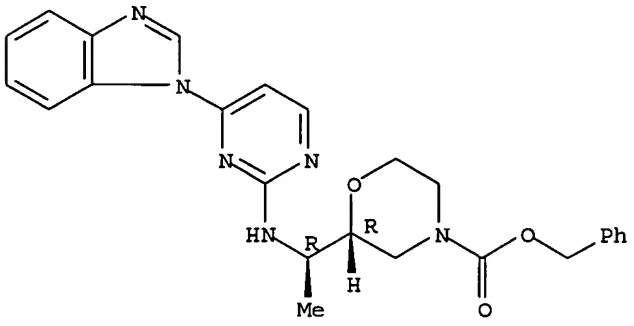
RN 317365-40-5 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-aminoethyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



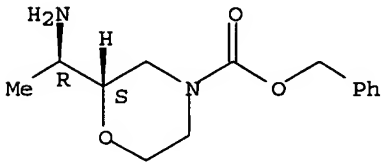
RN 317365-41-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



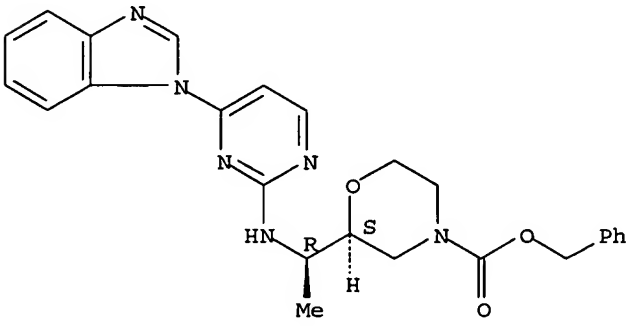
RN 317365-42-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-aminoethyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

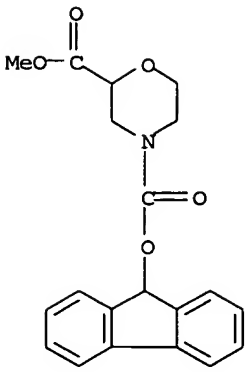


RN 317365-43-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

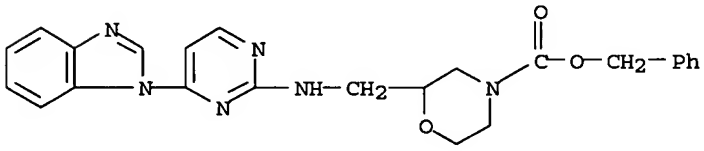
Relative stereochemistry.



RN 317829-95-1 HCAPLUS
CN 2,4-Morpholinedicarboxylic acid, 4-(9H-fluoren-9-yl) 2-methyl ester (9CI) (CA INDEX NAME)



IT 317364-84-4P, 2-[(4-(Benzyloxycarbonyl)morpholin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation as inhibitor of Src-family protein tyrosine kinases and deprotection of)
RN 317364-84-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:12273 HCAPLUS
DN 134:86271
TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
IN Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001000213	A1	20010104	2000WO-US17443	20000626 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA---2383546 AA 20010104 2000CA-2383546 20000626 <--
EP---1206265 A1 20020522 2000EP-0941701 20000626 <--
EP---1206265 B1 20031112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
US---6498165 B1 20021224 2000US-0604305 20000626 <--
JP2003523942 T2 20030812 2001JP-0505922 20000626 <--
AT----253915 E 20031115 2000AT-0941701 20000626 <--
PRAI 1999US-141639P P 19990630 <--
2000WO-US17443 W 20000626 <--
OS MARPAT 134:86271
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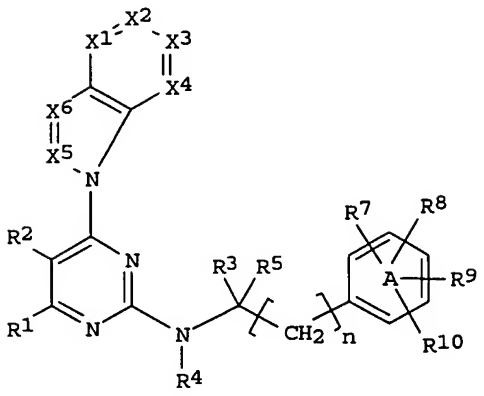

I

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example prepns. are given, but no preparative method is claimed and no data

relating to the usefulness of the compds. are given.
IC ICM A61K-0031/506
ICS C07D-0401/14; C07D-0403/04; C07D-0403/14
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7, 63
IT **Antiarteriosclerotics**
(antiatherosclerotics; preparation of pyrimidine derivs. useful as)
IT Anti-inflammatory agents
Chemotherapy
(in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)
IT **Anti-inflammatory agents**
(**nonsteroidal**; in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)
IT **Angiogenesis inhibitors**
Antirheumatic agents
Antitumor agents
(preparation of pyrimidine derivs. useful as)
IT **Proliferation inhibition**
(**proliferation inhibitors**; in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)
IT **53123-88-9**, Rapamycin 104987-11-3, FK506
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)
IT 267-87-8P, 5,6-Methylenedioxybenzimidazole 269-07-8P, Naphtho[2,3-d]imidazole 326-55-6P, 5-Trifluoromethylbenzimidazole 934-22-5P, 5-Aminobenzimidazole 1576-46-1P, 3-(N,N-Diethylaminosulfonyl)benzoic acid 2223-96-3P, 2-Ethylthio-4-chloro-5-(ethoxycarbonyl)pyrimidine 5518-76-3P, 2-(Ethylthio)-4-hydroxy-5-(ethoxycarbonyl)pyrimidine 5731-17-9P, 1-Benzyl-3-hydroxymethylpyrrolidine 5973-83-1P, 3-Acetylpyridine hydroxime 6148-64-7P, Potassium ethyl malonate 6287-83-8P, 5-Cyanobenzimidazole 6478-73-5P, 5,6-Dichlorobenzimidazole 13480-95-0P, 2-(Ethylthio)-4-hydroxy-5-methylpyrimidine 13480-96-1P, 2-(Ethylthio)-4-chloro-5-methylpyrimidine 13493-88-4P, N-Methylpyrrolidine-2-carboxaldehyde 26663-77-4P, 5-Methoxycarbonylbenzimidazole 27835-00-3P, Ethyl 4-methylbenzoylacetate 38158-26-8P, 3-(N,N-Diethylaminosulfonyl)acetophenone 39807-30-2P, 3-Chloro-6-(3-dimethylaminopropan-1-oxy)pyridazine 39945-51-2P, 1-Benzyloxycarbonyl-3-piperidinemethanol 41292-65-3P, 5-Hydroxybenzimidazole 46118-11-0P, 2-Ethylthio-4-hydroxy-5-cyanopyrimidine 53449-18-6P, lin-Benzohypoxanthine 56129-55-6P, 1-(3-Pyridyl)ethylamine 60189-64-2P, lin-Benzoxanthine 61587-90-4P, 6-Methyl-5-nitrobenzimidazole 62459-07-8P, 2-Ethylthio-4-hydroxy-6-propylpyrimidine 72351-49-6P, 1-Benzylpyrrolidine-3-carboxaldehyde 76116-24-0P, (R)-1-(3-Nitrophenyl)ethanol 82718-15-8P, 5-(Pyridin-4-yl)benzimidazole 86954-05-4P, 1-Benzyloxycarbonyl-2-pyrrolidinemethanol 105706-75-0P, 1-Benzyloxycarbonyl-2-piperidinemethanol 105706-76-1P, 1-Benzyloxycarbonylpiperidine-2-carboxaldehyde 105706-84-1P, 1-Benzyloxycarbonylpyrrolidine-2-carboxaldehyde 106429-29-2P, 5-Hydroxymethylbenzimidazole 109943-02-4P, 5-Chloro-6-methylbenzimidazole 126937-42-6P, Methyl 1-(benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazine-2-carboxylate 127852-22-6P, (S)-1-(3-Cyanophenyl)ethylamine 135782-20-6P, 4-Benzyloxycarbonyl-2-hydroxymethylmorpholine 157991-72-5P, 2,5-Dimethyl-3-acetylfuran hydroxime 167993-16-0P, Benzimidazole-5,6-dicarboxylic anhydride 167993-17-1P, 5,6-Di(methoxycarbonyl)benzimidazole 177843-72-0P, 5-Amino-6-methylbenzimidazole 179323-60-5P, 1-(Pyrazin-2-yl)ethylamine 192717-32-1P, 5-(N-Methyl-N-methoxyaminocarbonyl)benzimidazole 201478-72-0P, 1-Benzyloxycarbonylpiperidine-3-carboxaldehyde 210827-43-3P, 5-Aminosulfonylbenzimidazole 297730-25-7P, (S)-1-(3-Nitrophenyl)-1-aminoethane 315716-98-4P, 2-Hexylthio-4-[benzimidazol-1-yl]pyrimidine 315716-99-5P, 2-Methylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine 315717-00-1P, 2-Hexylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine

315717-42-1P, 2-Hexylthio-4-[5-iodobenzimidazol-1-yl]pyrimidine
315717-64-7P, 2-Hexylthio-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine
315717-71-6P, 2-Methylthio-4-[benzimidazol-1-yl]pyrimidine 315717-72-7P,
2-Hexylthio-4-hydroxypyrimidine 315717-73-8P, 4-Chloro-2-
hexylthiopyrimidine 315717-85-2P, 2-Methylthio-4-[5-(N-methyl-N-
methoxyaminocarbonyl)benzimidazol-1-yl]pyrimidine 315717-86-3P,
2-Methylthio-4-[6-(N-methyl-N-methoxyaminocarbonyl)benzimidazol-1-
yl]pyrimidine 315717-94-3P, 2-Hexylsulfinyl-4-[5-(pyridin-4-
yl)benzimidazol-1-yl]pyrimidine 315717-98-7P, 2-Hexylthio-4-[5-
trimethylstannylbenzimidazol-1-yl]pyrimidine 315717-99-8P,
2-Hexylsulfonyl-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine
315718-04-8P, 2-Methylthio-4-[6-aminobenzimidazol-1-yl]pyrimidine
317364-83-3P, 2-Methylsulfonyl-4-[benzimidazol-1-yl]pyrimidine
317365-33-6P, 1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)-2-
hydroxymethylpiperazine 317824-78-5P, 2-[(S)-1-Phenylethylamino]-4-
chloropyrimidine 317826-53-2P, 2-[(S)-1-Phenylethylamino]-4-[6-(4-
tributylstannyl-1,2,3-triazol-1-yl)benzimidazol-1-yl]pyrimidine
317826-66-7P, 2-Ethylthio-4-(benzimidazol-1-yl)-5-
(ethoxycarbonyl)pyrimidine 317826-99-6P, 2-[(S)-1-Phenylethylamino]-4-[5-
(tributylstannyl)benzimidazol-1-yl]pyrimidine 317828-02-7P,
2-[(S)-1-Phenylethylamino]-4-[5-trimethylstannylbenzimidazol-1-
yl]pyrimidine 317829-65-5P, 3-(N,N-Diethylaminosulfonyl)-N-methoxy-N-
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(3-acetyl)benzoate 317829-74-6P, (R)-1-(3-Tert-
Butyloxycarbonylphenyl)ethanol 317829-75-7P, (R)-1-(3-Tert-
Butyloxycarbonylphenyl)ethyl methanesulfonyl ether 317829-76-8P,
(S)-1-(3-Tert-Butyloxycarbonylphenyl)-1-azidoethane 317829-77-9P,
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2-Methylthio-4-[5-carboxybenzimidazol-1-yl]pyrimidine 317829-79-1P,
2-Methylthio-4-[6-carboxybenzimidazol-1-yl]pyrimidine 317829-80-4P,
2-Methylsulfonyl-4-[5-carboxybenzimidazol-1-yl]pyrimidine 317829-81-5P,
2-Methylsulfonyl-4-[6-carboxybenzimidazol-1-yl]pyrimidine 317829-83-7P,
2-[(S)-1-Phenylethylamino]-4-[5-carboxybenzimidazol-1-yl]pyrimidine
317829-84-8P, 2-[(S)-1-Phenylethylamino]-4-[6-carboxybenzimidazol-1-
yl]pyrimidine 317829-86-0P, 2-Methylthio-4-[6-N-
(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317829-88-2P,
2-Methylsulfonyl-4-[6-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine
317829-90-6P, 5-(4-Formylaminophenoxy)benzimidazole 317829-92-8P,
2-[(S)-1-Phenylethylamino]-4-[5-N-((S)-1-(benzyloxycarbonyl)pyrrolidin-2-
oyl)aminobenzimidazol-1-yl]pyrimidine 317829-93-9P, 2-[(S)-1-
Phenylethylamino]-4-[5-N-(N-(tert-butyloxycarbonyl)piperidin-4-
oyl)aminobenzimidazol-1-yl]pyrimidine 317829-94-0P, 2-[(S)-1-
Phenylethylamino]-4-[5-N-(N-(tert-butyloxycarbonyl)piperidin-3-
oyl)aminobenzimidazol-1-yl]pyrimidine 317829-95-1P
317829-96-2P 317829-97-3P, 4-Benzyloxycarbonylmorpholine-2-
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2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonylpiperazin-2-
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2-Methylthio-4-[6-(methylcarbonyl)benzimidazol-1-yl]pyrimidine
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2-Ethylthio-4-(benzimidazol-1-yl)-5-methylpyrimidine 317830-06-1P,
2-[(S)-1-Phenylethylamino]-4-hydroxy-6-methylpyrimidine 317830-07-2P,
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2-Ethylthio-4-chloro-6-propylpyrimidine 317830-09-4P,
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

IT 315717-70-5P, 2-Hexylthio-4-[6-aminobenzimidazol-1-yl]pyrimidine 317823-66-8P, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-67-9P, 2-[(R)-1-Phenylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-68-0P, 2-[(S)-1-Phenylethyl-N-methylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-69-1P, 2-Benzylamino-4-[benzimidazol-1-yl]pyrimidine 317823-71-5P, 2-[Diphenylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-72-6P, 2-[2-Phenylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-73-7P, 2-[3,4-Methylenedioxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-74-8P, 2-[2,3-Dichlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-75-9P, 2-[1-Phenyl-1-methylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-76-0P, 2-[2-Pyridylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-77-1P, 2-[2-(2-Methoxyphenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-78-2P, 2-[1-Naphthylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-79-3P, 2-[4-Methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-81-7P, 2-[2-Methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-82-8P, 2-[3-Methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-83-9P, 2-[4-Methoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-84-0P, 2-[2-Methoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-85-1P, 2-[3-Methoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-86-2P, 2-[2-Furanylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-87-3P, 2-[(4-Fluorobenzyl)amino]-4-[benzimidazol-1-yl]pyrimidine 317823-88-4P, 2-[4-Trifluoromethoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-89-5P, 2-[3-Trifluoromethylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-91-9P, 2-[3,4-Dichlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-92-0P, 2-[2-Fluorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-93-1P, 2-[2-Chlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-94-2P, 2-[2-Chloro-6-methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-95-3P, 2-[3-Chlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-96-4P, 2-[3,5-Dichlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-97-5P, 2-[3-Fluorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine

317823-98-6P, 2-[3-Bromobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317823-99-7P, 2-[3-Phenylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-00-3P, 2-[3,5-Difluorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-01-4P, 2-[2-Bromobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-02-5P, 2-[3-Iodobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-03-6P, 2-[3-Fluoro-5-trifluoromethylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-04-7P, 2-[3-Nitrobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-05-8P, 2-[4-Chlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-06-9P, 2-[(S)-1-(1-Naphthyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-07-0P, 2-[(S)-1-(2-Naphthyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-08-1P, 2-[(S)-1-Phenylpropylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-09-2P, 2-[3,5-Bis(trifluoromethyl)benzylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-10-5P, 2-[(S)-1-Phenyl-2-hydroxyethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-13-8P, 2-[4-Pyridylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-14-9P, 2-[(R)-1-(3-Nitrophenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-15-0P, 2-[(S)-1-(4-Methylphenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-16-1P, 2-[((S)-1-(3-N,N-Diethylaminosulfonylphenyl)ethyl)amino]-4-[benzimidazol-1-yl]pyrimidine 317824-17-2P, 2-[((S)-1-(3-Pyridyl)ethyl)amino]-4-[benzimidazol-1-yl]pyrimidine 317824-18-3P, 2-[(S)-1-(3-Cyanophenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-22-9P, 2-[(S)-1-(3-(Benzylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-23-0P, 2-[(S)-1-(3-(1-Naphthylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-24-1P, 2-[(S)-1-(3-(1-Ethylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-25-2P, 2-[(S)-1-(3-(Phenylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-26-3P, 2-[(S)-1-(3-(2-Phenylethylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-27-4P, 2-[(S)-1-(3-(Ethoxycarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-28-5P, 2-[(S)-1-(3-(N-Benzyl-N-methylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-29-6P, 2-[(S)-1-(3-((S)-1-Phenylethylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-30-9P, 2-[(S)-1-(3-((4-Pyridylmethyl)aminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-31-0P, 2-[(S)-1-(3-(Indol-5-ylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-32-1P, 2-[(S)-1-(3-((4-Chlorophenyl)aminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-33-2P, 2-[(S)-1-(3-((4-Methoxyphenyl)aminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317824-34-3P, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzimidazol-1-yl]pyrimidine 317824-35-4P, 2-[(S)-1-Phenylethylamino]-4-[2-methylbenzimidazol-1-yl]pyrimidine 317824-37-6P, 2-[(S)-1-Phenylethylamino]-4-[5,6-dimethylbenzimidazol-1-yl]pyrimidine
317824-38-7P, 2-[(S)-1-Phenylethylamino]-4-[5-methoxybenzimidazol-1-yl]pyrimidine 317824-39-8P, 2-[(S)-1-Phenylethylamino]-4-[5-nitrobenzimidazol-1-yl]pyrimidine 317824-40-1P, 2-[(S)-1-Phenylethylamino]-4-[5-bromobenzimidazol-1-yl]pyrimidine 317824-41-2P, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzotriazol-1-yl]pyrimidine
317824-43-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(acetyl)aminobenzimidazol-1-yl]pyrimidine 317824-44-5P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(acetyl)aminobenzimidazol-1-yl]pyrimidine 317824-45-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(pyridin-3-oyl)aminobenzimidazol-1-yl]pyrimidine 317824-46-7P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(pyridin-3-oyl)aminobenzimidazol-1-yl]pyrimidine 317824-47-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(pyridin-4-oyl)aminobenzimidazol-1-yl]pyrimidine
317824-48-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-bromobenzoyl)aminobenzimidazol-yl]pyrimidine 317824-49-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(thiophen-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317824-50-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-52-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-methoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-53-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(furan-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317824-54-7P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(furan-2-oyl)aminobenzimidazol-1-yl]pyrimidine

317824-55-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-56-9P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-57-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(naphth-1-oyl)aminobenzimidazol-1-yl]pyrimidine 317824-58-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(phenylacetyl)aminobenzimidazol-1-yl]pyrimidine 317824-59-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(1-phenylpropionoyl)aminobenzimidazol-1-yl]pyrimidine 317824-60-5P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(1-phenylpropionoyl)aminobenzimidazol-1-yl]pyrimidine 317824-61-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzyloxyacetyl)aminobenzimidazol-1-yl]pyrimidine 317824-62-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(naphthylene-2-sulfonyl)aminobenzimidazol-1-yl]pyrimidine 317824-63-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(allyl)aminobenzimidazol-1-yl]pyrimidine 317824-64-9P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(allyl)aminobenzimidazol-1-yl]pyrimidine 317824-65-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzyl)aminobenzimidazol-1-yl]pyrimidine 317824-66-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,3-dimethylallyl)aminobenzimidazol-1-yl]pyrimidine 317824-67-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N,N-dimethylaminobenzimidazol-1-yl]pyrimidine 317824-68-3P, 2-[(S)-1-Phenylethylamino]-4-[5-(2-methylphenyl)benzimidazol-1-yl]pyrimidine 317824-69-4P, 2-[(S)-1-Phenylethylamino]-4-[5-(n-butyl)benzimidazol-1-yl]pyrimidine 317824-70-7P, 2-[(S)-1-Phenylethylamino]-4-[6-methoxycarbonylbenzimidazol-1-yl]pyrimidine 317824-71-8P, 2-[(S)-1-Phenylethylamino]-4-[6-benzylaminocarbonylbenzimidazol-1-yl]pyrimidine 317824-72-9P, 2-[(S)-1-Phenylethylamino]-4-[6-phenylaminocarbonylbenzimidazol-1-yl]pyrimidine 317824-73-0P, 2-((S)-1-(3-Nitrophenyl)ethylamino)-4-[5-methylbenzimidazol-1-yl]pyrimidine 317824-74-1P, 2-[(1-(3-Nitrophenyl)ethyl)amino]-4-[6-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-75-2P, 2-(1-(3-Nitrophenyl)ethylamino)-4-[5-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-76-3P, 2-[(S)-1-Phenylethylamino]-4-[5-azabenzimidazol-1-yl]pyrimidine 317824-77-4P, 2-[(S)-1-Phenylethylamino]-4-[6-azabenzimidazol-1-yl]pyrimidine 317824-79-6P, 2-[(S)-1-Phenylethylamino]-4-[5-(methoxycarbonyl)benzimidazol-1-yl]pyrimidine 317824-80-9P, 2-[(S)-1-Phenylethylamino]-4-[5-(phenylamino)benzimidazol-1-yl]pyrimidine 317824-81-0P, 2-[(S)-1-Phenylethylamino]-4-[5-methyl-6-aminobenzimidazol-1-yl]pyrimidine 317824-82-1P, 2-[(S)-1-Phenylethylamino]-4-[4-trifluoromethyl-5-aminobenzimidazol-1-yl]pyrimidine 317824-83-2P, 2-[(S)-1-Phenylethylamino]-4-[4-nitro-5-methylbenzimidazol-1-yl]pyrimidine 317824-84-3P, 2-[(S)-1-Phenylethylamino]-4-[5,6-dichlorobenzimidazol-1-yl]pyrimidine 317824-85-4P, 2-[(S)-1-Phenylethylamino]-4-[5-trifluoromethylbenzimidazol-1-yl]pyrimidine 317824-86-5P, 2-[(S)-1-Phenylethylamino]-4-[5-(4-formylaminophenoxy)benzimidazol-1-yl]pyrimidine 317824-87-6P, 2-[(S)-1-Phenylethylamino]-4-[5-chloro-6-methylbenzimidazol-1-yl]pyrimidine 317824-88-7P, 2-[(S)-1-Phenylethylamino]-4-[5,6-methylenedioxybenzimidazol-1-yl]pyrimidine 317824-89-8P, 2-[(S)-1-Phenylethylamino]-4-[5-aminosulfonylbenzimidazol-1-yl]pyrimidine 317824-90-1P, 2-[(S)-1-Phenylethylamino]-4-[5,6-di(methoxycarbonyl)benzimidazol-1-yl]pyrimidine 317824-91-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-92-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-93-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-94-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-methylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-95-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-chlorobenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-96-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-chlorobenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-97-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(propanoyl)aminobenzimidazol-1-yl]pyrimidine 317824-99-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(butanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-01-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-dimethylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-02-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,4-dimethylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-03-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-methylenedioxybenzoyl)aminobenzimid

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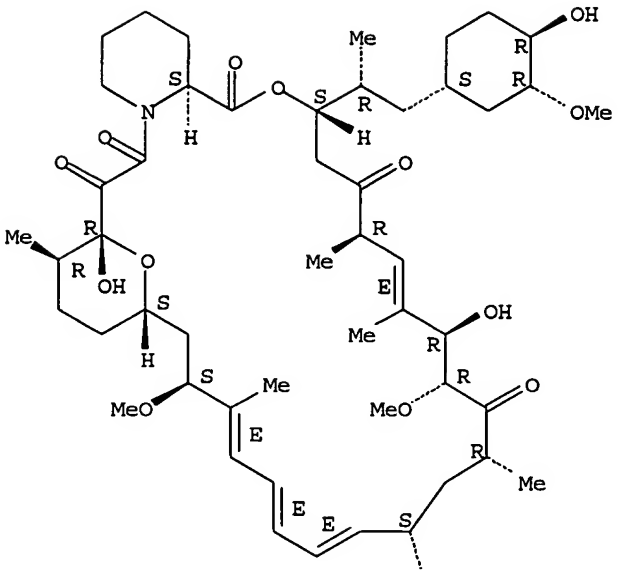
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

IT **53123-88-9**, Rapamycin
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

RN 53123-88-9 HCAPLUS
CN Rapamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

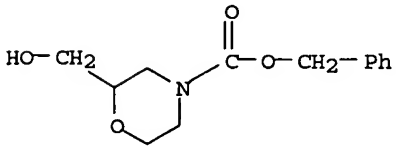
PAGE 1-A



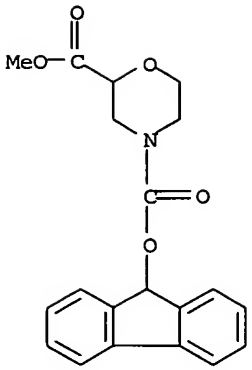
PAGE 2-A

IT **135782-20-6P**, 4-Benzyloxycarbonyl-2-hydroxymethylmorpholine
317829-95-1P 317829-97-3P, 4-Benzyloxycarbonylmorpholine-2-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

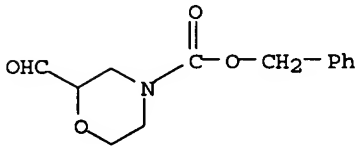
RN 135782-20-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(hydroxymethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 317829-95-1 HCAPLUS
CN 2,4-Morpholinedicarboxylic acid, 4-(9H-fluoren-9-yl) 2-methyl ester (9CI) (CA INDEX NAME)

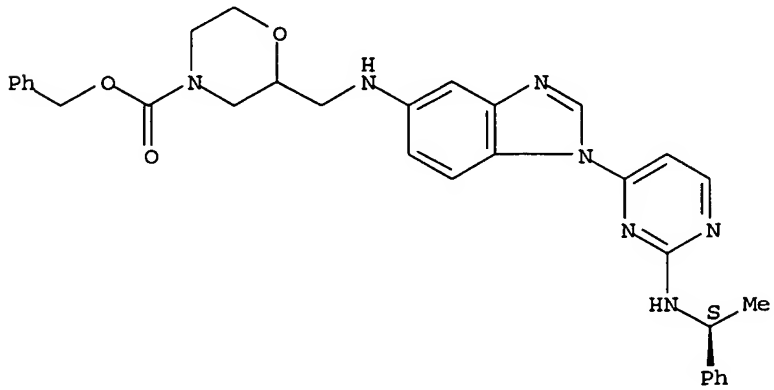


RN 317829-97-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-formyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **317825-68-6P**, 2-[(S)-1-Phenylethylamino]-4-[5-N-((4-benzyloxycarbonylmorpholin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)
RN 317825-68-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[[1-[2-[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 15 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:15173 HCAPLUS

DN 132:64526
TI Preparation of amino acid derivatives as N type calcium channel inhibitors
IN Seko, Takuya; Kato, Masashi
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2000000470	A1	20000106	1999WO-JP03409	19990625 <--
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PRAI	1998JP-0195125	A	19980626 <--		
	2000JP-0557231	A3	19990625 <--		
	1999WO-JP03409	W	19990625 <--		
	2000US-0720433	A3	20001222 <--		

OS MARPAT 132:64526
AB The title compds. R1ANR2CH(DER3)COJR4 [R1 = alkyl, etc.; A = CO, etc.; R2 = H, (un)substituted alkyl; D = alkylene, etc.; E = OCO, etc.; R3 = heterocyclic ring, etc.; J = O, etc.; R4 = alkyl, etc.] are prepared The title compds. are useful as preventives and/or remedies for brain infarction, transient ischemic attack, cerebrospinal failure following heart operation, spinal vascular failure, stress hypertension, neurosis, epilepsy, asthma, frequent urination, etc., or analgesics. In an in vitro test (using cells) for N type calcium channel inhibiting activity, (2R)-N-(1-benzylpiperidin-4-yl)-3-cyclohexylmethylthio-2-((4R)-3-tert-butoxycarbonylthiazolidin-4-ylcarbonylamino)propanamide at 3 μM gave 95% inhibition of calcium inflow. Formulations containing the title compds. are given.
IC ICM C07C-0323/60
ICS C07D-0417/12; C07D-0409/12; C07D-0211/58; C07D-0211/26; C07D-0277/06; C07D-0207/14; C07D-0295/18; C07D-0295/12; C07D-0213/74; C07K-0005/06; A61K-0031/445; A61K-0031/425; A61K-0031/535; A61K-0031/495; A61K-0031/165
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 27, 28, 63
IT Analgesics
Antiasthmatics
Anticonvulsants
Antihypertensives
Ischemia
(preparation and effect of amino acid derivs. with N type calcium channel inhibiting activity)
IT 253306-08-0P 253306-09-1P 253306-10-4P 253306-11-5P 253306-12-6P
253306-13-7P 253306-14-8P 253306-15-9P 253306-16-0P 253306-17-1P
253306-18-2P 253306-19-3P 253306-20-6P 253306-21-7P 253306-22-8P
253306-23-9P 253306-24-0P 253306-25-1P 253306-26-2P 253306-27-3P
253306-28-4P 253306-29-5P 253306-30-8P 253306-31-9P 253306-32-0P

253306-33-1P 253306-34-2P 253306-35-3P 253306-36-4P 253306-37-5P
253306-38-6P 253306-39-7P 253306-40-0P 253306-41-1P 253306-42-2P
253306-43-3P 253306-44-4P 253306-45-5P 253306-46-6P 253306-47-7P
253306-48-8P 253306-49-9P 253306-50-2P 253306-51-3P 253306-52-4P
253306-53-5P 253306-54-6P 253306-55-7P 253306-56-8P 253306-57-9P
253306-58-0P 253306-59-1P 253306-60-4P 253306-61-5P 253306-62-6P
253306-63-7P 253306-64-8P 253306-65-9P 253306-66-0P 253306-67-1P
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253306-73-9P 253306-74-0P 253306-75-1P 253306-76-2P 253306-77-3P
253306-78-4P 253306-79-5P 253306-80-8P 253306-81-9P 253306-82-0P
253306-83-1P 253306-84-2P 253306-85-3P 253306-86-4P 253306-87-5P
253306-88-6P 253306-89-7P 253306-90-0P 253306-91-1P 253306-92-2P
253306-93-3P 253306-94-4P 253306-95-5P 253306-96-6P 253306-97-7P
253306-98-8P 253306-99-9P 253307-00-5P 253307-01-6P 253307-02-7P
253307-03-8P 253307-04-9P 253307-05-0P 253307-06-1P 253307-07-2P
253307-08-3P 253307-09-4P 253307-10-7P 253307-11-8P 253307-12-9P
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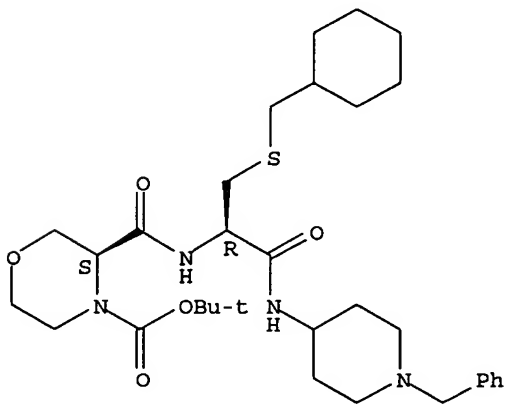
253307-32-3P 253307-33-4P 253307-34-5P 253307-35-6P 253307-36-7P
253307-37-8P 253307-38-9P 253307-39-0P 253307-40-3P 253307-41-4P
253307-42-5P 253307-43-6P 253307-44-7P 253307-45-8P 253307-46-9P
253307-47-0P 253307-48-1P 253307-49-2P 253307-50-5P 253307-51-6P
253307-52-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as N type calcium channel inhibitors)

IT **253307-25-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as N type calcium channel inhibitors)

RN 253307-25-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[[(1R)-1-[[(cyclohexylmethyl)thio]methyl]-2-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]amino]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



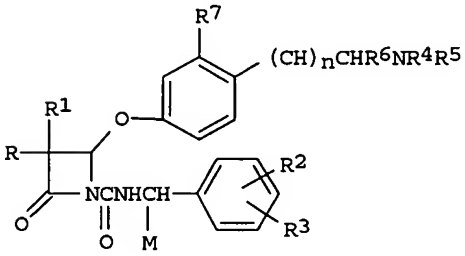
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 16 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:582647 HCAPLUS
DN 131:214124
TI Substituted azetidinones as anti-inflammatory and antidegenerative agents

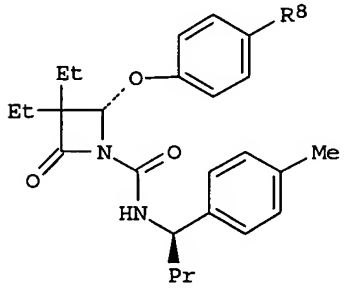
IN Doherty, James; Dorn, Conrad; Durette, Philippe; Finke, Paul; Maccoss, Malcolm; Mills, Sander; Shah, Shrenik; Sahoo, Soumya; Hagmann, William; Polo, Scott
PA Merck and Co., Inc., USA
SO U.S., 42 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US---5952321	A	19990914	1996US-0764775	19961212 <--
PRAI 1996US-0764775		19961212 <--		

OS MARPAT 131:214124
GI



I



II

AB New substituted azetidinones I [R = alkyl; R1 = alkyl, alkoxyalkyl; M = H, alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkoxyalkyl; R2, R3 = H, alkyl, halo, CO2H, alkoxy, Ph, alkylcarbonyl, dialkylamino; or R2R3 = OCH2O, OCH:CH; R4 = H, alkyl, alkoxyalkyl, cyclopropyl; R5 = H, alkyl, alkoxyalkyl, various substituted alkyls; or NR4R5 = (un)substituted piperidino, piperazino, (thio)morpholino, pyrrolidino, pyrrolo, imidazolo; R6 = H, alkyl, alkoxyalkyl; or R5R6 = atoms to form (un)saturated monocyclic heterocyclic ring; R7 = H, halo, alkyl, OH, alkoxy; n = 0-5], which have been found to be potent elastase inhibitors and thereby useful as anti-inflammatory and antidegenerative agents, are described. For example, the azetidinone derivative II (R8 = CO2H) [preparation from racemic 3,3-diethyl-4-acetoxyazetidin-2-one given] underwent reduction by BH3.SMe2 (84%) to give II (R8 = CH2OH), which underwent bromination by Br2 and PPh3 in THF to give II (R8 = CH2Br). The latter, without isolation, reacted with MeOCH2CH2NHEt and Et3N to give 55% II (R8 = CH2NEtCH2CH2OMe) (III). III inhibited the proteolytic activity of human neutrophil elastase in vitro, with Kobs/[I] = 565,000 mol-1·sec-1. Approx. 130 I are described, with elastase inhibition data for most compds.

IC ICM C07D-0205/08
ICS A61K-0031/395
INCL 514210000

CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 7

IT **Antitumor agents**
(leukemia, preparation of substituted azetidinones as elastase inhibitors)

IT 161280-26-8P 161280-27-9P 161280-28-0P 161280-29-1P 161280-30-4P
161280-31-5P 161280-32-6P 161280-34-8P 161280-35-9P 161280-36-0P
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161280-42-8P 161280-43-9P 161280-44-0P 161280-45-1P 161280-46-2P
161280-47-3P 161280-48-4P 161280-49-5P 161280-50-8P 161280-51-9P
161280-52-0P 161280-53-1P 161280-54-2P 161280-55-3P 161280-56-4P
161280-57-5P 161280-58-6P 161280-59-7P 161280-60-0P 161280-61-1P
161280-62-2P **161280-63-3P** 161280-64-4P 161280-65-5P
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161280-71-3P 161280-72-4P 161280-73-5P 161280-74-6P 161280-75-7P
161280-76-8P 161280-77-9P 161280-78-0P 161280-79-1P 161280-80-4P
161280-81-5P 161280-82-6P 161280-83-7P 161280-84-8P 161280-85-9P
161280-86-0P 161280-87-1P 161280-88-2P 161280-89-3P 161280-90-6P
161280-91-7P 161280-92-8P 161280-93-9P 161280-94-0P 161280-95-1P
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161281-01-2P 161281-02-3P 161281-03-4P 161281-04-5P 161281-05-6P
161281-06-7P 161281-07-8P 161281-08-9P 161281-09-0P 161281-10-3P
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161281-16-9P 161281-17-0P 161281-18-1P 161281-19-2P 161281-20-5P
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161281-26-1P 161281-27-2P 161281-28-3P 161281-29-4P 161281-30-7P
161281-31-8P 161281-32-9P 161281-33-0P 161281-34-1P 161281-35-2P
161281-36-3P 161281-37-4P 161281-38-5P 161281-39-6P 161281-40-9P
161281-41-0P 161281-42-1P 161281-43-2P 161281-44-3P 161281-45-4P
161281-46-5P 161281-47-6P 161281-48-7P 161281-49-8P 161281-50-1P
161281-51-2P 161281-52-3P 161281-53-4P 161281-54-5P 161281-55-6P
161281-56-7P 161281-57-8P 161281-58-9P 161345-55-7P 161345-56-8P
161345-57-9P 161345-58-0P 161345-59-1P 161345-60-4P 161345-61-5P
161345-62-6P 161345-63-7P 161345-64-8P 161345-65-9P 161345-66-0P
161345-67-1P 161345-68-2P 161345-69-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted azetidinones as antiinflammatories)

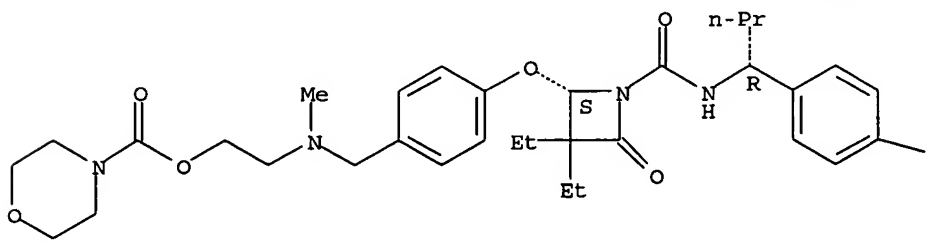
IT **161280-63-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted azetidinones as antiinflammatories)

RN 161280-63-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[[4-[[(2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]phenyl]methyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

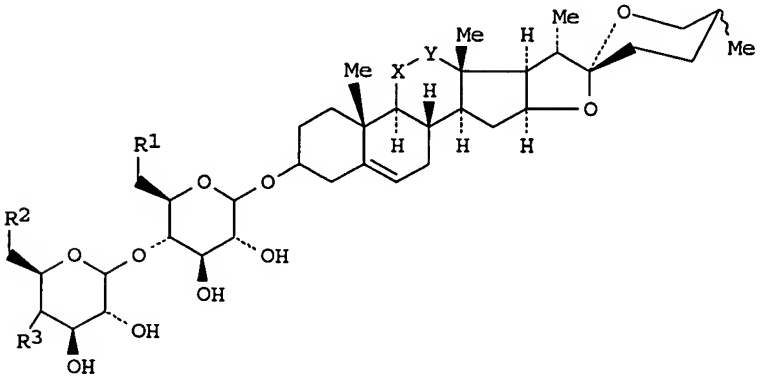
Me

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 17 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:518285 HCAPLUS
DN 131:144789
TI Preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerosis agents
IN Deninno, Michael Paul
PA Pfizer Inc., USA
SO U.S., 34 pp., Cont. of U.S. Ser. No. 652,478.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US---5939398	A	19990817	1998US-0009037	19980120 <--
PRAI 1996US-0652478	A1	19960618 <--		

OS MARPAT 131:144789
GI



I

AB This invention relates to certain steroidal glycosides useful as hypocholesterolemic agents and antiatherosclerosis agents and certain protected intermediates useful in the preparation of said steroidal glycosides. The title compds. [I; X = CO, (R)- or (S)-CH(OH); Y = CO, CH2, (R)- or (S)-CH(OH); R1 - R3 = H, OH, halo, NH2, N3, C1-6 alkoxy-C1-6 alkoxy, Z-R4; wherein Z = NHCO, O2C, CO2, NR5, NHCONR5, OCSNR5; R4 = each (un)substituted aryl, aryl-C1-6 alkyl, C2-4 alkenyl, C1-6 alkyl, C3-7 cycloalkyl, or C3-7 cycloalkyl-C1-6 alkyl; wherein R5 = H, C1-4 alkyl; NR5 and R4 which is a covalent bond are taken together to form pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl, or morpholinyl each optionally substituted on the C atom with C1-4 alkoxycarbonyl], useful for the treatment of hypercholesterolemia and atherosclerosis, are prepared

Thus, (3β,5α,25R)-3-[[4''-(2-fluorophenylcarbamoyl)-β-D-cellobiosyl]oxy]spirostan-11-one was prepared for the treatment of hypercholesterolemia and atherosclerosis. However, an effective dosage is in the range of 0.005 to 20 mg/kg/day, preferably 0.01 to 5 mg/kg/day, most preferably 0.01 to 1 mg/kg/day. For an average 70 kg human, this would amount to 0.00035 to 1.4 g/day, preferably 0.0007 to 0.35 g/day, most preferably 0.0007 to 0.07 g/day. In one mode of administration the compds. of this invention are taken with meals.

IC ICM A61K-0031/705
ICS C07J-0071/00

INCL 514026000

CC 33-4 (Carbohydrates)
Section cross-reference(s): 1, 32, 63

IT **Antiarteriosclerotics**
Anticholesteremic agents
(preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerotic agents)

IT 150332-34-6P 156590-64-6P 157187-66-1P 171660-12-1P 171660-13-2P
171660-14-3P 171660-15-4P 171660-16-5P 171660-17-6P 171660-18-7P
171660-19-8P 171660-20-1P 171660-21-2P 171660-22-3P 171660-23-4P
171660-24-5P 171660-25-6P 171660-26-7P 171660-27-8P 171660-28-9P
171660-29-0P 171660-30-3P 171660-32-5P 171660-33-6P 171660-34-7P
171660-35-8P 171660-36-9P 171660-37-0P 171660-38-1P 171660-39-2P
171660-40-5P 171660-41-6P **171660-42-7P** 171660-43-8P
171660-44-9P 171660-45-0P 171660-46-1P 171660-47-2P 171660-48-3P
171660-49-4P 171660-51-8P 171660-52-9P 171660-53-0P 171660-55-2P
171660-56-3P 171660-57-4P 171660-58-5P 171660-59-6P 171660-60-9P
171660-61-0P 171660-62-1P 171660-63-2P 171660-64-3P 171660-65-4P
171660-66-5P 171660-67-6P 171660-68-7P 171660-69-8P 171660-70-1P
171660-71-2P 171660-72-3P 171660-73-4P 171660-74-5P 171660-75-6P
171660-76-7P 171660-77-8P 171660-78-9P 171660-79-0P 171660-81-4P
171660-83-6P 171660-84-7P 171660-85-8P 171660-86-9P 171660-88-1P
171660-89-2P 171660-90-5P 171660-91-6P 171660-92-7P 171660-93-8P
171660-94-9P 171660-95-0P 171660-96-1P 171660-97-2P 171660-98-3P
171660-99-4P 171661-00-0P 171661-01-1P 171661-02-2P 171661-03-3P
171661-04-4P 171661-05-5P 171661-06-6P 171661-07-7P 171661-08-8P
171661-09-9P 171661-10-2P 171661-11-3P 171661-12-4P 171661-13-5P
171661-14-6P 171661-15-7P 171661-16-8P 171661-17-9P 171661-18-0P
171661-19-1P 171661-20-4P 171661-22-6P 171661-23-7P 171661-24-8P
171661-25-9P 171661-26-0P 171661-27-1P 171661-28-2P 171661-32-8P
171661-35-1P 171661-36-2P 171661-37-3P 171661-38-4P 171661-40-8P
171661-41-9P 171661-43-1P 171661-49-7P 171661-50-0P 171661-52-2P
171661-53-3P 192331-53-6P 235085-68-4P 235085-69-5P 235085-70-8P
235085-71-9P 235085-72-0P 235085-73-1P 235085-75-3P 235085-76-4P
235085-79-7P 235085-80-0P 235085-81-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerotic agents)

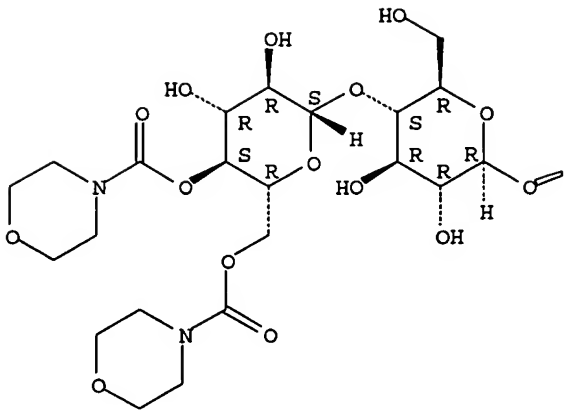
IT **171660-42-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerotic agents)

RN 171660-42-7 HCAPLUS

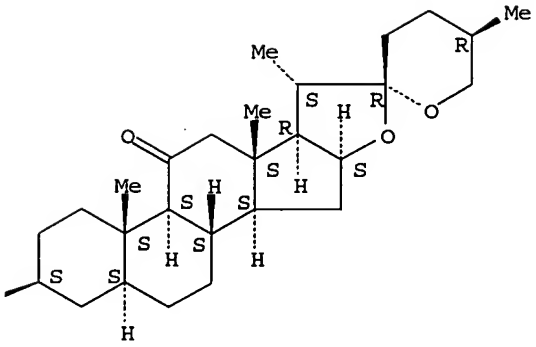
CN Spirostan-11-one, 3-[[4-O-[4,6-bis-O-(4-morpholinylcarbonyl)-β-D-glucopyranosyl]-β-D-glucopyranosyl]oxy]-, (3β,5α,25R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 18 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:468088 HCAPLUS
DN 131:116239
TI Preparation of morpholineacetates as GABAA antagonists
IN Kuo, Shen-chun; Blythin, David J.; Kreutner, William
PA Schering Corp., USA
SO U.S., 27 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5929236	A	19990727	1995US-0525795	19950922 <--
	WO---9422843	A1	19941013	1994WO-US02803	19940323 <--

W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI 1993US-0038584 B2 19930326 <--
1994WO-US02803 W 19940323 <--

OS MARPAT 131:116239

AB RZCHR3R4 [I; R = H, (phenyl)alkyl, alkoxycarbonyl, etc.; R3 = H or (hydroxy)alkyl; R4 = CO2H, alkoxycarbonyl, CONH2, P(O)(OH)2, etc.; Z = (un)substituted (thio)morpholine-4,2-diyl] were prepared Thus, HOCH2CMe2NH2 was N-alkylated by BrCH2CH:CHCO2Et and the product cyclized to give Et 5,5-dimethylmorpholine-2-acetate. Data for biol. activity of I were given.

IC ICM C07D-0417/00
ICS C07D-0413/00

INCL 544060000

CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Epilepsy**
(petit mal, treatment; preparation of morpholineacetates as GABAA antagonists)

IT 160415-03-2P **160415-06-5P** 160415-07-6P 160415-08-7P
160415-09-8P **160415-10-1P** 160415-12-3P 160415-13-4P
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160415-21-4P 160415-22-5P 160415-23-6P 160415-24-7P 160415-25-8P
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160415-34-9P 160415-36-1P 160415-37-2P 160415-38-3P 160415-39-4P
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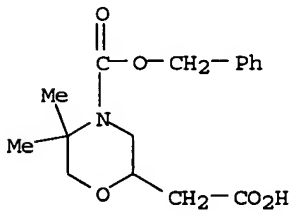
160415-61-2P 160415-62-3P 160415-63-4P 160415-64-5P 180863-27-8P,
2-Morpholineacetic acid 180863-28-9P 180863-32-5P 232261-39-1P
232261-42-6P 232261-43-7P 232261-44-8P 232261-45-9P 232261-46-0P
232261-47-1P 232261-49-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholineacetates as GABAA antagonists)

IT **160415-06-5P** **160415-09-8P** **160415-10-1P**
160415-40-7P **160415-41-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholineacetates as GABAA antagonists)

RN 160415-06-5 HCAPLUS

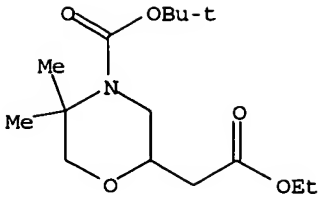
CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



RN 160415-09-8 HCAPLUS

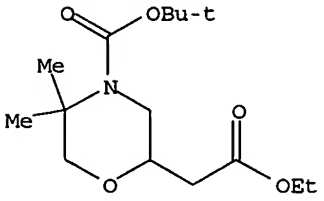
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

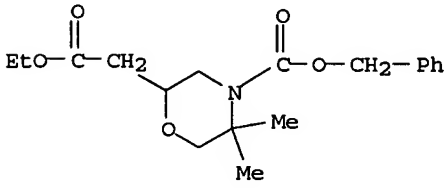


RN 160415-10-1 HCAPLUS
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

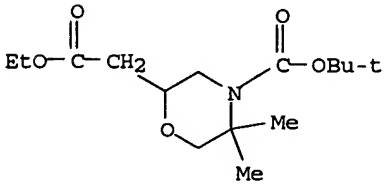
Rotation (-).



RN 160415-40-7 HCAPLUS
CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 160415-41-8 HCAPLUS
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 19 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:804132 HCAPLUS
DN 130:33009
TI A method of treating cancer using an antineoplastic agent-prenyl-protein transferase inhibitor combination, and compound preparation
IN Rosen, Neal; Sepp-lorenzino, Laura; Moasser, Mark M.; Oliff, Allen I.; Gibbs, Jackson B.; Kohl, Nancy; Graham, Samuel L.; Prendergast, George C.
PA Merck & Co., Inc., USA; Sloan-Kettering Institute for Cancer Research

SO PCT Int. Appl., 379 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9854966	A1	19981210	1998WO-US08646	19980604 <--
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2292471	AA	19981210	1998CA-2292471	19980604 <--
	AU---9877957	A1	19981221	1998AU-0077957	19980604 <--
	EP----986302	A1	20000322	1998EP-0926029	19980604 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP2002503249	T2	20020129	1999JP-0502409	19980604 <--
	US---6989383	B1	20060124	2000US-0445054	20000327 <--
	US2005137207	A1	20050623	2005US-0059084	20050215 <--
PRAI	1997US-048736P	P	19970605 <--		
	1998GB-0001231	A	19980121 <--		
	1998WO-US08646	W	19980604 <--		
	2000US-0445054	A3	20000327 <--		

AB Methods are provided for treating cancer using a combination of a compound which is an antineoplastic agent and a compound which is a inhibitor of prenyl-protein transferase. The methods comprise administering to a mammal, either sequentially in any order or simultaneously, amts. of ≥2 therapeutic agents selected from a compound which is an antineoplastic agent and a compound which is an inhibitor or prenyl-protein transferase. The invention also relates to methods of preparing such compns.

IC ICM A01N-0043/50
ICS A01N-0043/60; A61K-0031/415; A61K-0031/495
CC 1-6 (Pharmacology)
Section cross-reference(s): 8, 34, 63
IT **Alkylating agents, biological**
Antitumor agents
Cell cycle
Drug delivery systems
Drug interactions
(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)
IT 50-07-7, Mitomycin C 50-18-0, Cyclophosphamide 50-44-2, 6-Mercaptopurine 51-21-8, 5-Fluorouracil 52-24-4, Thiotepa 54-62-6, Aminopterin 57-22-7, Vincristine 59-05-2, Methotrexate 64-86-8, Colchicine 91-18-9D, Pteridine, derivs. 147-94-4, Cytosine arabinoside 148-82-3, Melphalan 518-28-5, Podophyllotoxin 528-74-5, Dichloromethotrexate 645-05-6, Hexamethylmelamine 671-16-9, Procarbazine 801-52-5, Porfiromycin 865-21-4, Vinblastine 1404-00-8, Mitomycin 2410-93-7, Methopterin 2998-57-4, Estramustine 3778-73-2, Ifosfamide 4342-03-4, Dacarbazine 4375-07-9, Epipodophyllotoxin 7440-06-4D, Platinum, coordination complexes, biological studies 7689-03-4, Camptothecin 9015-68-3, L-Asparaginase 10540-29-1, Tamoxifen 11056-06-7, Bleomycin 13311-84-7, Flutamide 15228-71-4, Leurosidine 15663-27-1, Cisplatin 20830-81-3, Daunorubicin 23214-92-8, Doxorubicin 23360-92-1, Leurosine 29767-20-2, Teniposide 33069-62-4, Paclitaxel 33419-42-0, Etoposide 39472-31-6, Carminomycin 41575-94-4, Carboplatin 52128-35-5, Trimetrexate 53643-48-4, Vindesine 53714-56-0, Leuprolide 65271-80-9, Mitoxantrone 80576-83-6, Edatrexate 90357-06-5, Bicalutamide 95058-81-4, Gemcitabine 100286-90-6, CPT-11 114977-28-5, Docetaxel 117091-64-2, Etoposide phosphate 123948-87-8, Topotecan 127943-53-7, Discodermolide 152044-53-6, Epothilone A 152044-54-7, Epothilone B

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)

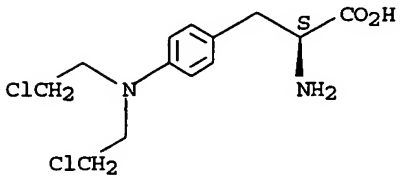
IT **160141-19-5P 160141-20-8P 160141-21-9P 160141-22-0P** 160141-23-1P 160141-24-2P 160141-25-3P 160141-26-4P 160141-74-2P 160141-75-3P 160141-76-4P 160141-77-5P 160141-78-6P 160141-79-7P 210037-29-9P 210037-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)

IT **148-82-3**, Melphalan **671-16-9**, Procarbazine **865-21-4**, Vinblastine **1404-00-8**, Mitomycin **3778-73-2**, Ifosfamide **10540-29-1**, Tamoxifen **11056-06-7**, Bleomycin **20830-81-3**, Daunorubicin **23214-92-8**, Doxorubicin **29767-20-2**, Teniposide **33069-62-4**, Paclitaxel **90357-06-5**, Bicalutamide **100286-90-6**, CPT-11
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)

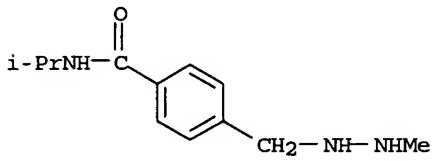
(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)

RN 148-82-3 HCAPLUS
CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

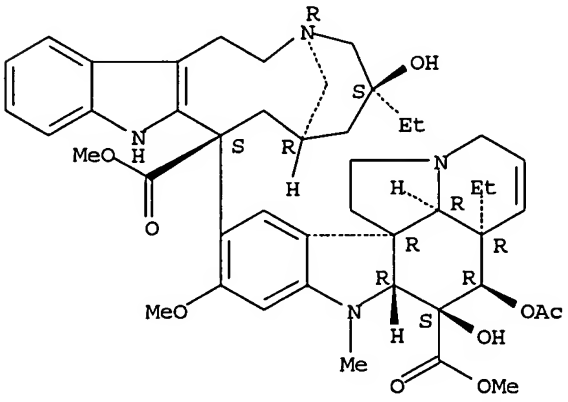


RN 671-16-9 HCAPLUS
CN Benzamide, N-(1-methylethyl)-4-[(2-methylhydrazino)methyl]- (9CI) (CA INDEX NAME)



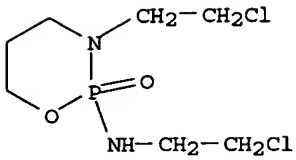
RN 865-21-4 HCAPLUS
CN Vincaleukoblastine (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



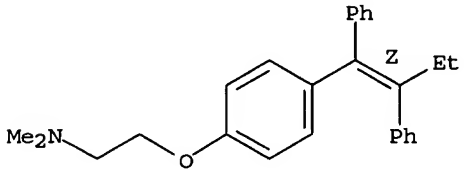
RN 1404-00-8 HCAPLUS
CN Mitomycin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 3778-73-2 HCAPLUS
CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,3-bis(2-chloroethyl)tetrahydro-, 2-oxide (9CI) (CA INDEX NAME)



RN 10540-29-1 HCAPLUS
CN Ethanamine, 2-[4-[(1Z)-1,2-diphenyl-1-butenyl]phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

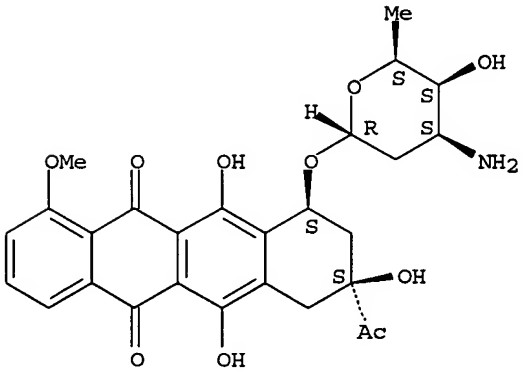
Double bond geometry as shown.



RN 11056-06-7 HCAPLUS
CN Bleomycin (8CI, 9CI) (CA INDEX NAME)

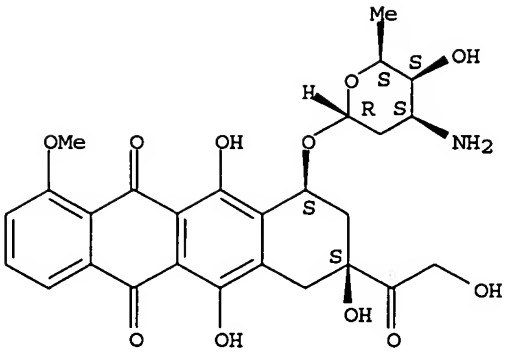
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 20830-81-3 HCAPLUS
CN 5,12-Naphthacenedione, 8-acetyl-10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



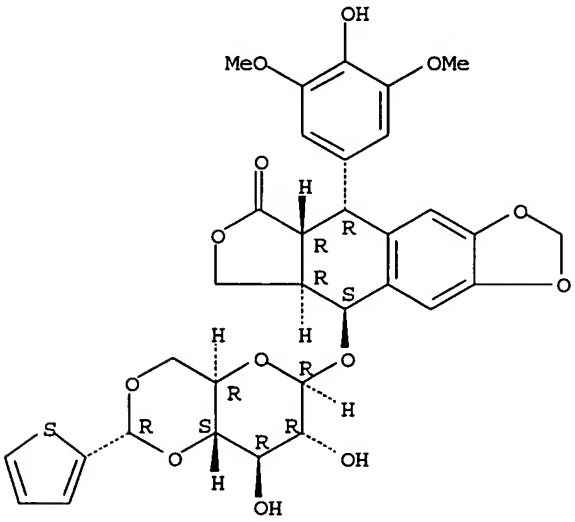
RN 23214-92-8 HCAPLUS
CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



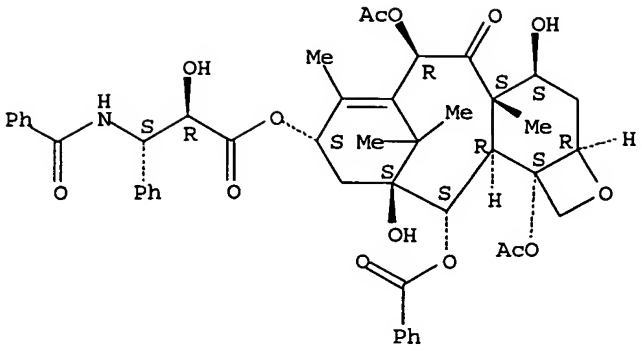
RN 29767-20-2 HCAPLUS
CN Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)-9-[[4,6-O-[(R)-2-thienylmethylene]-β-D-glucopyranosyl]oxy]-, (5R,5aR,8aR,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

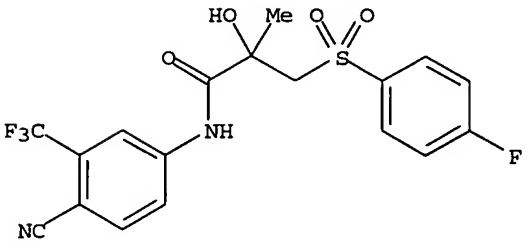


RN 33069-62-4 HCAPLUS
CN Benzenepropanoic acid, β-(benzoylamino)-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



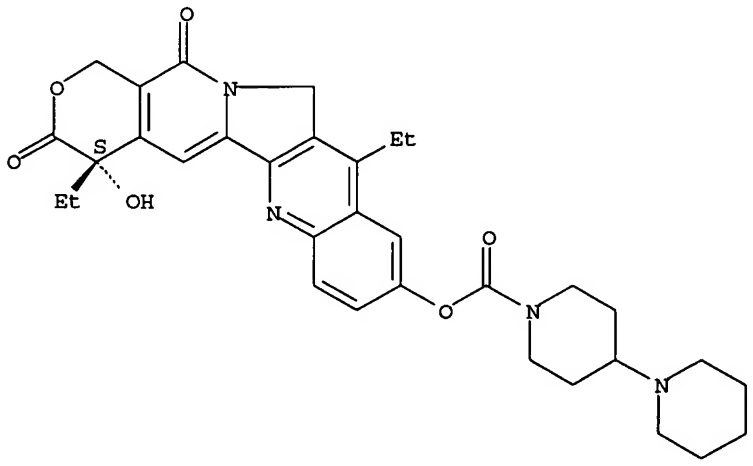
RN 90357-06-5 HCAPLUS
CN Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



RN 100286-90-6 HCAPLUS
CN [1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

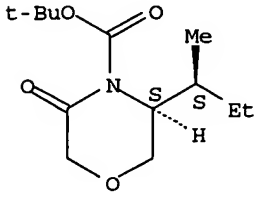


PAGE 2-A

● HCl

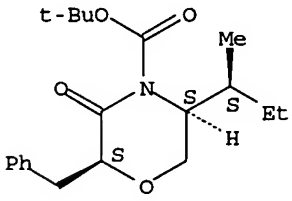
IT 160141-21-9P 160141-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)
RN 160141-21-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(1S)-1-methylpropyl]-5-oxo-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160141-22-0 HCAPLUS
CN 4-Morpholinecarboxylic acid, 5-[(1S)-1-methylpropyl]-3-oxo-2-(phenylmethyl)-, 1,1-dimethylethyl ester, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

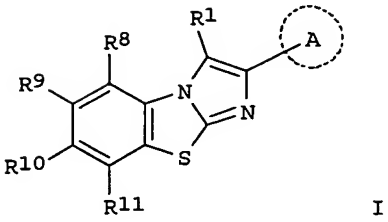


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

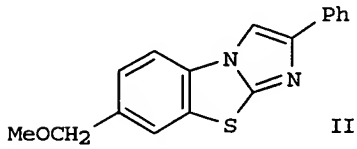
L28 ANSWER 20 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:126257 HCAPLUS
DN 128:192649
TI Preparation of imidazo[2,1-b]benzothiazole derivatives as metabotropic glutamate receptor agonists
IN Hayashibe, Satoshi; Itahana, Hirotsune; Yahiro, Kiyoshi; Tsukamoto, Shin-Ichi; Okada, Masamichi; Yamashita, Hiroshi
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO---9806724	A1	19980219	1997WO-JP02748	19970807 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU---9737834	A1	19980306	1997AU-0037834	19970807 <--
PRAI 1996JP-0211278	A	19960809 <--		

1997WO-JP02748 W 19970807 <--
OS MARPAT 128:192649
GI



I



II

AB Disclosed are medicinal compns. containing compds. represented by general formula [I; the ring A = an optionally substituted aryl, monocyclic heterocycle or dicyclic heterocycle group; R1 = H, halogeno, lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl or lower alkoxy; R8-R11 = H, halogeno, lower alkyl, cyano, NO2, alkoxy, Y1-OR6, Y1-O-Y2-OR6, Y1-O-Y2-SR6, Y1-O-Y2-COR6, Y1-O-Y2-CO2R6, Y1-O-Y3-CONR6R7, Y1-O-Y2-NR6R7, Y1-S-Y2-OR6, Y1-S-Y2-SR6, Y1-SO-R6, Y1-SO2-R6, Y1-SO2-OR6, heterocyclylcarbonyl, etc.; wherein Y1 = bond, alkylene; Y2 = lower alkylene; R6, R7 = H, lower alkyl, C3-8 cycloalkyl, (un)substituted aryl, phenyl-lower alkyl] or pharmaceutically acceptable salts thereof having metabotropic glutamate receptor agonism and thus being useful as remedies for various diseases which can be cured or relieved thereby, in particular, convulsion, epilepsy, pain, Parkinson's syndrome, disorders of brain blood vessel, and an after effect (sequela) of external head trauma; the use of these compds. for producing these compns.; and methods for treating the above-mentioned diseases through the administration of these compds. in effective dosages. Thus, 7-ethoxycarbonyl-2-phenylimidazo[2,1-b]benzothiazole was reduced by LiAlH4 in THF under ice-cooling for 2 h to 7-hydroxymethyl-2-phenylimidazo[2,1-b]benzothiazole which was treated with NaH in DMF and methylated by Me iodide to give the title compound (II). II in vitro inhibited 100% glutamic acid-induced elevation of Ca++ in NIH3T3 cells.

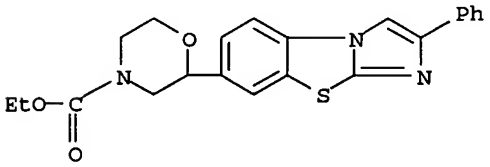
IC ICM C07D-0513/04
ICS A61K-0031/425; C07D-0513/04; C07D-0235/00; C07D-0277/00
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT Analgesics
Anticonvulsants
Glutamate agonists
Parkinson's disease
(preparation of imidazo[2,1-b]benzothiazole derivs. as metabotropic glutamate receptor agonists for treatment of diseases)

IT 203446-65-5P 203446-67-7P 203446-68-8P 203446-69-9P 203446-71-3P
203446-74-6P 203446-75-7P 203446-76-8P 203446-77-9P 203446-78-0P
203446-80-4P 203446-81-5P 203446-82-6P 203446-83-7P 203446-84-8P
203446-85-9P 203446-86-0P 203446-87-1P 203446-88-2P 203446-89-3P
203446-90-6P 203446-91-7P 203446-93-9P 203446-96-2P 203446-97-3P
203446-98-4P 203447-01-2P 203447-02-3P 203447-03-4P 203447-04-5P
203447-05-6P 203447-06-7P 203447-07-8P 203447-12-5P

203447-13-6P 203447-14-7P 203447-15-8P 203447-20-5P 203447-21-6P
203447-22-7P 203447-23-8P 203447-24-9P 203447-25-0P 203447-26-1P
203447-29-4P 203447-30-7P 203447-31-8P 203447-32-9P 203447-33-0P
203447-35-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazo[2,1-b]benzothiazole derivs. as metabotropic glutamate receptor agonists for treatment of diseases)

IT **203447-05-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazo[2,1-b]benzothiazole derivs. as metabotropic glutamate receptor agonists for treatment of diseases)

RN 203447-05-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(2-phenylimidazo[2,1-b]benzothiazol-7-yl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 21 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:81044 HCAPLUS
DN 128:192655
TI Preparation of 4-phenylpyridine derivatives as endothelin antagonists
IN Sakurai, Kuniya; Niwa, Seiji; Oono, Seiji; Uchita, Hirohisa
PA Ajinomoto Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 95 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP--10029979	A2	19980203	1997JP-0093782	19970411 <--
PRAI 1996JP-0091272	A	19960412 <--		

OS MARPAT 128:192655
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; R1 - R11 = H, halo, OH, NH2, NO2, lower alkyl, alkoxy, alkenyl, alkylamino, alkylthio, alkanoyl, hydroxyalkyl, hydroxyalkoxy, hydroxyalkenyl, haloalkyl, haloalkoxy, or haloalkenyl, aryl-lower alkoxy, aroyl; or two of R1 - R5 groups or two of R7 - R11 groups are linked to each other to form a ring; R6 = an acidic functional group; R12 = aryl, heteroaryl, heterocyclylcarbonyl, or groups listed for R1 - R5 and R7 - R11; X = CR13R14, NR15, O, S; Y = NR16, O, S, CR17:CR18;

R13 - R18 = H, lower alkyl; Z = H, OH, CO2H, lower alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, alkylcarbamoyl, arylcarbamoyl, heteroarylcarbamoyl, NH2, alkylamino, arylamino, heteroarylamino, acylamino, O2CNR19R20, NR21CONR22R23, O-CO2R24, NR25CO2R26, OR27, O2CR28; R19 - R28 = H, lower alkyl, aryl, heteroaryl; or R19 and R20, R21 and R22, R21 and R23, R22 and R23, or R25 and R26 are bonded to each other to form a ring; m = 0,1; n = 0-3) are prepared They are useful for the treatment of hypertension, Raynaud's disease, acute kidney failure, myocardial infarction, angina pectoris, cerebral infarction, atrophy of brain blood vessels, arteriosclerosis, bronchial asthma, stomach ulcer, acute liver failure, diabetes, endotoxin shock, multi-organ failure, disseminated intravascular agglutination, and/or cyclosporin-induced kidney disorders. Thus, 3-cyano-5-(3-hydroxy-1-propenyl)-4-(4-methoxyphenyl)-6-methyl-2-(3,4-methylenedioxyphenyl)pyridine was dissolved in toluene, treated with Bu3SnN3, and refluxed overnight to give 60.5% the title 4-phenyl-3-tetrazolylpyridine compound (II). II in vitro inhibited the binding of [125I]endotoxin to a pig ventricular muscle membrane preparation with a -pIC50 value of 8.1.

IC ICM C07D-0213/80
ICS A61K-0031/44; A61K-0031/47; A61K-0031/505; A61K-0031/535; C07D-0405/04; C07D-0405/12; C07D-0405/14; C07D-0409/14; C07D-0413/14; C07D-0417/14

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Antiarteriosclerotics**
Antidiabetic agents
Antihypertensives
Antiulcer agents
Multiple organ failure
Multiple organ failure
(preparation of phenylpyridine derivs. as endothelin antagonists for treatment endothelin-related diseases)

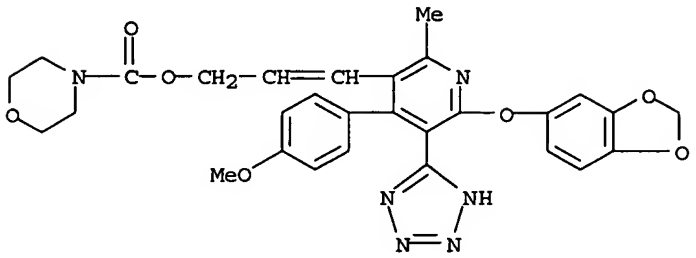
IT

203801-21-2P	203801-23-4P	203801-24-5P	203801-25-6P	203801-26-7P
203801-27-8P	203801-28-9P	203801-29-0P	203801-30-3P	203801-31-4P
203801-32-5P	203801-33-6P	203801-34-7P	203801-35-8P	203801-36-9P
203801-37-0P	203801-38-1P	203801-39-2P	203801-40-5P	203801-41-6P
203801-42-7P	203801-43-8P	203801-44-9P	203801-45-0P	203801-46-1P
203801-47-2P	203801-48-3P	203801-49-4P	203801-50-7P	203801-51-8P
203801-52-9P	203801-53-0P	203801-54-1P	203801-55-2P	203801-56-3P
203801-57-4P	203801-58-5P	203801-59-6P	203801-60-9P	203801-61-0P
203801-62-1P	203801-63-2P	203801-64-3P	203801-65-4P	203801-66-5P
203801-67-6P	203801-68-7P	203801-69-8P	203801-70-1P	203801-71-2P
203801-72-3P	203801-73-4P	203801-74-5P	203801-76-7P	
203801-78-9P	203801-79-0P	203801-80-3P	203801-81-4P	
203801-82-5P	203801-83-6P	203801-84-7P	203801-85-8P	203801-86-9P
203801-87-0P	203801-88-1P	203801-89-2P	203801-90-5P	203801-91-6P
203801-92-7P	203801-93-8P	203801-94-9P	203801-95-0P	203801-96-1P
203801-97-2P	203801-98-3P	203801-99-4P	203802-00-0P	203802-01-1P
203802-02-2P	203802-03-3P	203802-04-4P	203802-05-5P	203802-06-6P
203802-07-7P	203802-08-8P	203802-09-9P	203802-10-2P	203802-11-3P
203802-12-4P	203802-13-5P	203802-14-6P	203802-15-7P	203802-16-8P
203802-17-9P	203802-18-0P	203802-19-1P	203802-20-4P	203802-21-5P
203802-22-6P	203802-23-7P	203802-24-8P	203802-25-9P	203802-26-0P
203802-27-1P	203802-28-2P	203802-29-3P	203802-30-6P	203802-31-7P
203802-32-8P	203802-33-9P	203802-34-0P	203802-35-1P	203802-36-2P
203802-37-3P	203802-38-4P	203802-39-5P	203802-40-8P	203802-41-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyridine derivs. as endothelin antagonists for treatment endothelin-related diseases)

IT **203801-78-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyridine derivs. as endothelin antagonists for

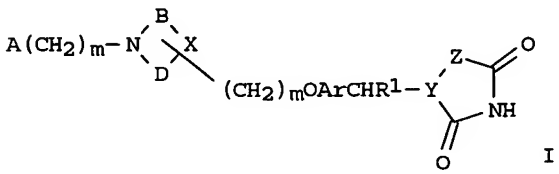
treatment endothelin-related diseases)
RN 203801-78-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[6-(1,3-benzodioxol-5-yloxy)-4-(4-methoxyphenyl)-2-methyl-5-(1H-tetrazol-5-yl)-3-pyridinyl]-2-propenyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 22 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:740226 HCAPLUS
DN 128:13259
TI Novel antidiabetic compounds having hypolipidemic, antihypertensive properties, process for their preparation and pharmaceutical compositions containing them
IN Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Alla, Sekar Reddy; Ramanujam, Rajagopalan; Chakrabarti, Ranjan
PA Dr. Reddy's Research Foundation, India; Reddy-Cheminor, Inc.; Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Alla, Sekar Reddy; Ramanujam, Rajagopalan; Chakrabarti, Ranjan
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO---9741119	A1	19971106	1997WO-US07417	19970502 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU---9729307	A1	19971119	1997AU-0029307	19970502 <--
EP----981526	A1	20000301	1997EP-0923526	19970502 <--
EP----981526	B1	20040225		
R: CH, DE, FR, GB, LI, SE				
JP2001518069	T2	20011009	1997JP-0539253	19970502 <--

PRAI 1997WO-US07417 W 19970502 <--
OS CASREACT 128:13259; MARPAT 128:13259
GI



I

AB New thiazolidine-2,4-dione derivs. I (A = substituted or unsubstituted, single or fused, aromatic group or substituted or unsubstituted, single or fused, heterocyclic group with 1 or more hetero atoms selected from N, O, S; W = O, S, NR2 where R2 = H or lower alkyl group; Q = heteroatom of O, S or NR3 group where R3 = H or lower alkyl or lower alkoxy group; B and D = substituted or unsubstituted hydrocarbon linking group between N and X which may be saturated or may contain 1 or more double bonds; X = CH2 or hetero atom of N, S or O; Ar = optionally substituted divalent single or fused aromatic or optionally substituted single or fused heterocyclic group; R1 = H, OH, alkoxy, halo or lower alkyl group or forms a bond together with adjacent group Y; Y = = N or CR6 group where R6 = H, OH, alkoxy, halo or lower alkyl group or R2 forms a bond together with R1; Z = O or S when Y = CR2 ad Z = O when Y = N; m = 1-4; n = 0-4) their tautomeric forms, their derivs., their stereoisomers, their polymorphs, their pharmaceutical acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compns. containing them are claimed. Methods for their preparation and their use as antidiabetic compds. are claimed.

IC ICM C07D-0417/12
ICS C07D-0211/46; C07D-0211/22; A61K-0031/425

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

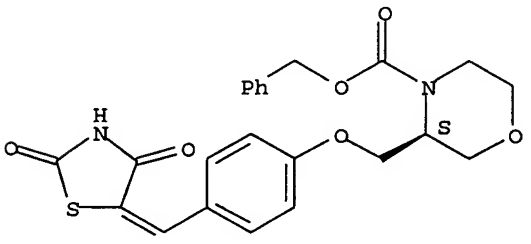
IT Antidiabetic agents
Antihypertensives
Hypolipemic agents
(preparation of thiazolidine-2,4-dione derivs. as)

IT 199103-09-8P 199103-11-2P 199103-13-4P 199103-14-5P 199103-15-6P
199103-16-7P 199103-18-9P 199103-28-1P 199103-29-2P
199103-30-5P 199103-31-6P 199103-32-7P
199103-33-8P 199103-34-9P 199103-35-0P
199103-36-1P 199103-37-2P 199103-38-3P 199103-39-4P
199103-40-7P **199103-79-2P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidine-2,4-dione derivs. as antidiabetic and antihypertensives and hypolipemic agents)

IT **199103-30-5P 199103-31-6P 199103-32-7P**
199103-33-8P 199103-34-9P 199103-35-0P
199103-36-1P 199103-79-2P
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidine-2,4-dione derivs. as antidiabetic and antihypertensives and hypolipemic agents)

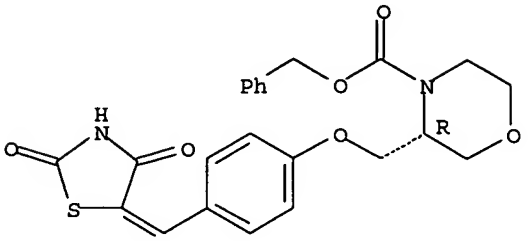
RN 199103-30-5 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



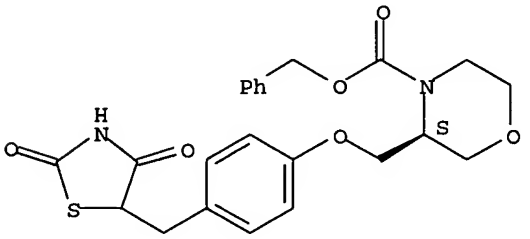
RN 199103-31-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



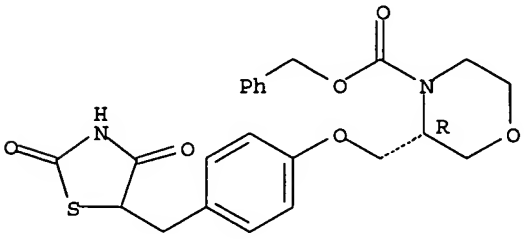
RN 199103-32-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



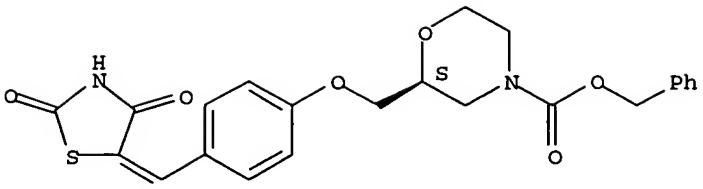
RN 199103-33-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



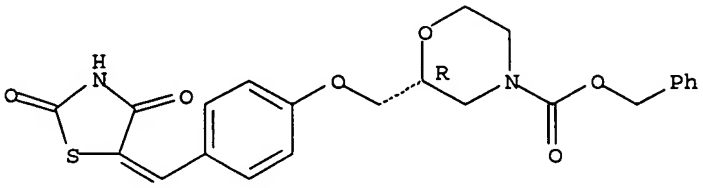
RN 199103-34-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



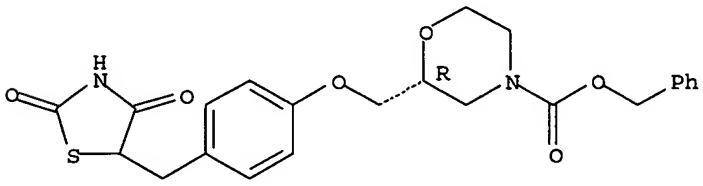
RN 199103-35-0 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



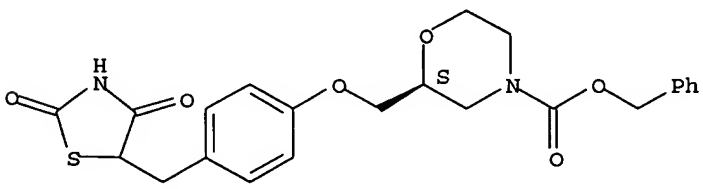
RN 199103-36-1 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199103-79-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

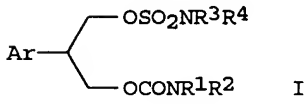


L28 ANSWER 23 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:421294 HCAPLUS
DN 127:34005
TI Novel sulfamate compounds containing an N-substituted carbamoyl group, useful as CNS drugs, and method for preparing them
IN Choi, Yong Moon; Han, Dong Il; Kim, Hyung Cheol

PA Yukong Limited, S. Korea
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9716418	A1	19970509	1996WO-KR00190	19961101 <--
	W: CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA---2209229	AA	19970509	1996CA-2209229	19961101 <--
	EP----801642	A1	19971022	1996EP-0935567	19961101 <--
	EP----801642	B1	20001220		
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE				
	CN---1173864	A	19980218	1996CN-0191830	19961101 <--
	CN---1077570	B	20020109		
	JP--10512591	T2	19981202	1997JP-0517235	19961101 <--
	ES---2154840	T3	20010416	1996ES-0935567	19961101 <--
	PT----801642	T	20010629	1996PT-0935567	19961101 <--
	GR---3035615	T3	20010629	2001GR-0400461	20010321 <--
PRAI	1995KR-0039456	A	19951102 <--		
	1996KR-0049052	A	19961028 <--		
	1996WO-KR00190	W	19961101 <--		

OS MARPAT 127:34005
GI



I

AB Novel sulfamate compds. containing an N-substituted carbamoyl group are disclosed, specifically I [Ar = (un)substituted Ph; R1, R2, R3, R4 = H, alkyl, cycloalkyl, aryl; or NR1R2 and/or NR3R4 may form 3- to 7-membered aliphatic cyclic structure(s) with another N or O atom], including both their racemates and (R)- and (S)-optical isomers. I are useful for the prophylaxis and treatment of disorders of the central nervous system, especially nervous myalgia, epilepsy, and minimal brain dysfunction (no data). For instance, reaction of AcOCH2CHPhCH2OH with carbonyldiimidazole in CH2Cl2 and then with aqueous NH3 gave 95% AcOCH2CHPhCH2OCONH2. This compound was deacetylated with KCN in MeOH (88%), and the resultant alc. was sulfamoylated with ClSO2NH2 in pyridine (85%), to give title compound H2NSO2OCH2CHPhCH2OCONH2. A variety of substituted I, including (R)- and (S)-isomers, were prepared by this and other methods.

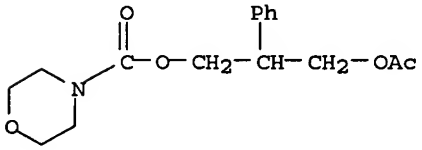
IC ICM C07C-0307/02
ICS A61K-0031/27
CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
IT **Anticonvulsants**
Nervous system agents
(preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)
IT 25451-53-0P 171433-01-5P 171433-04-8P 178759-04-1P 178759-44-9P
190589-95-8P 190589-96-9P 190589-97-0P 190589-98-1P 190589-99-2P
190590-00-2P 190590-01-3P 190590-03-5P 190590-04-6P
190590-05-7P 190590-06-8P **190590-07-9P** 190590-08-0P
190590-16-0P 190590-17-1P 190590-19-3P 190590-20-6P 190590-21-7P
190590-22-8P **190590-23-9P** 190590-24-0P 190590-25-1P
190590-26-2P 190590-27-3P 190590-28-4P **190590-29-5P**
190590-30-8P 190590-38-6P 190590-39-7P 190590-47-7P 190590-48-8P
190590-49-9P 190590-50-2P **190590-51-3P** 190590-52-4P
190590-53-5P 190590-54-6P 190590-55-7P 190590-56-8P
190590-57-9P 190590-58-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

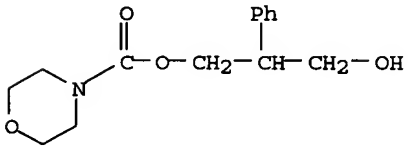
IT 190590-09-1P 190590-10-4P 190590-11-5P 190590-12-6P 190590-13-7P
190590-14-8P 190590-15-9P 190590-18-2P 190590-31-9P
190590-32-0P 190590-33-1P 190590-34-2P 190590-35-3P
190590-36-4P 190590-37-5P 190590-41-1P 190590-42-2P
190590-43-3P 190590-44-4P 190590-45-5P **190590-46-6P**
190590-59-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

IT **190590-00-2P 190590-07-9P 190590-23-9P**
190590-29-5P 190590-51-3P 190590-57-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

RN 190590-00-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-(acetyloxy)-2-phenylpropyl ester (9CI) (CA INDEX NAME)

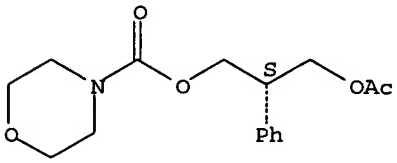


RN 190590-07-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-hydroxy-2-phenylpropyl ester (9CI) (CA INDEX NAME)



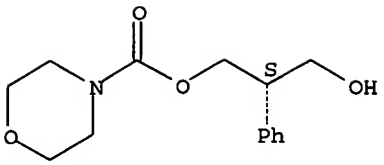
RN 190590-23-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2S)-3-(acetyloxy)-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



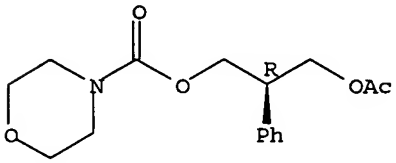
RN 190590-29-5 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2S)-3-hydroxy-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



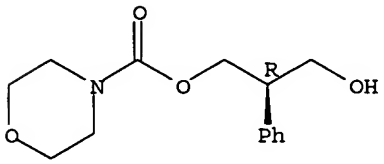
RN 190590-51-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2R)-3-(acetyloxy)-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

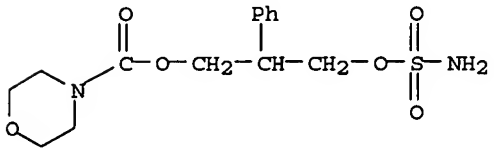


RN 190590-57-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2R)-3-hydroxy-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

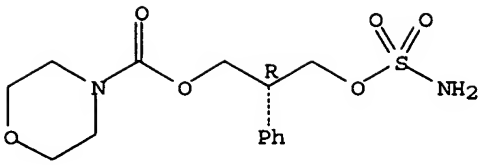


IT **190590-14-8P 190590-36-4P 190590-46-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)
RN 190590-14-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(aminosulfonyl)oxy]-2-phenylpropyl ester (9CI) (CA INDEX NAME)



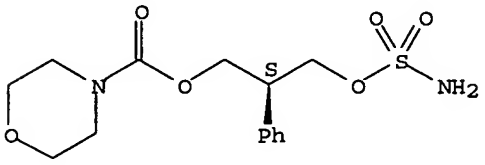
RN 190590-36-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2R)-3-[(aminosulfonyl)oxy]-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 190590-46-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2S)-3-[(aminosulfonyl)oxy]-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 24 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:307688 HCAPLUS
DN 126:277402
TI New 4-aryl-3-aralkoxypiperidines and -azabicylooctanes for treating heart and kidney insufficiency
IN Binggeli, Alfred; Breu, Volker; Bur, Daniel; Fischli, Walter; Gueller, Rolf; Hirth, Georges; Maerki, Hans-Peter; Mueller, Marcel; Oefner, Christian; Stadler, Heinz; Vieira, Eric; Wilhelm, Maurice; Wostl, Wolfgang
PA F. Hoffmann-La Roche Ag, Switz.
SO PCT Int. Appl., 492 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
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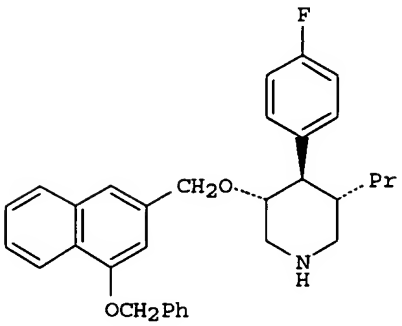
	US---6150526	A	20001121	1999US-0456283 19991207 <--
PRAI	1995CH-0002548	A	19950907	<--
	1996CH-0001876	A	19960726	<--
	1996WO-EP03803	W	19960829	<--
	1996US-0711339	A3	19960906	<--
	1999US-0255185	A1	19990222	<--

OS MARPAT 126:277402

GI



I

AB New piperidine and azabicyclooctane derivs. (> 1000 compds.) are renin inhibitors for treatment of high blood pressure, heart and kidney insufficiency. Thus, the piperidine derivative I was prepared from 1-benzyl-3-propyl-4-piperidinone by reaction with 4-FC6H4Br, followed by 1-benzyloxy-3-chloromethylnaphthalene and deblocking. I had a renin-inhibiting IC50 of 0.317 μM.

IC ICM C07D-0211/42
ICS C07D-0401/12; C07D-0401/04; C07D-0401/06; A61K-0031/445

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 7

IT **Antihypertensives**
(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

IT 188863-51-6P 188863-52-7P 188863-53-8P 188863-54-9P 188863-55-0P
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188863-78-7P 188863-79-8P 188863-80-1P 188863-81-2P 188863-84-5P
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188868-37-3P 188868-40-8P 188868-43-1P 188868-46-4P 188868-48-6P
188868-50-0P 188868-51-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

IT 188860-53-9P 188860-70-0P 188860-71-1P 188860-72-2P 188860-74-4P
188860-75-5P 188860-76-6P 188860-77-7P 188860-78-8P 188860-79-9P
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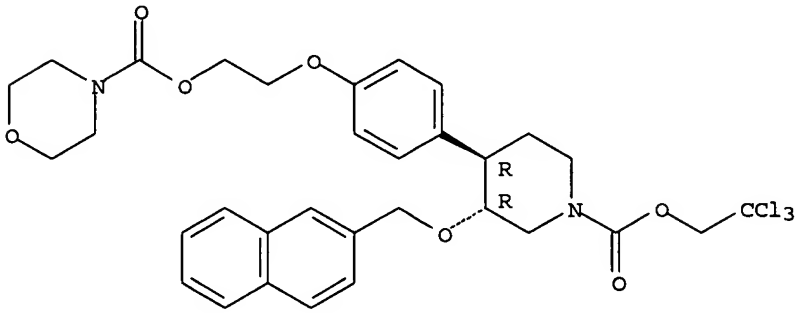
188869-35-4P 188869-47-8P 188869-54-7P 188869-61-6P 188869-63-8P
188869-69-4P
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

IT **188866-41-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

RN 188866-41-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[4-[(3R,4R)-3-(2-naphthalenylmethoxy)-1-[(2,2,2-trichloroethoxy)carbonyl]-4-piperidinyl]phenoxy]ethyl ester, rel- (9CI) (CA INDEX NAME)

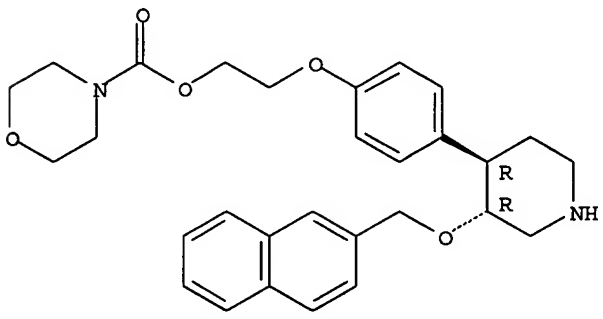
Relative stereochemistry.



IT **188866-37-7P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

RN 188866-37-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[4-[(3R,4R)-3-(2-naphthalenylmethoxy)-4-piperidinyl]phenoxy]ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

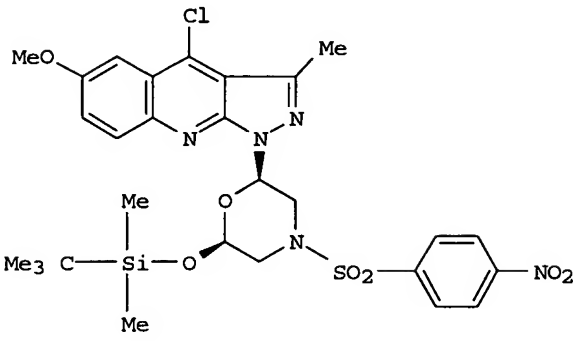


L28 ANSWER 25 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:102093 HCAPLUS
DN 126:181346
TI Pyrazoloquinolines for antitumor agents, and preparation thereof
IN Wolin, Ronald L.; Afonso, Adriano
PA USA
SO U.S., 14 pp.
CODEN: USXXAM

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5597821	A	19970128	1994US-0356826	19941215 <--
PRAI	1994US-0356826		19941215 <--		

OS MARPAT 126:181346
GI

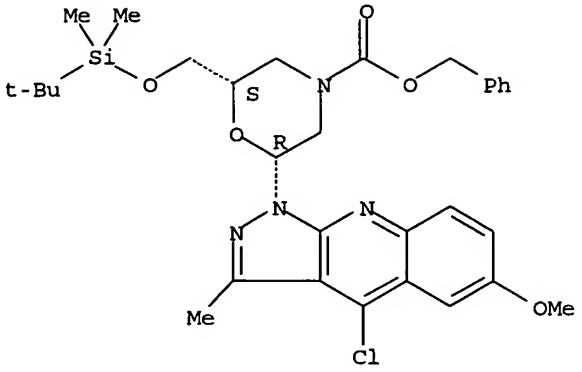


I

AB Pyrazoloquinolines (Markush included) are disclosed which are useful as antitumor agents. Preparation of compds. of the invention is described, as are inhibitory activities in a Ras p21 assay. The most preferred compound of the invention is I, which has an IC50 of 5 μM in the Ras p21 assay and good chemical stability.

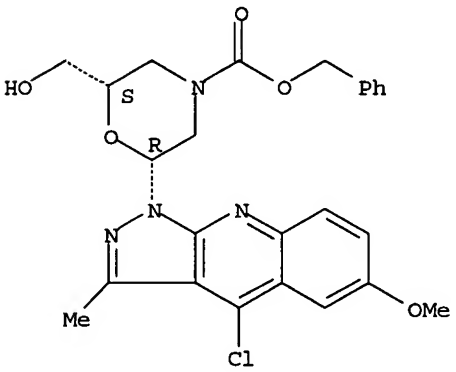
IC ICM A61K-0031/535
ICS C07D-0487/04
INCL 514232800
CC 1-6 (Pharmacology)
Section cross-reference(s): 28
IT **Antitumor agents**
(pyrazoloquinolines for antitumor agents, and preparation thereof)
IT 175543-51-8 175543-52-9 175543-53-0 175543-54-1 175543-79-0
175543-80-3 175543-82-5 175543-84-7 175543-85-8 175543-86-9
187473-18-3 187473-19-4 187473-20-7 187473-21-8 187473-22-9
187473-23-0 187473-24-1 187473-25-2 187473-26-3 187473-27-4
187473-28-5 187473-29-6 187473-30-9 187473-31-0 187473-32-1
187473-33-2 187473-34-3 187473-35-4 187473-36-5 187473-37-6
187473-38-7 187473-39-8 187473-40-1 187473-41-2 187473-42-3
187473-43-4 187473-44-5 187473-45-6 187473-46-7 187473-48-9
187473-49-0 **187473-50-3** **187473-51-4**
187473-52-5 **187473-53-6** 187473-54-7 187473-55-8
187473-57-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pyrazoloquinolines for antitumor agents, and preparation thereof)
IT **187473-50-3** **187473-51-4** **187473-52-5**
187473-53-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pyrazoloquinolines for antitumor agents, and preparation thereof)
RN 187473-50-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, phenylmethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



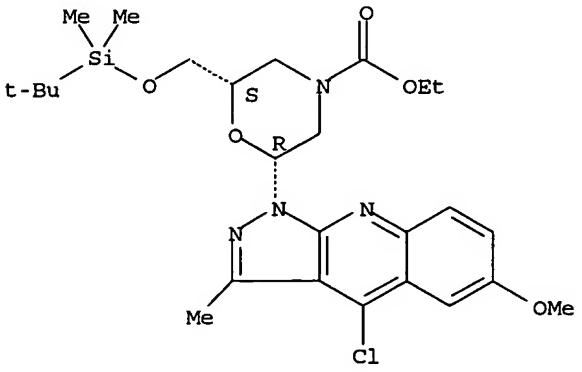
RN 187473-51-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-(hydroxymethyl)-, phenylmethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



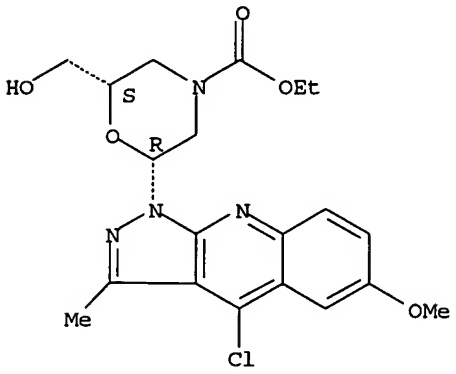
RN 187473-52-5 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, ethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187473-53-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-(hydroxymethyl)-, ethyl ester, (2R-cis)-(9CI) (CA INDEX NAME)

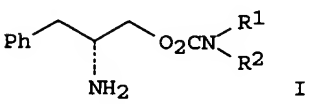
Absolute stereochemistry.



L28 ANSWER 26 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:605524 HCAPLUS
DN 125:248474
TI Preparation of O-carbamoyl-D-phenylalaninol CNS agents
IN Choi, Yong Moon; Han, Dong Il; Kim, Yong Kil
PA Yukong Limited, S. Korea
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9624577	A1	19960815	1996WO-KR00018	19960208 <--
	W: CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	KR----173862	B1	19990401	1995KR-0002543	19950211 <--
	CA---2212326	AA	19960815	1996CA-2212326	19960208 <--
	EP----815074	A1	19980107	1996EP-0901562	19960208 <--
	EP----815074	B1	20011004		
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE				
	CN---1173863	A	19980218	1996CN-0191875	19960208 <--
	CN---1070846	B	20010912		
	JP--11501617	T2	19990209	1996JP-0524155	19960208 <--
	ES---2165485	T3	20020316	1996ES-0901562	19960208 <--
	PT----815074	T	20020328	1996PT-0901562	19960208 <--
PRAI	1995KR-0002543	A	19950211 <--		
	1996WO-KR00018	W	19960208 <--		

OS MARPAT 125:248474
GI



I

AB 80O-carbamoyl-(D)-phenylalaninols [I; R1, R2 = H, C1-8 alkyl,

(un)substituted cycloaliph. heterocyclyl; the number of C atoms in both R1 and R2 is 0-16], useful as CNS agents (no data) in the treatment of depression (no data), anxiety (no data), epilepsy (no data), etc. (no data), are prepared by the reaction of D-phenylalaninol with benzyl chloroformate, followed by carbamoylation of the protected aminoalc. with phosgene, followed by amidation of the carbonate chloride with amines R1(R2)NH. Thus, N-benzyloxycarbonyl-D-phenylalaninol was carbamoylated with phosgene and the intermediate amidated with H2NMe, producing I (R1 = H, R2 = Me) in 78% yield.

IC ICM C07C-0271/12
ICS C07C-0269/04; C07C-0295/205

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 28

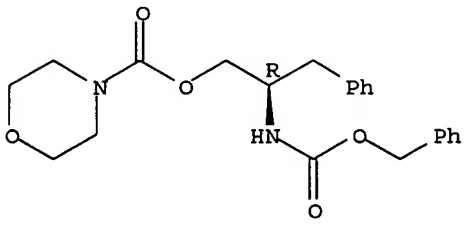
IT Analgesics
Anticonvulsants and Antiepileptics
Antidepressants
Anxiolytics
Nervous system agents
(O-carbamoyl-D-phenylalaninols)

IT 181797-75-1P 181797-77-3P 181797-78-4P 181797-79-5P 181797-82-0P
181797-84-2P 181797-87-5P **181797-89-7P** 181797-91-1P
181797-92-2P 181797-93-3P 181797-94-4P 181797-95-5P 181797-96-6P
181797-97-7P 181797-98-8P **181797-99-9P** 181798-00-5P
181798-01-6P 181798-02-7P 181798-03-8P 181798-04-9P 181798-05-0P
181798-06-1P 181798-07-2P 181798-08-3P 181798-09-4P
181798-10-7P 181798-11-8P 181798-12-9P 181798-13-0P
181798-14-1P
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

IT **181797-89-7P 181797-99-9P 181798-10-7P**
RL: SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

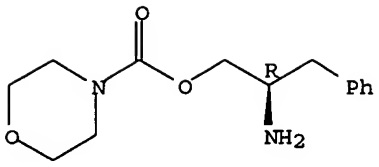
RN 181797-89-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2R)-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181797-99-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, (2R)-2-amino-3-phenylpropyl ester, monohydrochloride (9CI) (CA INDEX NAME)

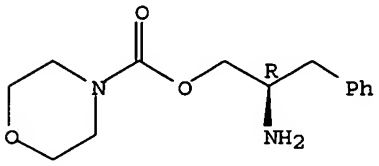
Absolute stereochemistry.



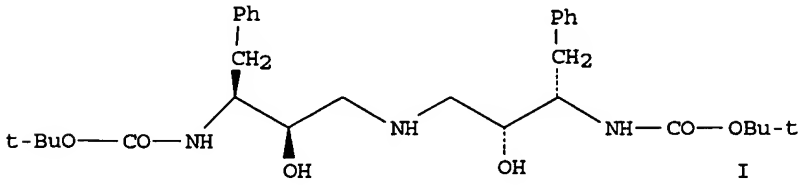
● HCl

RN 181798-10-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-amino-3-phenylpropyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 27 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:241879 HCAPLUS
DN 125:157770
TI Amino Diol HIV Protease Inhibitors. Synthesis And Structure-Activity Relationships Of P1/P1' Compounds: Correlation between Lipophilicity and Cytotoxicity
AU Chen, Ping; Cheng, Peter T. W.; Alam, Masud; Beyer, Barbara D.; Bisacchi, Gregory S.; Dejneka, Tamara; Evans, Adelaide J.; Greytok, Jill A.; Hermsmeier, Mark A.; et al.
CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SO Journal of Medicinal Chemistry (1996), 39(10), 1991-2007
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



AB A series of novel amino diol inhibitors of HIV protease based on an amino diol (I) with structural modifications were prepared in order to reduce the cytotoxicity of I. The authors observed a high degree of correlation between the lipophilicity and the cytotoxicity of this series of inhibitors. Appropriate substitution at the para position of the Ph group of I resulted in the identification of equipotent (both against the enzyme and in cell culture) compds. which had significantly decreased cytotoxicity.
CC 1-3 (Pharmacology)

Section cross-reference(s): 34

IT Lipophilicity
Neoplasm inhibitors
(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

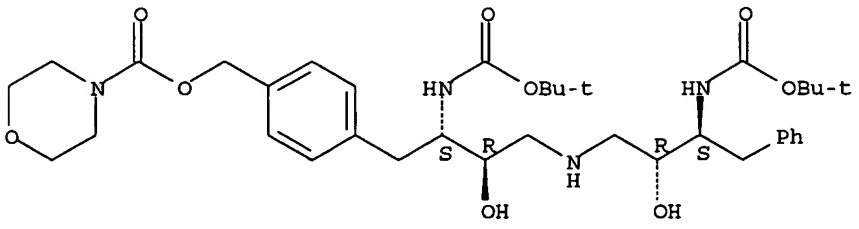
IT 161302-38-1DP, derivs. 161302-40-5P 162538-18-3P 162538-24-1P
162538-25-2P 162539-54-0P 162539-57-3P 162539-80-2P 162539-95-9P
162540-49-0P 162540-61-6P 162540-84-3P 162540-90-1P 162540-93-4P
162540-97-8P 162541-02-8P 162541-04-0P 162541-14-2P 175233-59-7P
175233-60-0P **175233-61-1P** 175417-50-2P 175417-51-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

IT 1615-14-1P, 1H-Imidazole-1-ethanol 3694-86-8P 4326-36-7P 16677-29-5P
17450-34-9P 37535-57-2P 53346-03-5P 70448-03-2P 90819-30-0P
112766-18-4P 144825-44-5P 161453-37-8P 162536-42-7P 162536-45-0P
162536-46-1P 162536-84-7P 162537-86-2P 162537-87-3P 162537-99-7P
162538-00-3P 162538-01-4P 162538-15-0P 162538-16-1P 162538-17-2P
162538-23-0P 162539-58-4P 162540-95-6P 162541-27-7P 162541-31-3P
162541-35-7P 162541-58-4P 162542-02-1P 162542-03-2P 175233-62-2P
175233-63-3P 175233-64-4P 175233-65-5P 175233-66-6P 175233-67-7P
175233-68-8P 175233-69-9P 175233-70-2P 175233-71-3P 175233-72-4P
175233-73-5P 175233-74-6P 175233-75-7P 175233-76-8P 175233-77-9P
175233-78-0P 175233-79-1P 175233-80-4P 175233-81-5P 175233-82-6P
175233-83-7P **175233-84-8P** 175233-86-0P 175233-87-1P
175233-88-2P 175233-89-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

IT **175233-61-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

RN 175233-61-1 HCAPLUS
CN 4-Morpholinecarboxylic acid, [4-[(2S,3R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[(2R,3S)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]-3-hydroxybutyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

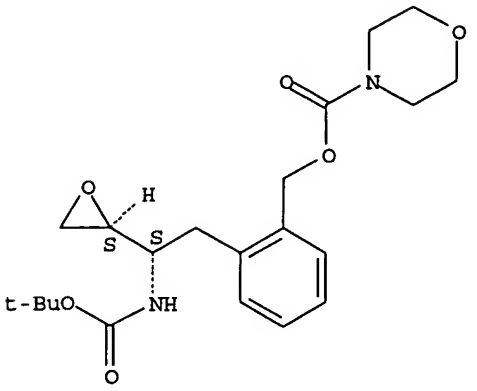


IT **175233-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

RN 175233-84-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, [2-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-2-

oxiranylethyl]phenyl]methyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 28 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:71587 HCAPLUS
DN 124:175686
TI Carbamates of rapamycin
IN Kao, Wenling; Abou-Gharbia, Magid A.; Vogel, Robert L.
PA American Home Products Corporation, USA
SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 160,984, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5480989	A	19960102	1994US-0297663	19940901 <--
	US---5302584	A	19940412	1993US-0054655	19930423 <--
	US---5530007	A	19960625	1995US-0402590	19950313 <--
	US---5559120	A	19960924	1995US-0402571	19950313 <--
	US---5508399	A	19960416	1995US-0450835	19950525 <--
	US---5530121	A	19960625	1995US-0451104	19950525 <--
PRAI	1992US-0960597	B2	19921013 <--		
	1993US-0054655	A3	19930423 <--		
	1993US-0160984	B2	19931201 <--		
	1994US-0297663	A3	19940901 <--		

OS MARPAT 124:175686
AB Rapamycin 42-carbamates with aminoalkanes and nitrogen heterocycles (>50 compds.) were prepared as immunosuppressants. Thus, rapamycin was esterified by ClCO2C6H4(NO2)-4 and this carbonate amidated with N,N-diethylethylenediamine to give rapamycin 42-(2-diethylaminoethyl)carbamate (I). I.HCl salt was evaluated for immunosuppressive activity in in vivo pinch skin graft and showed a survival time of 13.6 days at 4 mg/kg vs. controls which were 6-7 days.
IC ICM C07D-0491/06
ICS A61K-0031/395
INCL 540456000
CC 26-6 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
IT 156598-81-1P 156598-82-2P 156598-85-5P 156598-86-6P 156598-87-7P
165124-23-2P 173553-99-6P 173554-00-2P 173554-02-4P 173554-03-5P
173554-04-6P 173554-05-7P 173554-06-8P 173554-07-9P 173554-08-0P
173554-09-1P 173554-10-4P 173554-11-5P 173554-12-6P 173554-13-7P
173554-14-8P 173554-15-9P 173554-17-1P 173554-18-2P 173554-21-7P
173554-22-8P 173554-23-9P 173554-24-0P 173554-25-1P 173554-26-2P
173554-27-3P **173554-28-4P** 173554-29-5P 173554-30-8P
173554-31-9P 173554-32-0P 173554-38-6P 173658-46-3P 173658-47-4P

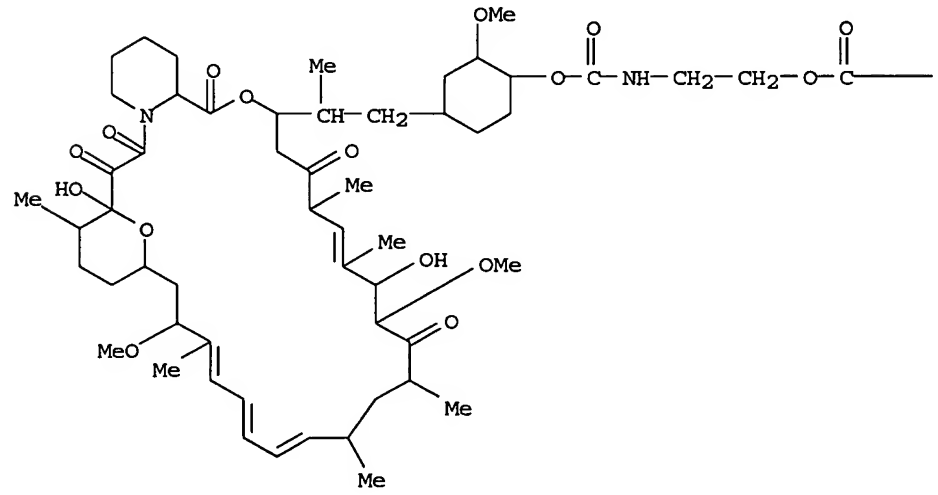
173827-85-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of immunosuppressant carbamates of rapamycin)

IT 100-36-7, N,N-Diethylethylenediamine 102-83-0, N,N-Dibutyl-1,3-propanediamine 103-76-4, 1-Piperazineethanol 108-00-9, N,N-Dimethylethylenediamine 109-01-3 109-55-7, 3-Dimethylaminopropylamine 110-85-0, Piperazine, reactions 110-91-8, Morpholine, reactions 123-00-2, 4-(3-Aminopropyl)morpholine 2038-03-1, 4-(2-Aminoethyl)morpholine 2213-43-6, 1-Aminopiperidine 4403-71-8, 4-Aminobenzylamine 4572-03-6, 1(3-Aminopropyl)-4-methylpiperazine 5317-32-8, 1-(3-Hydroxypropyl)piperazine 7693-46-1, 4-Nitrophenyl chloroformate 26116-12-1, 2-(Aminomethyl)-1-ethylpyrrolidine **53123-88-9**, Rapamycin 58226-19-0 116183-82-5 128345-57-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of immunosuppressant carbamates of rapamycin)

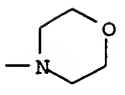
IT **173554-28-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of immunosuppressant carbamates of rapamycin)

RN 173554-28-4 HCAPLUS
CN Rapamycin, 42-[[2-[(4-morpholinylcarbonyl)oxy]ethyl]carbamate] (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

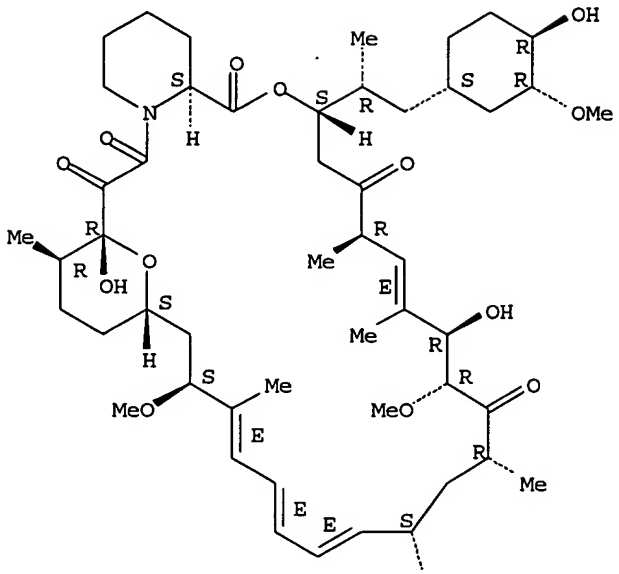


IT **53123-88-9**, Rapamycin
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of immunosuppressant carbamates of rapamycin)

RN 53123-88-9 HCAPLUS
CN Rapamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

L28 ANSWER 29 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:975347 HCAPLUS
DN 124:9320
TI Preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerosis agents
IN Deninno, Michael Paul
PA Pfizer Inc., USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9518144	A1	19950706	1994WO-IB00349	19941110 <--
	W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, LV, NO, NZ, PL, RO, RU, SI, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2180149	AA	19950706	1994CA-2180149	19941110 <--
	AU---9479484	A1	19950717	1994AU-0079484	19941110 <--
	EP----737203	A1	19961016	1994EP-0930331	19941110 <--
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	JP--09500907	T2	19970128	1994JP-0517869	19941110 <--
	FI---9406106	A	19950629	1994FI-0006106	19941227 <--
	BR---9502960	A	19970923	1995BR-0002960	19950628 <--
	US---5698526	A	19971216	1996US-0652477	19960619 <--
PRAI	1993US-0174100	A	19931228 <--		

1994WO-IB00349 W 19941110 <--

OS MARPAT 124:9320

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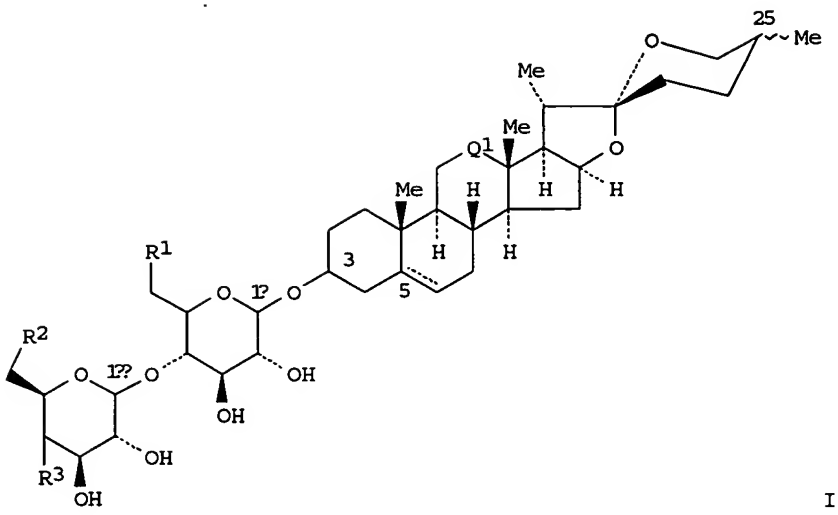

I

AB The title compds. [I; Q1 = CO, CH2, (R)- or (S)-CH(OH); R1 - R3 = H, OH, halo, NH2, N3, C1-6 alkoxy-C1-6 alkoxy, Z-R4; wherein NHCO, O2C, CO2, NR5, NHCONR5, OCSNR5; R4 = each (un)substituted aryl, aryl-C1-6 alkyl, C2-4 alkenyl, C1-6 alkyl, C3-7 cycloalkyl, or C3-7 cycloalkyl-C1-6 alkyl; wherein R5 = H, C1-4 alkyl; NR5 and R4 which is a covalent bond are taken together to form pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl, or morpholinyl each optionally substituted on the C atom with C1-4 alkoxycarbonyl], useful for the treatment of hypercholesterolemia and atherosclerosis (no data), are prepared Thus, (3β,5α,25R)-3-[[4''-(2-fluorophenylcarbamoyl)-6''-tert-butyldimethylsilyl-2',2'',3',3'',6'-pentaacetyl-β-D-cellobiosyl]oxy]spirostan-12-one was stirred with KCN in MeOH for deacylation and treated with Bu4NF in THF at room temperature for 30 min to give (3β,5α,25R)-3-[[4''-(2-fluorophenylcarbamoyl)-β-D-cellobiosyl]oxy]spirostan-12-one.

IC ICM C07J-0071/00
ICS A61K-0031/58

CC 33-4 (Carbohydrates)
Section cross-reference(s): 1

IT **Antiarteriosclerotics**
(antiatherosclerotics, preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerotic agents)

IT 171267-31-5P 171267-32-6P 171267-33-7P 171267-34-8P 171267-35-9P
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171268-06-7P **171268-07-8P** 171268-08-9P 171268-09-0P
171268-10-3P 171268-11-4P 171268-12-5P 171268-13-6P 171268-14-7P

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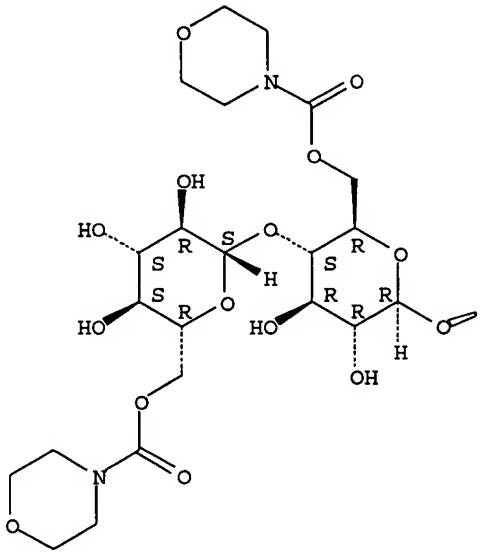
171268-35-2P 171268-36-3P 171268-37-4P 171268-38-5P 171268-39-6P
171268-40-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerotic agents)

IT **171268-07-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerotic agents)

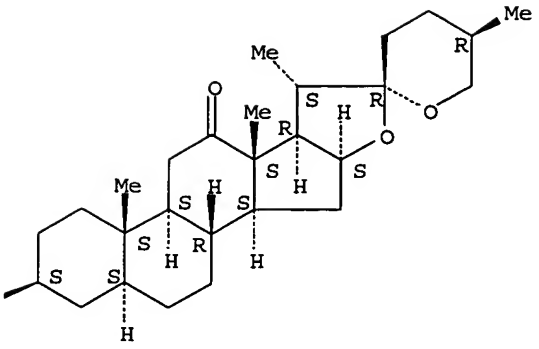
RN 171268-07-8 HCAPLUS
CN Spirostan-12-one, 3-[[6-O-(4-morpholinylcarbonyl)-4-O-[6-O-(4-morpholinylcarbonyl)-β-D-glucopyranosyl]-β-D-glucopyranosyl]oxy]-, (3β,5α,25R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L28 ANSWER 30 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:784968 HCAPLUS
DN 123:208783
TI Improvement of antibody-directed enzyme prodrug therapy (ADEPT)
IN Smith, Gary Keith; Blumenkopf, Todd Andrew; Cory, Michael
PA Wellcome Foundation Ltd., UK
SO PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9513095	A2	19950518	1994WO-GB02483	19941111 <--
	WO---9513095	A3	19950713		
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	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2176024	AA	19950518	1994CA-2176024	19941111 <--
	AU---9481488	A1	19950529	1994AU-0081488	19941111 <--
	AU----688412	B2	19980312		
	ZA---9408987	A	19960513	1994ZA-0008987	19941111 <--
	EP----728018	A1	19960828	1995EP-0900827	19941111 <--
	EP----728018	B1	20030806		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP--09507834	T2	19970812	1994JP-0513695	19941111 <--
	AT----246516	E	20030815	1995AT-0900827	19941111 <--
	ES---2204935	T3	20040501	1995ES-0900827	19941111 <--
	US---6140100	A	20001031	1996US-0640906	19960509 <--
	US---6319702	B1	20011120	1999US-0395936	19990914 <--
PRAI	1993GB-0023429	A	19931112 <--		
	1994WO-GB02483	W	19941111 <--		
	1996US-0640906	A1	19960509 <--		

OS MARPAT 123:208783
AB The present invention relates to improvements in targetted enzyme prodrug therapy including antibody-directed enzyme prodrug therapy (ADEPT); it particularly relates to novel enzymes and prodrugs for use in ADEPT. Enzymes are targetted to specific tissues; prodrugs located at the site are converted into cytotoxic products. Thus, a single conjugate could generate a proportionately larger amount of cytotoxic drug at the target site (by repeated rounds of enzymatic catalysis of prodrug activation) than would occur in targetting of the prodrug itself. The enzyme used

should be a mutant capable of catalyzing the conversion of the prodrug into the active cytotoxin, and the prodrug should be refractory to endogenous catalysis by the wild-type form of the enzyme. Thus, the kcat/Km value with wild-type human carboxypeptidase A1 for N-(4-(((2,4-diamino-6-pteridinyl)methyl)methylamino)benzoyl)-L-glutam-1-yl-3tert-butyl-L-phenylalanine was not measurable, but with a mutant (268 Thr→Gly) carboxypeptidase A1 the prodrug became an excellent substrate.

IC ICM A61K-0047/48
ICS C12N-0009/64; C12N-0015/52; C12N-0015/63; C12N-0005/10

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 3, 7, 28

IT Deoxyribonucleic acid sequences
Genetic vectors
Molecular cloning
Neoplasm inhibitors
Protein sequences
Saccharomyces cerevisiae
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

IT 70-78-0, 3-Iodo-L-tyrosine 75-65-0, tert-Butyl alcohol, reactions 115-11-7, reactions 128-08-5, N-Bromosuccinimide 142-29-0, Cyclopentene 300-34-5, 3-Amino-L-tyrosine 300-39-0, 3,5-Diiodo-L-tyrosine 540-88-5, tert-Butyl acetate 949-99-5, 4-Nitro-L-phenylalanine 1075-38-3, 3-tert-Butyltoluene 1119-33-1 1833-43-8 3886-08-6 5159-41-1, 2-Iodobenzyl alcohol 5466-84-2, 4-Nitrophthalic anhydride 6384-18-5, L-Aspartic acid dimethylester 6456-74-2, Glycine-tert-butyl ester 16450-41-2, L-Glutamic acid diethyl ester 16874-06-9, L-Glutamic acid di-tert-butyl ester 18162-48-6, tert-Butyldimethylsilyl chloride 18731-19-6 27784-76-5, tert-Butyl diethylphosphonoacetate 55516-54-6 66737-88-0, 3-tert-Butyl-4-hydroxybenzoic acid 67318-11-0, Ethyl 5-amino-2-thiophenecarboxylate 71989-18-9 **100516-54-9** 139988-96-8 167549-41-9 167550-39-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

IT 363-32-6P 403-24-7P, 2-Fluoro-4-nitrobenzoic acid 3523-22-6P 4652-65-7P 5908-05-4P 15126-06-4P, Methyl 4-hydroxy-3-iodobenzoate 15149-61-8P 17789-15-0P 35726-62-6P 38154-93-7P 38154-94-8P 39778-63-7P, Methyl 3-tert-butyl-4-hydroxybenzoate 40400-13-3P, 2-Iodobenzyl bromide 55943-73-2P 55943-74-3P 55943-76-5P 65148-65-4P 69984-05-0P 71074-48-1P 72634-81-2P 72634-82-3P 73792-06-0P, Ethyl 4-amino-2-fluorobenzoate 79145-92-9P 96844-03-0P 102405-32-3P 112888-43-4P 118355-51-4P 138899-69-1P 139988-40-2P 167549-34-0P 167549-35-1P 167549-36-2P 167549-37-3P 167549-38-4P 167549-39-5P 167549-40-8P 167549-42-0P 167549-43-1P 167549-44-2P 167549-45-3P 167549-46-4P 167549-47-5P 167549-48-6P 167549-49-7P 167549-50-0P 167549-51-1P 167549-52-2P 167549-53-3P 167549-54-4P 167549-55-5P 167549-56-6P 167549-57-7P 167549-58-8P 167549-59-9P 167549-60-2P 167549-61-3P 167549-62-4P 167549-63-5P 167549-64-6P 167549-65-7P 167549-66-8P 167549-67-9P **167549-68-0P** **167549-70-4P** 167549-71-5P 167549-72-6P 167549-73-7P 167549-74-8P 167549-75-9P 167549-76-0P 167549-77-1P 167549-78-2P 167549-79-3P 167549-80-6P **167549-81-7P** 167549-82-8P 167549-83-9P 167549-84-0P 167549-85-1P 167549-86-2P 167549-88-4P 167549-89-5P **167549-90-8P** 167549-91-9P 167549-92-0P 167549-93-1P 167549-94-2P 167549-95-3P 167549-97-5P 167549-98-6P **167549-99-7P** 167550-00-7P 167550-01-8P 167550-02-9P 167550-03-0P 167550-04-1P 167550-05-2P 167550-06-3P **167550-07-4P** 167550-08-5P 167550-09-6P 167550-10-9P 167550-11-0P 167550-12-1P 167550-13-2P 167550-15-4P 167550-16-5P 167550-17-6P 167550-18-7P 167550-19-8P **167550-20-1P** 167550-21-2P 167550-22-3P 167550-23-4P 167550-24-5P 167550-25-6P 167550-26-7P 167550-28-9P 167550-29-0P 167550-30-3P 167550-31-4P 167550-32-5P 167550-33-6P 167550-35-8P 167550-36-9P 167550-38-1P 167550-40-5P 167550-41-6P 167550-42-7P 167550-43-8P 167550-44-9P 167550-45-0P 167550-46-1P **167550-48-3P** 167550-49-4P 167550-50-7P 167550-51-8P 167550-52-9P 167550-53-0P 167550-55-2P

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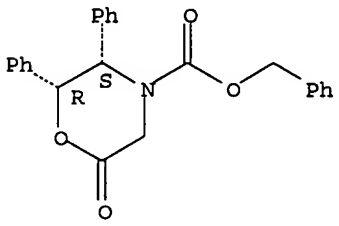
167551-38-4P 167551-39-5P 167817-54-1P 167817-55-2P 167817-56-3P
167817-57-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

IT **148-82-3DP**, Melphalan, derivs.
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prodrugs; improvement of antibody-directed enzyme prodrug therapy (ADEPT))

IT **100516-54-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

RN 100516-54-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 6-oxo-2,3-diphenyl-, phenylmethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

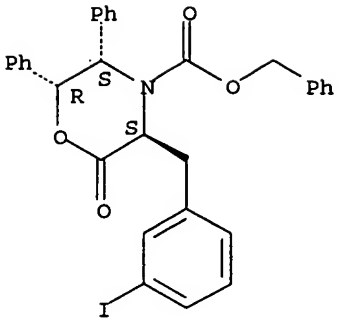
Absolute stereochemistry. Rotation (-).



IT **167549-68-0P 167549-70-4P 167549-81-7P**
167549-90-8P 167549-99-7P 167550-07-4P
167550-20-1P 167550-48-3P 167550-65-4P
167551-02-2P 167551-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

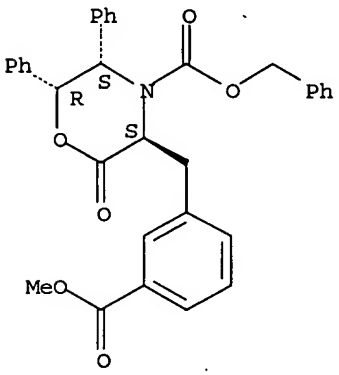
RN 167549-68-0 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(3-iodophenyl)methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



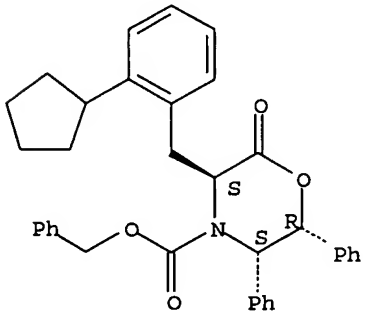
RN 167549-70-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[3-(methoxycarbonyl)phenyl]methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



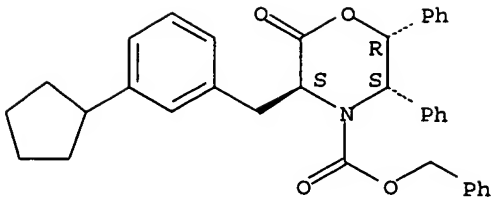
RN 167549-81-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(2-cyclopentylphenyl)methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



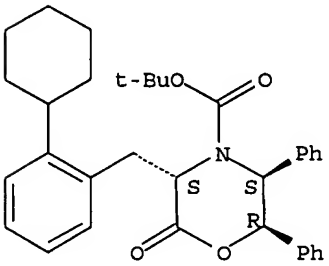
RN 167549-90-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(3-cyclopentylphenyl)methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



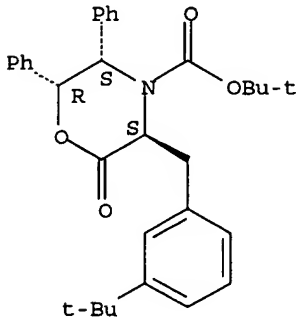
RN 167549-99-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(2-cyclohexylphenyl)methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



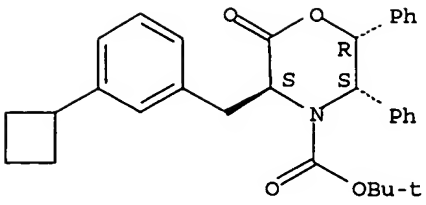
RN 167550-07-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[3-(1,1-dimethylethyl)phenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



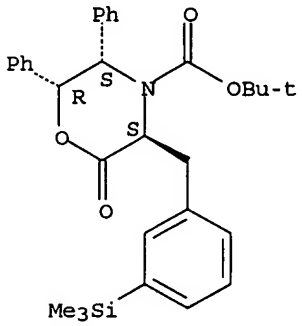
RN 167550-20-1 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(3-cyclobutylphenyl)methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



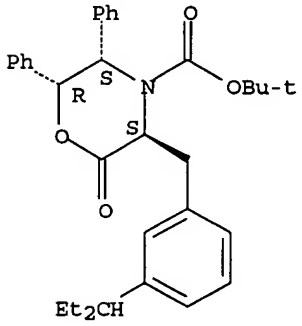
RN 167550-48-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-oxo-5,6-diphenyl-3-[[3-(trimethylsilyl)phenyl]methyl]-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



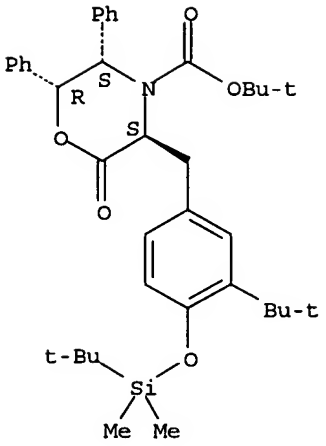
RN 167550-65-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[3-(1-ethylpropyl)phenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



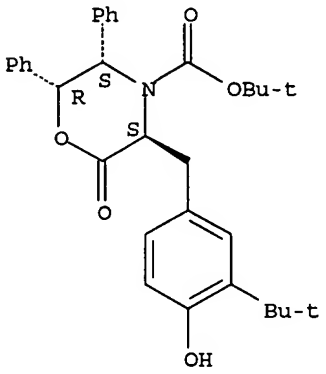
RN 167551-02-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[3-(1,1-dimethylethyl)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



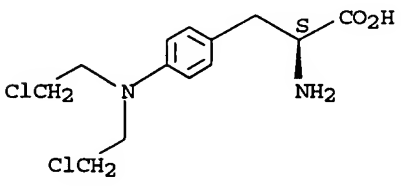
RN 167551-03-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **148-82-3DP**, Melphalan, derivs.
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prodrugs; improvement of antibody-directed enzyme prodrug therapy (ADEPT))
RN 148-82-3 HCAPLUS
CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 31 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:780258 HCAPLUS

DN 123:169647
TI Preparation of sulfonylaminopyrimidines as endothelin antagonists.
IN Breu, Volker; Burri, Kaspar; Cassal, Hean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri
PA F. Hoffmann-La Roche AG, Switz.
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP----633259	A1	19950111	1994EP-0109257	19940616	<--
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	LV-----11175	B	19960620	1994LV-0000131	19940627	<--
	US---5541186	A	19960730	1994US-0266072	19940627	<--
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	JP---2545200	B2	19961016			
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PRAI	1993CH-0001924	A	19930628	<--		
	1992IL-0101650	A0	19920420	<--		
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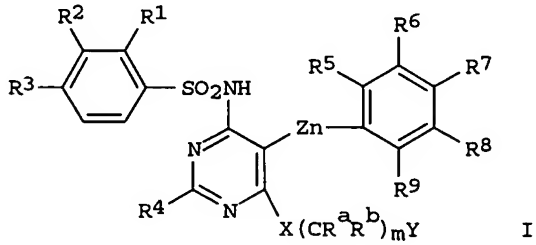
OS MARPAT 123:169647
GI



I

AB Title compds. (I; R1-R3 = H, alkyl, alkoxy, alkylthio, alkenyl, halo, CF3, hydroxyalkoxy, haloalkoxy, alkanoylalkyl, hydroxyalkyl, CO2H, amino, etc.;

R2R3, R5R6, R6R7 = butadienyl, methylenedioxy, ethylenedioxy, isopropylidenedioxy; R4 = H, alkyl, cycloalkyl, CF3, alkoxy, alkynyloxy, alkylthio, alkylthioalkyl, hydroxyalkyl, dihydroxyalkoxy, alkylsulfinyl, alkylsulfonyl, aryl, arylthio, aryloxy, heterocyclyl, heterocyclylalkyl, etc.; R5-R9 = H, halo, CF3, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; Ra, Rb = H, alkyl, alkoxy, alkylthio; X = O, S, NH; Y = O2CNR10R11, HNOCNR10R11, O2COR10, HNCO2R10; R10 = alkyl, cycloalkyl, hydroxyalkyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyloxyalkyl, arylcarbamoylalkyl, heterocyclyl, heterocyclylalkyl, etc.; R11 = H, R10; m = 1-3; n = 0,1), were prepared Thus, 2-pyridinecarbonyl azide was heated in PhMe; 4-tert-butyl-N-[6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2,2'-bipyrimidin-4-yl]benzenesulfonamide was added to give pyridine-2-carbaminic acid, 2-[6-(4-tert-butylphenylsulfonylamino)-5-(2-methoxyphenoxy)-2,2'-bipyrimidin-4-yloxy]ethyl ester. The latter at 30 mg/kg orally in rats gave a 30% reduction in average arterial blood pressure.

IC ICM C07D-0401/12
ICS C07D-0405/14; C07D-0405/12; C07D-0409/14; C07D-0403/12; C07D-0413/12; C07D-0239/52; A61K-0031/505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Antihypertensives**
(preparation of sulfonylaminopyrimidines as endothelin antagonists)

IT 167402-01-9P 167402-02-0P 167402-03-1P 167402-04-2P 167402-05-3P
167402-06-4P 167402-07-5P 167402-08-6P 167402-09-7P 167402-10-0P
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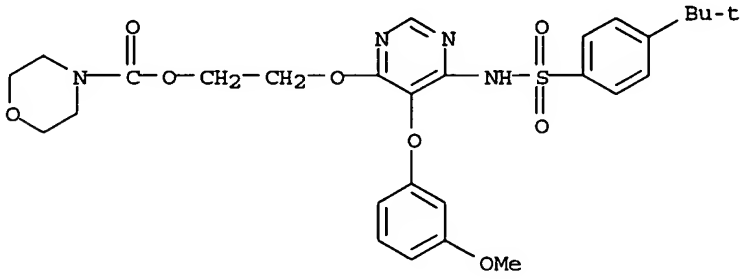
167404-89-9P 167404-90-2P 167404-91-3P 167404-92-4P 167404-94-6P
167404-95-7P 167404-96-8P 167404-97-9P 167404-98-0P 167404-99-1P
167405-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic**

use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylaminopyrimidines as endothelin antagonists)

IT **167403-24-9P 167404-88-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylaminopyrimidines as endothelin antagonists)

RN 167403-24-9 HCAPLUS

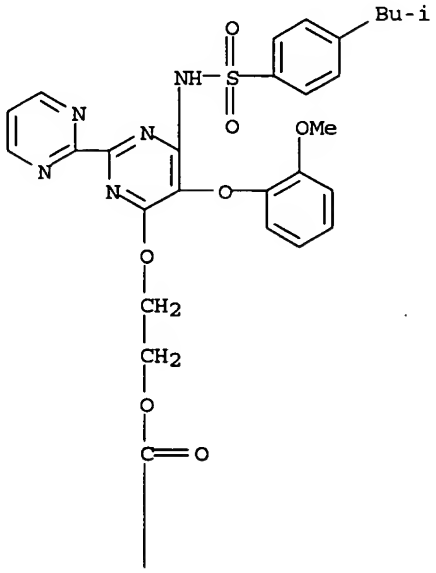
CN 4-Morpholinecarboxylic acid, 2-[[6-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5-(3-methoxyphenoxy)-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



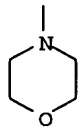
RN 167404-88-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[5-(2-methoxyphenoxy)-6-[[[4-(2-methylpropyl)phenyl]sulfonyl]amino][2,2'-bipyrimidin]-4-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L28 ANSWER 32 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:389590 HCAPLUS
DN 122:160362
TI Substituted azetidinones as anti-inflammatory and antidegenerative agents
IN Doherty, James B.; Dorn, Conrad P.; Durette, Philippe L.; Finke, Paul E.; MacCoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Sahoo, Soumya P.; Polo, Scott A.; Hagmann, William K.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9410143	A1	19940511	1993WO-US10269	19931026 <--
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	AU---9455875	A1	19940524	1994AU-0055875	19931026 <--
	EP----666846	A1	19950816	1994EP-0901205	19931026 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP--08502752	T2	19960326	1993JP-0511259	19931026 <--
PRAI	1992US-0966799	A	19921027 <--		
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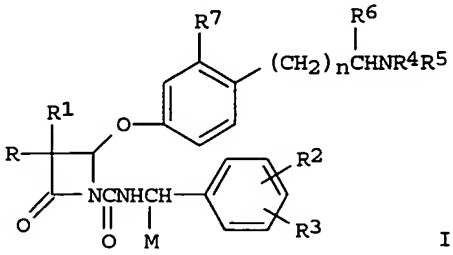
	1993WO-US10269	W	19931026 <--		

OS MARPAT 122:160362
GI



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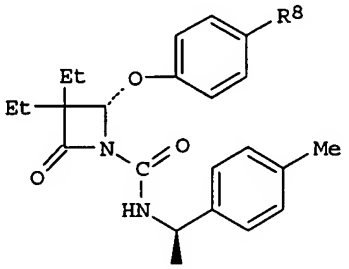

II

AB New substituted azetidinones I [R = alkyl; R1 = alkyl, alkoxyalkyl; M = H, alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkoxyalkyl; R2, R3 = H, alkyl, halo, CO2H, alkoxy, Ph, alkylcarbonyl, dialkylamino; or R2R3 = OCH2O, OCH:CH; R4 = H, alkyl, alkoxyalkyl, cyclopropyl; R5 = H, alkyl, alkoxyalkyl, various substituted alkyls; or NR4R5 = (un)substituted piperidino, piperazino, (thio)morpholino, pyrrolidino, pyrrolo, imidazolo; R6 = H, alkyl, alkoxyalkyl; or R5R6 = atoms to form (un)saturated monocyclic heterocyclic ring; R7 = H, halo, alkyl, OH, alkoxy; n = 0-5], which have been found to be potent elastase inhibitors and thereby useful as anti-inflammatory and antidegenerative agents, are described. For

example, the azetidinone derivative II (R8 = CO2H) [preparation from racemic 3,3-diethyl-4-acetoxyazetidin-2-one given] underwent reduction by BH3.SMe2 (84%) to give II (R8 = CH2OH), which underwent bromination by Br2 and PPh3 in THF to give II (R8 = CH2Br). The latter, without isolation, reacted with MeOCH2CH2NHEt and Et3N to give 55% II (R8 = CH2NEtCH2CH2OMe) (III). III inhibited the proteolytic activity of human neutrophil elastase in vitro, with Kobs/[I] = 565,000 mol-1·sec-1. Approx. 130 I are described, with elastase inhibition data for most compds.

IC ICM C07D-0205/08
ICS C07D-0227/087; C07D-0403/12; C07D-0403/14; C07D-0413/12; C07D-0413/14; C07D-0417/12; C07D-0417/14; A61K-0031/395; A61K-0031/40; A61K-0031/55; A61K-0031/54; A61K-0031/535; A61K-0031/44; A61K-0031/445; A61K-0031/415; A61K-0031/495

CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 7

IT Inflammation inhibitors
Neoplasm inhibitors
(preparation of substituted azetidinones as elastase inhibitors)

IT **Neoplasm inhibitors**
(leukemia, preparation of substituted azetidinones as elastase inhibitors)

IT 161280-26-8P 161280-27-9P 161280-28-0P 161280-29-1P 161280-30-4P
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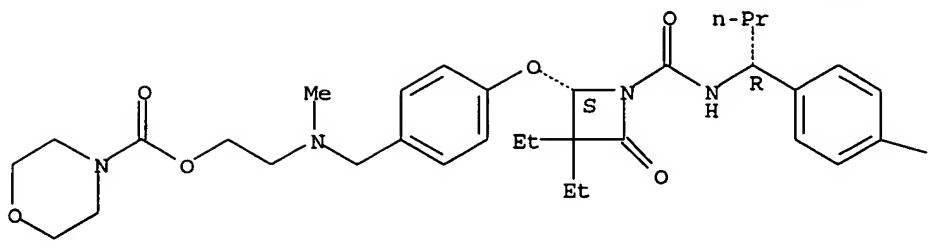
161281-11-4P 161281-12-5P 161281-13-6P 161281-14-7P 161281-15-8P
161281-16-9P 161281-17-0P 161281-18-1P 161281-19-2P 161281-20-5P
161281-21-6P 161281-22-7P 161281-23-8P 161281-24-9P 161281-25-0P
161281-26-1P 161281-27-2P 161281-28-3P 161281-29-4P 161281-30-7P
161281-31-8P 161281-32-9P 161281-33-0P 161281-34-1P 161281-35-2P
161281-36-3P 161281-37-4P 161281-38-5P 161281-39-6P 161281-40-9P
161281-41-0P 161281-42-1P 161281-43-2P 161281-44-3P 161281-45-4P
161281-46-5P 161281-47-6P 161281-48-7P 161281-49-8P 161281-50-1P
161281-51-2P 161281-52-3P 161281-53-4P 161281-54-5P 161281-55-6P
161281-56-7P 161281-57-8P 161281-58-9P 161345-55-7P 161345-56-8P
161345-57-9P 161345-58-0P 161345-59-1P 161345-60-4P 161345-61-5P
161345-62-6P 161345-63-7P 161345-64-8P 161345-65-9P 161345-66-0P
161345-67-1P 161345-68-2P 161345-69-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted azetidinones as antiinflammatories)

IT **161280-63-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted azetidinones as antiinflammatories)

RN 161280-63-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[[4-[[(2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]phenyl]methyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



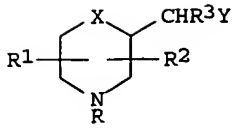
PAGE 1-B

Me

L28 ANSWER 33 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:308736 HCAPLUS
DN 122:81384
TI Preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists
IN Kuo, Shen-Chun; Blythin, David J.; Kreutner, William
PA Schering Corp., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9422843	A1	19941013	1994WO-US02803	19940323 <--
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2158952	AA	19941013	1994CA-2158952	19940323 <--
	CA---2158952	C	19990518		
	AU---9464088	A1	19941024	1994AU-0064088	19940323 <--
	EP----690850	A1	19960110	1994EP-0911608	19940323 <--
	EP----690850	B1	19971112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, PT, SE				
	JP--08503229	T2	19960409	1994JP-0522103	19940323 <--
	JP---2778832	B2	19980723		
	AT----160143	E	19971115	1994AT-0911608	19940323 <--
	ES---2109683	T3	19980116	1994ES-0911608	19940323 <--
	ZA---9402090	A	19940926	1994ZA-0002090	19940324 <--
	IL----109111	A1	19990714	1994IL-0109111	19940324 <--
	US---5929236	A	19990727	1995US-0525795	19950922 <--
PRAI	1993US-0038584	A	19930326 <--		
	1994WO-US02803	W	19940323 <--		

OS MARPAT 122:81384
GI



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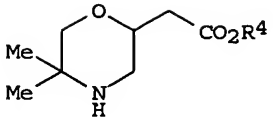

II

AB Title compds. [I; R = H, (cyclo)alkyl, alkanoyl, alkoxycarbonyl, phenylalkyl, etc.; R1 = (hydroxy)alkyl; R1 may = H with restrictions on R, X, and Y; R2,R3 = H, (hydroxy)alkyl; R1R2 = atoms to form a ring; X = O or S; Y = CO2H, alkoxycarbonyl, SO3H, P(O)(OH)2, 1H-tetrazol-5-yl, etc.] were prepared Thus, H2NCMe2CH2OH was N-alkylated by BrCH2CH:CHCO2Et and the product cyclized to give title compound II (R4 = Et) which was N-protected and subjected to enantiomer separation to give, after saponification and deprotection, (+)-II.HCl (R4 = H). The latter gave complete control of γ-butyrolactone-induced seizures in rats at 3.0mg/kg (route of administration not given).

IC ICM C07D-0265/30
ICS C07D-0279/12; C07D-0265/34; C07F-0009/6533; A61K-0031/535; A61K-0031/54

CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Anticonvulsants and Antiepileptics**
Nervous system agents
(preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists)

IT 160415-03-2P **160415-06-5P** 160415-07-6P 160415-08-7P
160415-09-8P **160415-10-1P** 160415-11-2P 160415-12-3P
160415-13-4P 160415-14-5P 160415-15-6P 160415-16-7P 160415-19-0P
160415-20-3P 160415-21-4P 160415-22-5P 160415-23-6P 160415-24-7P
160415-25-8P 160415-26-9P 160415-27-0P 160415-28-1P 160415-29-2P
160415-30-5P 160415-33-8P 160415-34-9P 160415-36-1P 160415-37-2P
160415-38-3P 160415-39-4P **160415-40-7P** **160415-40-7P**
160415-41-8P **160415-41-8P** 160415-42-9P 160415-43-0P
160415-44-1P 160415-45-2P 160415-46-3P 160415-46-3P 160415-47-4P
160415-47-4P 160415-48-5P 160415-49-6P 160415-50-9P 160415-51-0P
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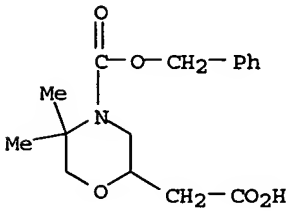
160415-56-5P 160415-57-6P 160415-57-6P 160415-58-7P 160415-59-8P
160415-60-1P 160415-61-2P 160415-62-3P 160415-63-4P 160415-64-5P
160497-02-9P **160497-03-0P** 180863-29-0P 180863-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists)

IT **160415-06-5P** **160415-09-8P** **160415-10-1P**
160415-40-7P **160415-41-8P** **160497-02-9P**
160497-03-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists)

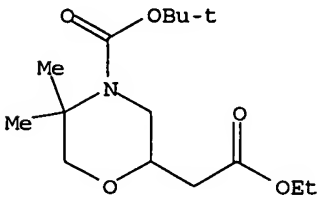
RN 160415-06-5 HCAPLUS

CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



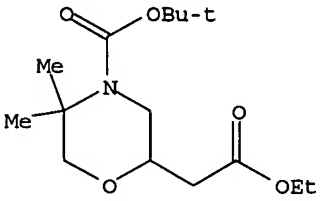
RN 160415-09-8 HCAPLUS
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

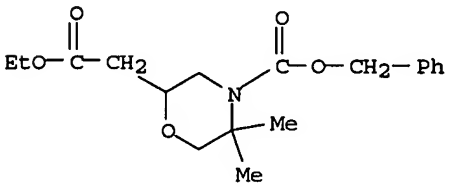


RN 160415-10-1 HCAPLUS
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

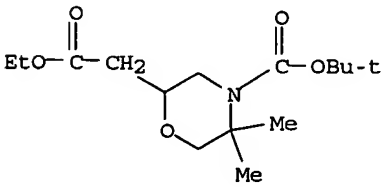
Rotation (-).



RN 160415-40-7 HCAPLUS
CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

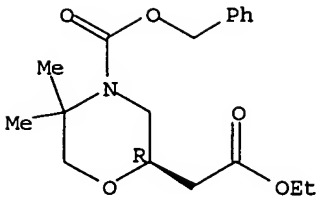


RN 160415-41-8 HCAPLUS
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



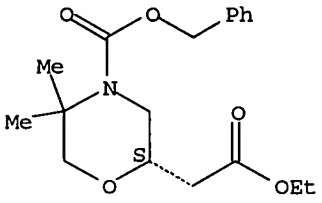
RN 160497-02-9 HCAPLUS
CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160497-03-0 HCAPLUS
CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

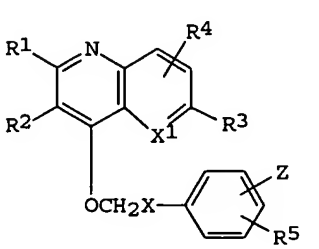
Absolute stereochemistry.



L28 ANSWER 34 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1992:511587 HCAPLUS
DN 117:111587
TI Preparation of 4-[(tetrazolylbiphenylyl)methoxy]naphthyridines and analogs as angiotensin II antagonists
IN Roberts, David Anthony; Pearce, Robert James; Bradbury, Robert Hugh
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP----487252	A1	19920527	1991EP-0310500	19911114 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA---2054555	AA	19920520	1991CA-2054555	19911030 <--
US---5219863	A	19930615	1991US-0791717	19911114 <--
JP--04273859	A2	19920930	1991JP-0303387	19911119 <--
PRAI 1990GB-0025123	A	19901119 <--		

OS MARPAT 117:111587
GI



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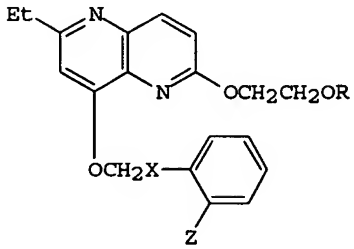

II

AB Title compds. [I; R1 = H, (cyclo)alkyl, Ph, phenylalkyl, etc.; R2 = H,

alkyl; R3 = PhO, pyridyloxy, YAB; A = alk(en)ylene, 1,3-cyclopentylenediyl, 1,4-cyclohexylenediyl, etc.; B = OH, alkoxy, Ph, PhO, (di)(alkyl)amino, etc.; R4 = H, alkyl, (fluoro)alkoxy, halo, CF3, etc.; R5 = H, alkyl, alkoxy, halo, CF3, cyano, NO2; X = bond, (substituted)phenylenediyl; X1 = CH, N; Y = O, S; Z = 1H-tetrazol-5-yl(carbamoyl), CO2H, (alkylsulfonyl)carbamoyl, etc.] were prepared Thus, 5-amino-2-[2-(tert-butyldiphenylsilyloxy)ethoxy]pyridine (preparation given) was cyclocondensed with EtCOCH2CO2Me and the naphthyridone product condensed with 5-(4'-bromomethylbiphenyl-2-yl)-2-triphenylmethyl-2H-tetrazole to give, after deprotection, title compound II (R = H, X = 1,4-phenylenediyl, Z = 2-triphenylmethyl-2H-tetrazol-5-yl) which was condensed with EtNCO to give, after deprotection, II (R = CONHEt, X = 1,4-phenylenediyl, Z = 1H - tetrazol-5-yl). The latter had ED50 of 0.08 mg/kg i.v. against angiotensin II-induced pressor response in rats.

IC ICM C07D-0471/04
ICS C07D-0215/233; C07D-0401/12; A61K-0031/47; A61K-0031/435

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT **Antihypertensives**
([(tetrazolylbiphenylyl)methoxy]naphthyridines and analogs)

IT 99073-54-8P 99185-50-9P 101351-09-1P 135900-24-2P 135900-26-4P
143071-40-3P 143071-41-4P 143071-42-5P 143071-43-6P 143071-44-7P
143071-46-9P 143071-48-1P 143071-49-2P 143071-50-5P 143071-51-6P
143071-55-0P 143071-57-2P 143071-59-4P 143071-66-3P
143071-67-4P 143071-68-5P 143071-69-6P 143071-70-9P 143071-71-0P
143071-74-3P 143071-76-5P 143071-78-7P 143071-79-8P 143071-80-1P
143071-82-3P 143071-83-4P 143071-85-6P 143071-86-7P 143071-87-8P
143083-41-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of angiotensin II antagonists)

IT 143071-29-8P 143071-30-1P 143071-31-2P **143071-32-3P**
143071-33-4P 143071-34-5P 143071-35-6P 143071-36-7P 143071-37-8P
143071-38-9P 143071-45-8P 143071-47-0P 143071-52-7P
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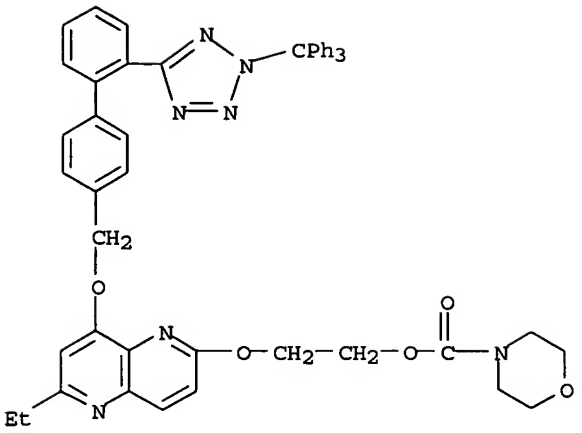
143071-61-8P 143071-62-9P 143071-63-0P 143071-64-1P 143071-65-2P
143071-72-1P 143071-73-2P 143071-75-4P 143071-77-6P 143071-81-2P
143071-84-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

IT **143071-55-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of angiotensin II antagonists)

RN 143071-55-0 HCAPLUS

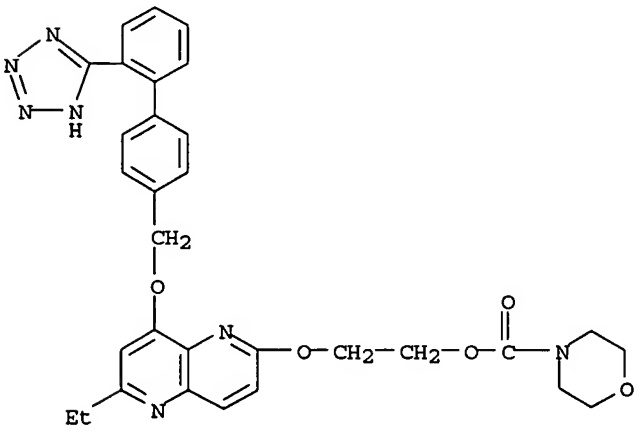
CN 4-Morpholinecarboxylic acid, 2-[[6-ethyl-8-[[2'-[2-(triphenylmethyl)-2H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methoxy]-1,5-naphthyridin-2-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)



IT **143071-32-3P 143071-54-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

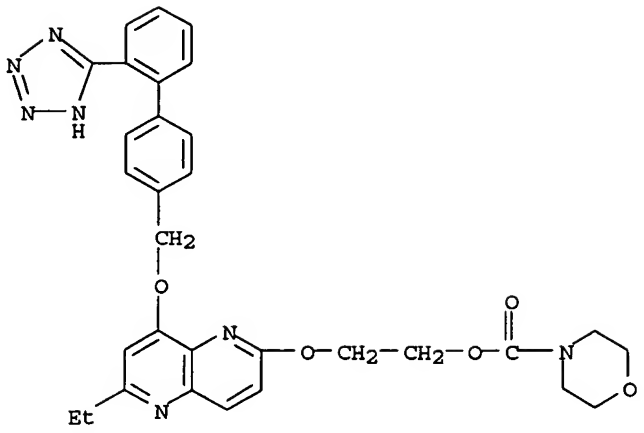
RN 143071-32-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[6-ethyl-8-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methoxy]-1,5-naphthyridin-2-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)



RN 143071-54-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[6-ethyl-8-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methoxy]-1,5-naphthyridin-2-yl]oxy]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

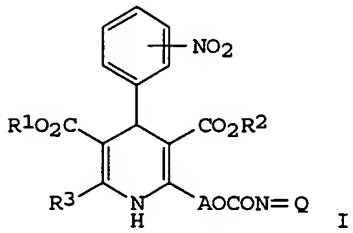


● HCl

L28 ANSWER 35 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:101750 HCAPLUS
DN 114:101750
TI Preparation of 1,4-dihydropyridines as cardiovascular agents
IN Suzuki, Kunio; Murase, Satoshi; Ushijima, Ryosuke; Nakagawa, Susumu
PA Banyu Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP--02225467	A2	19900907	1989JP-0048035	19890228 <--
PRAI 1989JP-0048035		19890228 <--		

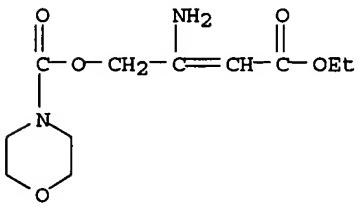
OS MARPAT 114:101750
GI



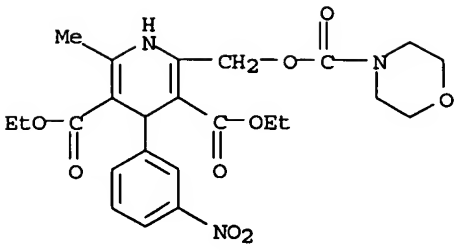
I

AB The title compds. I (R1, R2 = alkyl, alkoxy; R3 = alkyl; NQ = pyrrolidin-1-yl, piperidino, morpholino, etc.; A = alkylene) were prepared A mixture of 3-amino-4-[(4-methylpiperazinyl)carbonyloxy]crotonic acid Et ester, 3-nitrobenzaldehyde, and Et acetoacetate in EtOH ws stirred at 60-70° for 16 h to give 43.7% I (NO2 at position 3, R1 = R2 = Et, R3 = Me, A = CH2, NQ = 4-methylpiperazin-1-yl) (II). In the coronary vasodilating test using rabbit hearts, II exhibited pI50 value of 7.67, vs., pI50 of 6.85 for nifedipine.
IC ICM C07D-0211/90
ICS A61K-0031/445; A61K-0031/495; C07D-0295/20; C07D-0405/12

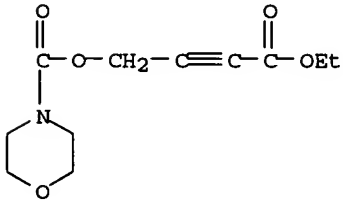
ICA C07D-0307/52
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
IT **Antihypertensives**
Cardiovascular agents
Vasodilators
((nitrophenyl)dihydropyridinedicarboxylates)
IT 90511-97-0P 90511-98-1P **90511-99-2P** 132220-71-4P
132220-72-5P 132220-73-6P 132220-74-7P 132220-75-8P 132220-76-9P
132220-77-0P 132220-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cardiovascular agent)
IT 123494-24-6P 123494-25-7P **123494-26-8P** 123494-27-9P
132220-63-4P 132220-64-5P 132220-65-6P 132220-66-7P 132220-67-8P
132220-68-9P 132220-69-0P 132220-70-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
IT 92-54-6, N-Phenylpiperazine 99-61-6, 3-Nitrobenzaldehyde 109-01-3, N-Methylpiperazine 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 141-97-9, Ethyl acetoacetate 2759-28-6, N-Benzylpiperazine 5610-49-1, N-Butylpiperazine 13889-98-0, N-Acetylpiperazine 17738-04-4 39562-16-8 40172-95-0, N-(2-Furoyl)piperazine 55486-27-6 59037-70-6 90511-53-8 90511-54-9 **90511-55-0** 90511-56-1 90512-00-8 90512-11-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cardiovascular agent)
IT **90511-99-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cardiovascular agent)
RN 90511-99-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-amino-4-ethoxy-4-oxo-2-butenyl ester (9CI) (CA INDEX NAME)



IT **123494-26-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
RN 123494-26-8 HCAPLUS
CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2-methyl-6-[[(4-morpholinylcarbonyl)oxy]methyl]-4-(3-nitrophenyl)-, diethyl ester (9CI) (CA INDEX NAME)



IT 90511-55-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cardiovascular agent)
RN 90511-55-0 HCAPLUS
CN 4-Morpholinecarboxylic acid, 4-ethoxy-4-oxo-2-butynyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 36 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:669 HCAPLUS
DN 112:669
TI Amino acid derivatives, processes for their preparation, and pharmaceutical compositions comprising them for treatment of hypertension and heart failure
IN Hemmi, Keiji; Neya, Masahiro; Marusawa, Hiroshi; Imai, Keisuke; Kayakiri, Natsuko; Hashimoto, Masashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP----300189	A2	19890125	1988EP-0109430	19880614 <--
EP----300189	A3	19900822		
EP----300189	B1	19941102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA---8804087	A	19890222	1988ZA-0004087	19880608 <--
US---4921855	A	19900501	1988US-0204549	19880609 <--
ES---2067456	T3	19950401	1988ES-0109430	19880614 <--
FI---8802875	A	19881223	1988FI-0002875	19880616 <--
FI-----96202	B	19960215		
FI-----96202	C	19960527		
IL-----86782	A1	19930404	1988IL-0086782	19880616 <--
AU---8818190	A1	19881222	1988AU-0018190	19880621 <--
AU----617674	B2	19911205		
DK---8803400	A	19881223	1988DK-0003400	19880621 <--
NO---8802732	A	19881223	1988NO-0002732	19880621 <--
NO----175371	B	19940627		
NO----175371	C	19941005		
CN---1030411	A	19890118	1988CN-0103878	19880621 <--

	CN---1026892	B	19941207		
	JP--01019071	A2	19890123	1988JP-0153041	19880621 <--
	JP--06025147	B4	19940406		
	HU-----47917	A2	19890428	1988HU-0003164	19880621 <--
	HU----202212	B	19910228		
	SU---1801107	A3	19930307	1988SU-4356019	19880621 <--
	US---5142048	A	19920825	1990US-0462117	19900108 <--
	RU---2070195	C1	19961210	1991RU-5010142	19911122 <--
	US---5223489	A	19930629	1992US-0828193	19920130 <--
PRAI	1987GB-0014597	A	19870622 <--		
	1987GB-0025511	A	19871030 <--		
	1988GB-0005389	A	19880307 <--		
	1988US-0204549	A3	19880609 <--		
	1990US-0462117	A3	19900108 <--		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for preparing I [R1 = lower alkyl optionally substituted with acyl, hydroxy, lower alkoxy, aryl, lower alkylthio, NR5R6; R5 = H, acyl; R6 = H, lower alkyl, aryl, (lower alkyl- or acyl-substituted) amino; R2, R3 = H, lower alkyl; R4 = lower alkyl; R1NR2 = heterocycle optionally substituted with lower alkyl, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, acyl(lower)alkyl, oxo, acyl] or its pharmaceutically acceptable salt comprises (a) reacting II (R3, R4 as above; R8 = H, N-protective group) or its reactive derivative at the amino group or a salt thereof with III (R1, R2 as above) or its reactive derivative at the COO group or a salt thereof, and, if necessary, eliminating the N-protective group or (b) subjecting IV (R2, R3, R4, R6 as above; R7 = N-protective group; A = lower alkylene) or its salt to elimination reaction of R7 to give V (R2, R3, R4, R6, A as above) or its salt. I are useful as antihypertensives or for the treatment of heart failure. A solution of 2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionic acid (preparation described) 449 and 2(S)-(Nα-methyl-Nim-tosyl-L-histidyl)amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (preparation described) 300 mg in CH2Cl2 (30 mL) was mixed with N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide-HCl 140 mg at 5° overnight. The residue was dissolved in EtOAC, washed with HCl/NaHCO3, dried, redissolved in DMF, and reacted with pyridine-HCl 650 mg for 2 h at room temperature Workup and purification by TLC yielded 2(S)-[Nα-[2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionyl]-Nα-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (VI) 221 mg (m.p. 80-87°) as an amorphous powder. VI, dissolved in HCl and orally administered to Na-depleted male or female cynomolgus monkeys (32 mg/kg), reduced mean arterial blood pressure and plasma renin activity by 18 and 92%, resp.

IC ICM C07D-0233/64
ICS A61K-0031/415

CC 1-8 (Pharmacology)
Section cross-reference(s): 25, 28, 34

IT **Antihypertensives**
(amino acid derivs.)

IT 124072-29-3P	124072-30-6P	124072-31-7P	**124072-32-8P**	
124072-33-9P	124072-34-0P	124072-35-1P	124072-36-2P	
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124072-42-0P	124072-43-1P	124072-44-2P	124072-45-3P	124072-46-4P
124072-48-6P	124072-49-7P	124072-50-0P	124072-51-1P	124072-52-2P
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124072-58-8P	124072-60-2P	124075-47-4P	124075-48-5P	124075-49-6P
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124151-25-3P 124151-26-4P 124151-27-5P 124151-28-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

IT 124072-30-6P **124072-32-8P 124072-33-9P** 124072-34-0P
124072-35-1P 124072-36-2P 124072-37-3P 124072-38-4P 124072-39-5P
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124122-46-9P 124122-47-0P 124151-26-4P 124151-27-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive, renin inhibition in relation to)

IT 53673-16-8P 59702-07-7P 62917-66-2P 62917-75-3P 65918-90-3P
67600-79-7P 98642-44-5P **114343-31-6P** 114703-81-0P
117161-45-2P 119740-95-3P 120377-07-3P 120377-08-4P 120377-09-5P
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RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antihypertensives)

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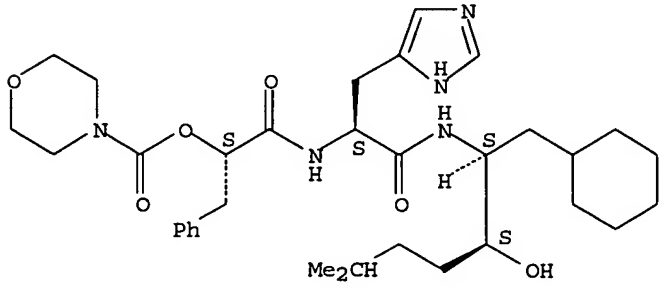
124122-49-2P 124122-50-5P 124122-51-6P 124122-52-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antihypertensives)

IT **124072-32-8P 124072-33-9P 124076-21-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

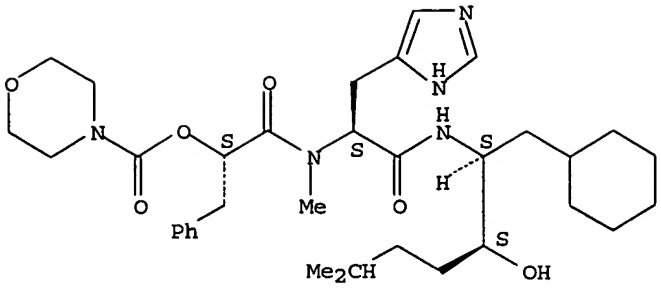
RN 124072-32-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



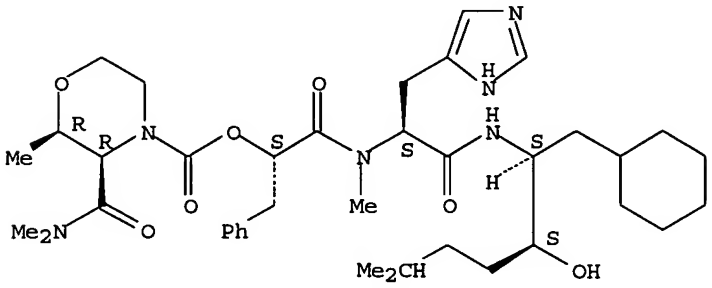
RN 124072-33-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



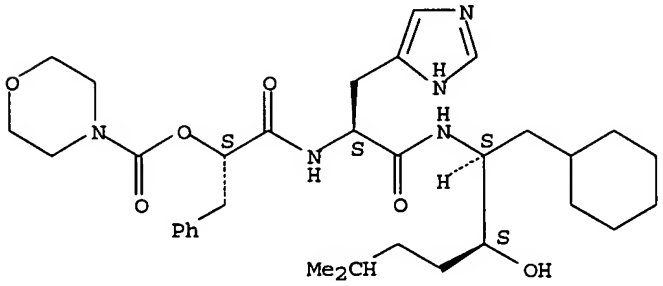
RN 124076-21-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2R-[2α,3α,4[S*[S*(1S*,2S*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



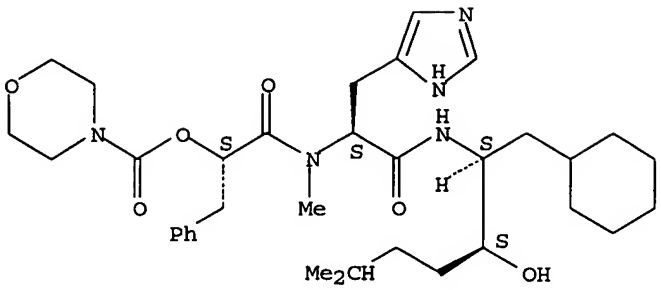
IT **124072-32-8P 124072-33-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive, renin inhibition in relation to)
RN 124072-32-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



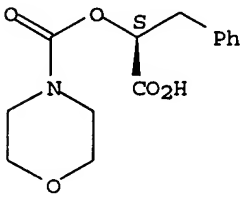
RN 124072-33-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



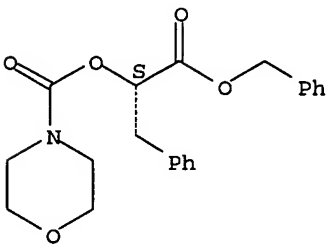
IT **114343-31-6P 124073-34-3P 124074-26-6P 124075-29-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antihypertensives)
RN 114343-31-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-carboxy-2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



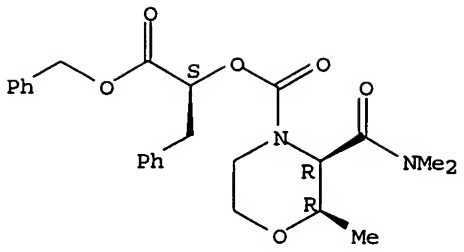
RN 124073-34-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-oxo-2-(phenylmethoxy)-1-(phenylmethyl)ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



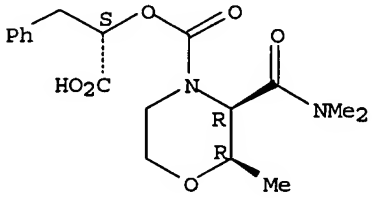
RN 124074-26-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-, 2-oxo-2-(phenylmethoxy)-1-(phenylmethyl)ethyl ester, [2R-[2α,3α,4(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124075-29-2 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-, 1-carboxy-2-phenylethyl ester, [2R-[2α,3α,4(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

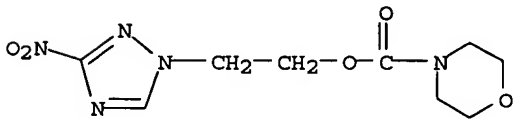


L28 ANSWER 37 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:208538 HCAPLUS
DN 110:208538
TI Polarographic screening test for the radiosensitizing effect of imidazole and triazole drugs on tumor cells
AU Takamura, Kiyoko; Kusu, Fumiyo; Murayama, Chieko; Suzuki, Akira; Mori, Tomoyuki; Miyata, Yoshiyuki; Suzuki, Toshimitsu; Sakaguchi, Masakazu
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Denki Kagaku oyobi Kogyo Butsuri Kagaku (1988), 56(12), 1072-6
CODEN: DKOKAZ; ISSN: 0366-9297
DT Journal
LA Japanese
AB The radiosensitizing effects to γ-rays of 25 nitroimidazoles (2- and 4-nitroimidazoles and including misonidazole) and 19 nitrotriazoles were studied in Chinese hamster V79 cells and mouse EMT 6 hypoxic tumor cells in relation to their polarog. half-wave potentials. All drugs gave a well-defined reduction wave on d.c. polarograms, and the wave was ascribed to a reduction of their vitro groups to form their corresponding hydroxylamines by reference to TLC Rf values and UV absorption spectra. The half-wave potentials of the drugs correlated with the drug concentration producing radiosensitivity enhancement ratios of 1.6.
CC 8-6 (Radiation Biochemistry)
Section cross-reference(s): 14
IT **Neoplasm inhibitors**
(nitroimidazoles with γ-rays, polarog. screening test for study of)
IT 5006-67-7, SS 91-1 5006-69-9, SS 166-1 13551-87-6, Misonidazole 22668-01-5, SR2508 82205-95-6, RA263 93679-08-4, RK 27 93679-10-8, RK28 93679-12-0, RK29 105958-72-3, SS 80-2 117259-20-8, KIH 801 117278-72-5, KIH 851 117466-84-9, RP 26 120398-89-2, RP 170 120398-90-5, SS 149-1 120398-91-6, SS 131-1 120398-92-7, SS 154-1 120398-93-8, SS 155-1 120398-94-9, SS 338 120398-95-0, SS 339 120398-96-1, SS 183-1 120398-97-2, SS 184-1 120398-98-3, SS 185-1 120398-99-4, SS 186-1 120399-00-0, KIH 850 120399-01-1, KIH 853 120399-02-2, RP 189 120399-03-3, SS 323 120399-04-4, SS 132-1

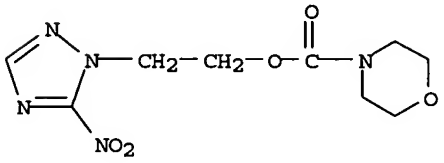
120399-05-5, SS 133-1 120399-06-6, SS 138 120399-07-7, SS 142
120399-08-8, SS 134-1 120399-09-9, SS 135-1 120399-10-2, SS 139
120399-11-3, SS 140 **120399-12-4**, SS 306 120399-13-5, SS 308
120399-14-6, SS 312 120399-15-7, SS 315 120399-16-8, SS 325
120399-17-9, KIH 802 120399-18-0, KIH 852 120443-92-7, RP 27a
120443-93-8, RP 27b
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(radiosensitization by, of tumor cells to γ-rays, polarog. screening test for study of)

IT **120399-12-4**, SS 306 **120399-14-6**, SS 312
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(radiosensitization by, of tumor cells to γ-rays, polarog. screening test for study of)

RN 120399-12-4 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(3-nitro-1H-1,2,4-triazol-1-yl)ethyl ester (9CI) (CA INDEX NAME)



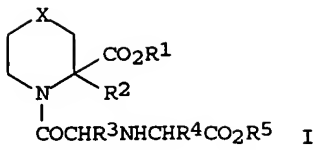
RN 120399-14-6 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-(5-nitro-1H-1,2,4-triazol-1-yl)ethyl ester (9CI) (CA INDEX NAME)



L28 ANSWER 38 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1986:533909 HCAPLUS
DN 105:133909
TI (Thio) morphlinecarboxylates as antihyertensives
IN Gante, Joachim; Weber, Wolf Dietrich; Sombroek, Johannes; Schmitges, Claus; Minck, Klaus Otto
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 35 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE---3436386	A1	19860410	1984DE-3436386	19841004 <--
	EP----176903	A1	19860409	1985EP-0111997	19850921 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	AU---8548278	A1	19860410	1985AU-0048278	19851002 <--
	HU-----42764	A2	19870828	1985HU-0003844	19851003 <--
	HU----195838	B	19880728		
	JP--61091180	A2	19860509	1985JP-0220508	19851004 <--
	ZA---8507683	A	19860528	1985ZA-0007683	19851004 <--
	ES----547616	A1	19870301	1985ES-0547616	19851004 <--
PRAI	1984DE-3436386	A	19841004 <--		

OS CASREACT 105:133909; MARPAT 105:133909
GI



I

AB The title compds. I [X = O, S, SO, SO2; R1, R5 = H, C1-4 alkyl, PhCH2; R2 = H, C1-6 alkyl; R3 = Me, (CH2)4NH2; R4 = Me, (CH2)2R6; R6 = (un)substituted Ph] and their salts, being useful in lowering blood pressure (no data), are prepared Thus, (S)-thiomorpholine-3-carboxylic acid Et ester was reacted with N-(1S-ethoxycarbonyl-3-phenylpropyl)-L-alanine to give (S,S,S)-I (X = S, R1 = R5 = Et, R2 = H, R3 = Me, R4 = 3-phenylpropyl) (II). A capsule was formulated containing II 5, lactose 20, starch 6, talc 1, and Mg stearate 0.5 mg.

IC ICM C07D-0279/12
ICS C07D-0265/30; A61K-0031/535; A61K-0031/54

CC 28-18 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **Antihypertensives**
((thio)morpholinecarboxylates)

IT 104277-59-0 **105693-13-8**
RL: PROC (Process)
(Schiff base formation of, with oxophenylbutyrate)

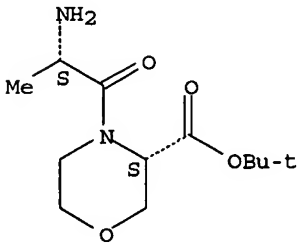
IT **104254-32-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)

IT 100991-45-5P 104254-17-3P **104254-18-4P** 104254-19-5P
104254-20-8P 104254-21-9P **104254-22-0P** 104254-23-1P
104254-24-2P 104321-15-5P 104321-16-6P **104321-17-7P**
104321-18-8P 104321-19-9P 104321-20-2P 104321-21-3P 104321-22-4P
104321-23-5P 104371-12-2P 104371-13-3P 104371-14-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

IT **105693-13-8**
RL: PROC (Process)
(Schiff base formation of, with oxophenylbutyrate)

RN 105693-13-8 HCAPLUS
CN 3-Morpholinecarboxylic acid, 4-(2-amino-1-oxopropyl)-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

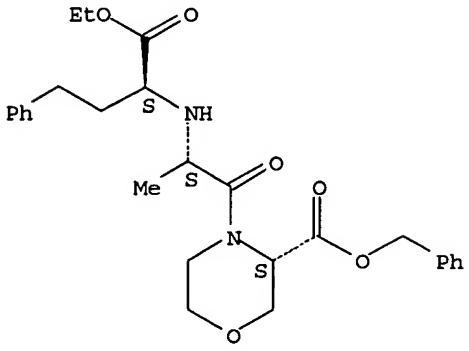


IT **104254-32-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)

RN 104254-32-2 HCAPLUS
CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, phenylmethyl ester,

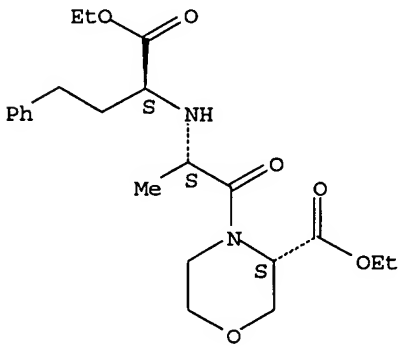
[3S-[3R*,4[R*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

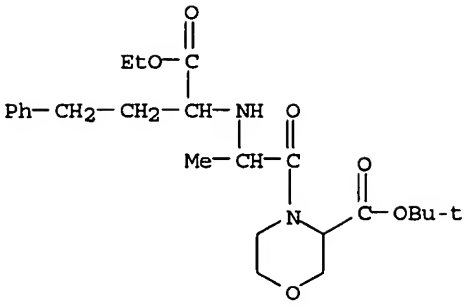


IT **104254-18-4P 104254-22-0P 104321-17-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 104254-18-4 HCAPLUS
CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, ethyl ester, [3S-[3R*,4[R*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

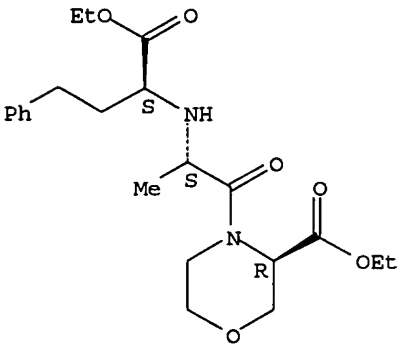


RN 104254-22-0 HCAPLUS
CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 104321-17-7 HCAPLUS
CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, ethyl ester, [3R-[3R*,4[S*(S*)]]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



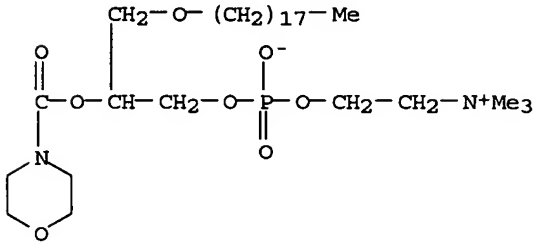
L28 ANSWER 39 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1986:230474 HCAPLUS
DN 104:230474
TI Use of a phospholipid derivative
IN Nojima, Shoshichi; Nomura, Hiroaki; Tsushima, Susumu
PA Takeda Chemical Industries, Ltd. , Japan
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP----171968	A1	19860219	1985EP-0305407	19850730 <--
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP--61040218	A2	19860226	1984JP-0163581	19840802 <--
PRAI 1984JP-0163581	A	19840802 <--		

OS CASREACT 104:230474; MARPAT 104:230474
GI For diagram(s), see printed CA Issue.
AB Phospholipd derivs., I where R1 = C14-19 alkyl, R2, R3, and R4 = independently C1-2 alkyl or +NR2R3R4 = N-containing 5- or 6-membered heterocyclic ring, and NR5R6 = 4-6-membered ring, or pharmaceutically acceptable salts inhibit tumor proliferation. The synthesis, formulation, and biol. activity of I were reported. E.g., 3-octadecyl-2-pyrrolidinocarbonylglycerol was reacted with bromoethyl phosphodichloridate and the residue dissolved in N-methylpyrrolidine to afford 3-octadecyloxy-2-(pyrrolidinocarbonyloxy)propyl

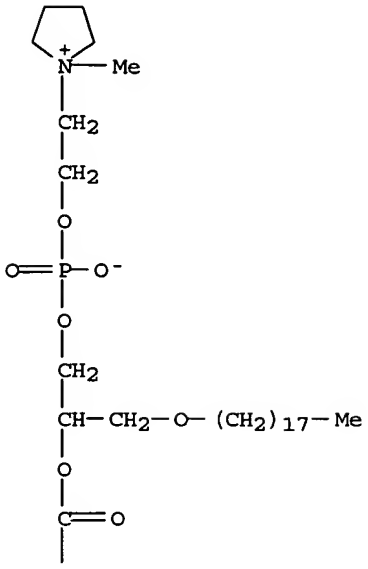
2-(N-methylpyrrolidinio)ethyl phosphate. Tablets (1000) were prepared from a granulation containing 1-O-octadecyl-2-O-(morpholinocarbonyl)glycero-3-phosphocholine (II) 10, lactose 85, corn starch 20, hydroxypropyl cellulose 4, and Mg stearate 1 g. II inhibited leukemia HL-60 cells.

IC ICM A61K-0031/685
ICA C07F-0009/65
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 27
IT **Neoplasm inhibitors**
(phospholipid derivs.)
IT **89078-80-8** 102637-72-9 **102637-73-0**
RL: BIOL (Biological study)
(in pharmaceutical composition, as neoplasm inhibitor)
IT 70641-51-9 89078-68-2 **89078-82-0**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(neoplasm inhibitor)
IT **89078-80-8 102637-73-0**
RL: BIOL (Biological study)
(in pharmaceutical composition, as neoplasm inhibitor)
RN 89078-80-8 HCAPLUS
CN 3,5,9-Trioxa-4-phosphaheptacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-7-[(4-morpholinylcarbonyl)oxy]-, inner salt, 4-oxide (9CI) (CA INDEX NAME)

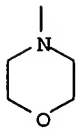


RN 102637-73-0 HCAPLUS
CN Pyrrolidinium, 1-[4-hydroxy-7-[(4-morpholinylcarbonyl)oxy]-4-oxido-3,5,9-trioxa-4-phosphaheptacos-1-yl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

PAGE 1-A

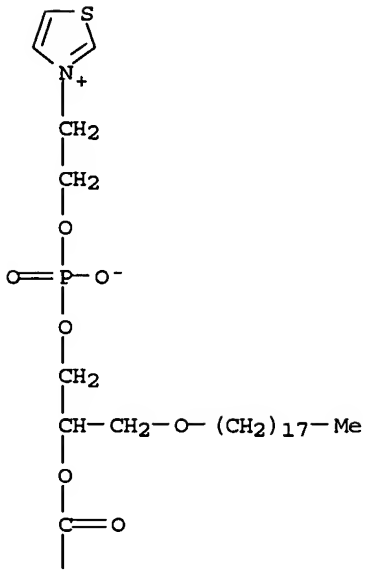


PAGE 2-A

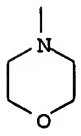


IT 89078-82-0
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(neoplasm inhibitor)
RN 89078-82-0 HCAPLUS
CN Thiazolium, 3-[4-hydroxy-7-[(4-morpholinylcarbonyl)oxy]-4-oxido-3,5,9-trioxa-4-phosphaheptacos-1-yl]-, inner salt (9CI) (CA INDEX NAME)

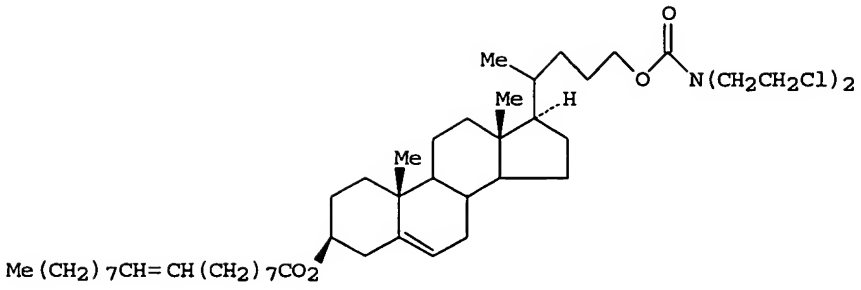
PAGE 1-A



PAGE 2-A



L28 ANSWER 40 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1984:448270 HCAPLUS
DN 101:48270
TI Selective delivery of cytotoxic compounds to cells by the LDL pathway
AU Firestone, Raymond A.; Pisano, Judith M.; Falck, J. R.; McPhaul, Michael M.; Krieger, Monty
CS Membrane Athritis Res. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SO Journal of Medicinal Chemistry (1984), 27(8), 1037-43
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I

AB Cytotoxic compds. were prepared for reconstitution with LDL (low-d. lipoproteins) to be delivered to cancer cells that internalize LDL, and evaluated by measuring the toxicity of reconstituted LDL toward test cells, SV-589 (SV-40 transformed human fibroblasts) bearing LDL receptors. Selectivity was determined by comparison, either with mutant cells with few LDL receptors, or with reconstituted methylated LDL (not recognized by LDL receptors) or normal cells. N-[[[4-(3β-(Oleoyloxy)androst-5-en-17β-yl]pentyl]oxy]carbonyl]-N,N-bis(2-chloroethyl)amine (I) [90343-98-9] reconstituted well and was delivered exclusively via the LDL pathway in amts. capable of killing 100% cells.

CC 1-6 (Pharmacology)
Section cross-reference(s): 28, 32

IT **Neoplasm inhibitors**
(lysosomotropic detergents as, delivery by low-d. lipoprotein in relation to)

IT 5299-52-5P 64833-92-7P 90343-82-1P 90343-84-3P 90343-85-4P
90343-86-5P 90343-87-6P 90343-88-7P 90343-89-8P 90343-90-1P
90343-91-2P **90343-92-3P** 90343-93-4P 90343-94-5P
90343-95-6P 90343-96-7P 90343-97-8P 90343-98-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm inhibiting activity of, selective delivery by low-d. lipoprotein in relation to)

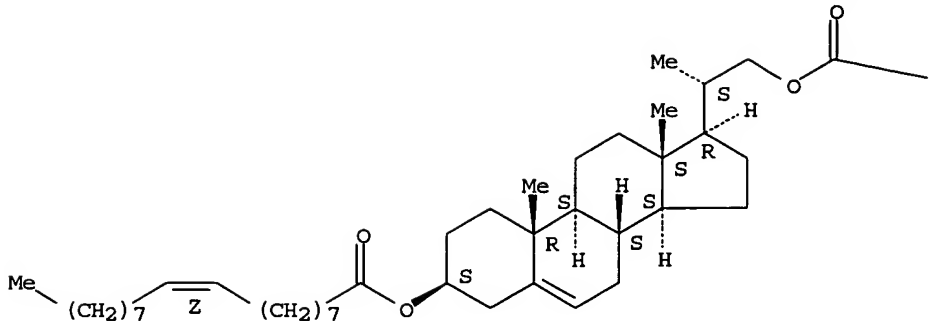
IT **90343-92-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm inhibiting activity of, selective delivery by low-d. lipoprotein in relation to)

RN 90343-92-3 HCAPLUS

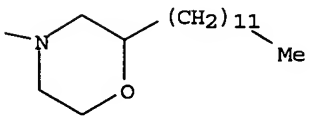
CN Pregn-5-ene-5,21-diol, 20-methyl-, 21-(2-dodecyl-4-morpholinecarboxylate) 3-(9Z)-9-octadecenoate, (3β,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



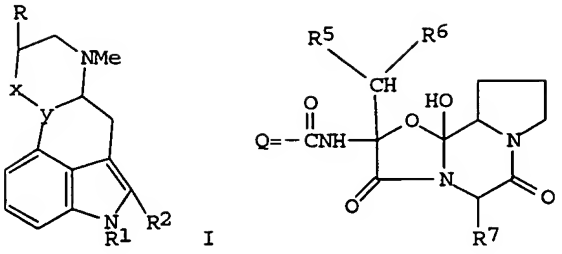
PAGE 1-B



L28 ANSWER 41 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1979:457266 HCAPLUS
DN 91:57266
TI Ergoline sulfides and sulfoxides
PA SIMES Societa Italiana Medicinali e Sintetici S.p.A., Italy
SO Belg., 16 pp.
CODEN: BEXXAL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	BE----868768	A1	19781103	1978BE-0189095	19780705	<--
	US---4197299	A	19800408	1978US-0917335	19780620	<--
	JP--54014999	A2	19790203	1978JP-0078793	19780629	<--
	JP--62025149	B4	19870601			
	GB---2000772	A	19790117	1978GB-0028932	19780705	<--
	GB---2000772	B2	19820224			
	FR---2396758	A1	19790202	1978FR-0020054	19780705	<--
	FR---2396758	B1	19810626			
	DE---2829471	A1	19790215	1978DE-2829471	19780705	<--
	DE---2829471	C2	19880922			
PRAI	1977CH-0008255	A	19770705	<--		

OS MARPAT 91:57266
GI



I

AB Ergolines I [xy = CH2CH, CH:C; R = CH2OH, CH2O3SC6H4Me, CH2O3SC6H4Me-4, CH2O2CNR3R4 (R3, R4 = C1-4 alkyl; R3R4N = piperidino, morpholino, piperazino), Q (R5, R6 = H, Me; R7 = PhCH2, CH2CHMe2, CHMe2); R1 = H, 1-4 alkyl; R2 = SR8, SOR8; (R8 = C1-6 alkyl, Ph)] (25 compds.), possessing antidepressant, adrenolytics, spasmolytic, vasodilator, psychotropic, muscle relaxant, sympatholytic activities, were prepared Thus, to 3 g Me dihydrolysergate in CHCl3 cooled to -60° was added 1.32 g MeSCl to give 1.9 g I (XY = CH2CH, R = CO2Me, R1 = H, R2 = SMe).

IC C07D; A61K

CC 31-6 (Alkaloids)

IT **Muscle relaxants and Spasmolytics**
Psychotropics
Sympatholytics
Vasodilators
(ergolines as)

IT 69754-15-0P 69754-17-2P 69754-19-4P 69754-21-8P 69754-23-0P **69754-24-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)

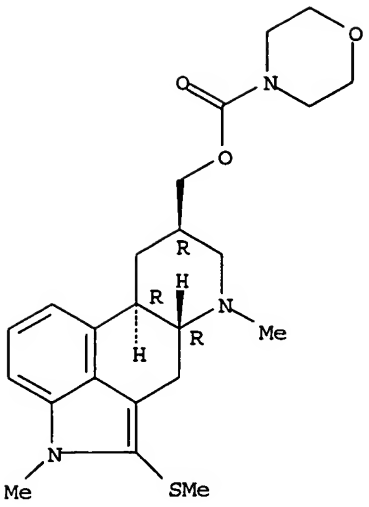
IT 69754-03-6P 69754-05-8P 69754-07-0P 69754-09-2P 69754-10-5P 69754-11-6P **69754-13-8P** 69754-25-2P **69754-26-3P** 69754-28-5P 69765-32-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT **69754-24-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)

RN 69754-24-1 HCAPLUS

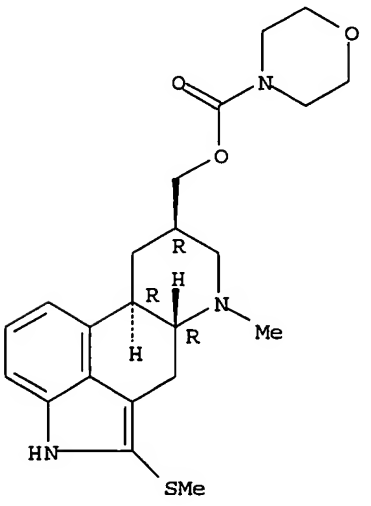
CN Ergoline-8-methanol, 1,6-dimethyl-2-(methylthio)-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



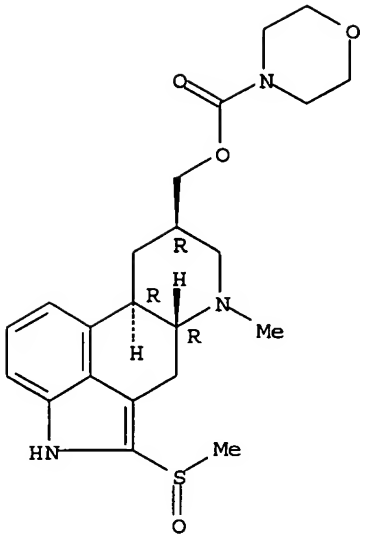
IT **69754-13-8P 69754-26-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 69754-13-8 HCAPLUS
CN Ergoline-8-methanol, 6-methyl-2-(methylthio)-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 69754-26-3 HCAPLUS
CN Ergoline-8-methanol, 6-methyl-2-(methylsulfinyl)-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 42 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1977:72966 HCAPLUS
DN 86:72966
TI Carbamates of 2-haloergolines and 2-haloergolenes
PA SIPHAR S. A., Switz.
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE---2610864	A1	19760930	1976DE-2610864	19760315 <--
	CH----619709	A	19801015	1975CH-0003273	19750314 <--
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	DK---7601095	A	19760915	1976DK-0001095	19760312 <--
	SE---7603231	A	19760915	1976SE-0003231	19760312 <--
	FR---2303548	A1	19761008	1976FR-0007221	19760312 <--
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	CA---1076107	A1	19800422	1976CA-0247788	19760312 <--
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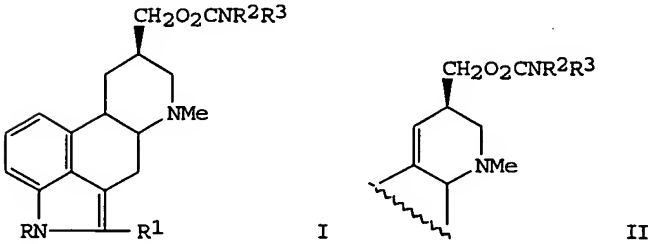


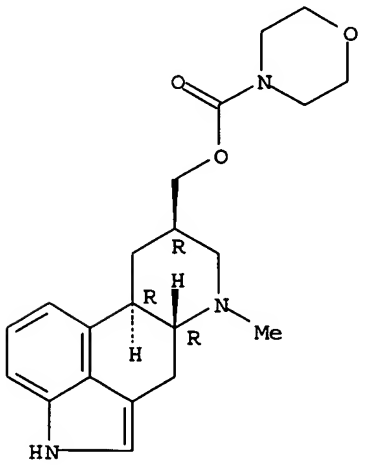
I II

AB Haloergolines I and II [R = H, R1 = Br, Cl, I, R2 = R3 = Me, Et, R2R3 =

(CH2)n, n = 3,4,5,6, NR2R3 = morpholino, 4-methylpiperazino, 3-azabicyclo[3.2.2]nonan-3-yl; R = Me, R1 = Br, NR2R3 = hexahydroazepin-1-yl, morpholino] (19 compds.) and their salts, possessing pharmacol. activities, were prepared by halogenation of I and II (R1 = H) in aprotic solvents using MeCONHBr, N-bromosuccinimide, N-iodosuccinimide, and N-chlorobenzotriazole. Thus, the treatment of II (R = R1 = H, R2 = R3 = Me) in dioxane under N with N-bromosuccinimide gave II (R1 = Br). I [R = H, R1 = Br, R2R3 = (CH2)6] had a sympatholytic ED50 of 8μg/kg i.v. in rats.

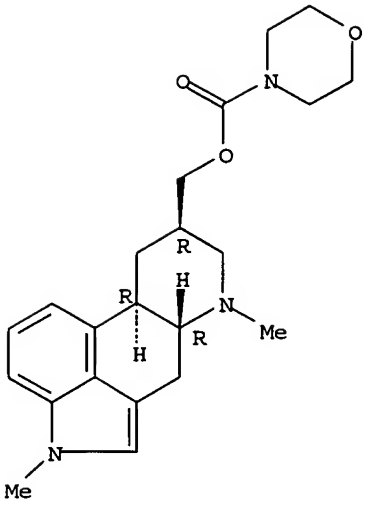
IC C07D-0457/00
CC 31-6 (Alkaloids)
IT **Antihypertensives**
Muscle relaxants and Spasmolytics
Sympatholytics
(bromoergolines as)
IT 55855-95-3 55855-96-4 55856-01-4 55856-02-5 55856-17-2
55856-18-3 55856-21-8 55856-23-0 **55856-25-2** 55856-26-3
55906-86-0 55976-62-0 56009-92-8 61771-44-6 61771-45-7
61771-46-8 61771-47-9 61771-48-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination of)
IT 60019-20-7P 61771-22-0P 61771-25-3P 61771-26-4P 61771-30-0P
61771-34-4P **61771-42-4P** 61771-43-5P 61823-84-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and sympatholytic activity of)
IT 61771-14-0P 61771-15-1P 61771-21-9P 61771-24-2P 61771-28-6P
61771-32-2P 61771-36-6P 61771-38-8P 61771-39-9P **61771-41-3P**
61824-23-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT **55856-25-2 61771-46-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination of)
RN 55856-25-2 HCAPLUS
CN Ergoline-8-methanol, 6-methyl-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



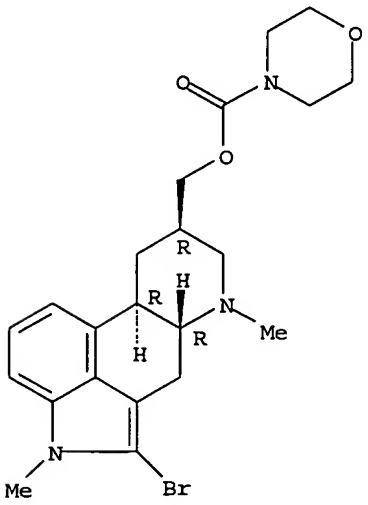
RN 61771-46-8 HCAPLUS
CN Ergoline-8-methanol, 1,6-dimethyl-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 61771-42-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and sympatholytic activity of)
RN 61771-42-4 HCAPLUS
CN Ergoline-8-methanol, 2-bromo-1,6-dimethyl-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



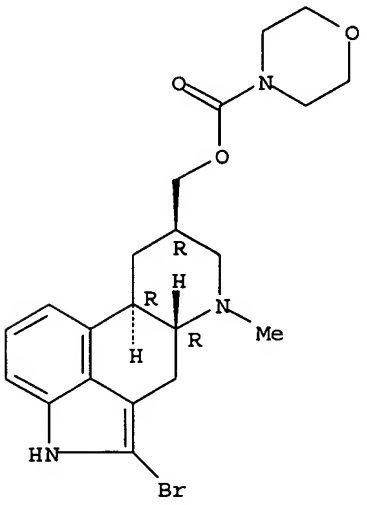
IT 61771-41-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61771-41-3 HCAPLUS
CN Ergoline-8-methanol, 2-bromo-6-methyl-, 4-morpholinecarboxylate (ester), (8β)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 61771-40-2

CMF C21 H26 Br N3 O3

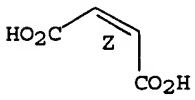
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



=> d his

(FILE 'HOME' ENTERED AT 12:52:11 ON 24 AUG 2006)

FILE 'REGISTRY' ENTERED AT 12:52:29 ON 24 AUG 2006
ACT SAC581F0/A

L1 STR
L2 (403638)SEA FILE=REGISTRY ABB=ON PLU=ON NC2OC2/ES
L3 2805 SEA FILE=REGISTRY SUB=L2 SSS FUL L1

FILE 'HCAPLUS' ENTERED AT 12:53:28 ON 24 AUG 2006
L4 954 L3
L5 1 (US2005004118 OR US2003060465)/PN OR (US2004-767581 OR US2002-0
E JILANI J/AU
E JILANI J/AU
L6 12 E3-7
L7 12 (SPEC?(W) PHARMACEUTIC?)/CS,PA

FILE 'REGISTRY' ENTERED AT 12:57:11 ON 24 AUG 2006

FILE 'HCAPLUS' ENTERED AT 12:57:14 ON 24 AUG 2006
L8 TRA L5 1- RN : 55 TERMS

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L9           55 SEA L8
L10           8 L9 AND L3

     FILE 'HCAPLUS' ENTERED AT 12:57:34 ON 24 AUG 2006
L11           1 L4 AND L5-7
                E NSAID/CT
                E E3+ALL
L12       10822 ANTI-INFLAMMATORY AGENTS+OLD,NT/CT (L)NONSTEROID?
                E ANTIBIOTICS/CT
L13      197353 E3+OLD,NT
                E E3+ALL
                E E171
                E E3+ALL
L14      262932 E3+OLD,NT
                E CHEMOTHERAPY/CT
                E E3+ALL
L15       33605 E3+OLD,NT
                E CYTOTOXIC AGENTS/CT
                E E3+ALL
L16       12996 E2+OLD
                E E16+ALL
L17       16563 E3+OLD
                E CARDIOVASCULAR/CT
                E E5+ALL
L18      119191 E3+NT
                E MUSCLE RELAX/CT
                E E4+ALL
L19        9164 E4+OLD,NT
                E E10+ALL
L20        8513 E4+OLD,NT
                E DIURETIC/CT
                E E3+ALL
                E E2+ALL
L21        9731 E4
                E ANTIEP/CT
                E E5+ALL
                E E2+ALL
L22       72881 E9+OLD,NT OR E33+OLD,NT OR E34+OLD,NT OR E35+OLD,NT
L23         228 L4 AND L12-22
L24           1 L23 AND L5-7
L25         227 L23 NOT L24
L26         133 L25 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L27          41 L26 AND L4,L12-22 (L)(PAC OR THU OR DMA)/RL
                E NERVOUS SYSTEM AGENTS/CT
                E E3+ALL
L28          42 L24,L27

     FILE 'BIOSIS' ENTERED AT 13:12:56 ON 24 AUG 2006
L29           1 L3
                E JILANI J/AU
L30           4 E3-5
L31           0 L30 AND L29
L32          10 (SPEC?(W) PHARMACEUTIC?)/CS
L33           0 L32 AND L29

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